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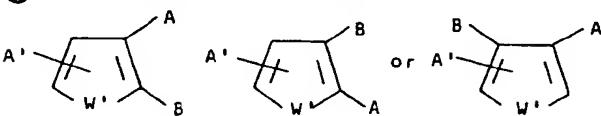
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(54) **Herbicidal ureas and isoureas, preparation, compositions and use thereof, intermediates therefor and preparation of said intermediates.**

(57) **Ureas and isoureas of the formula**



1

II

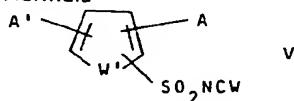
III

wherein W' is O or S

A' is H, Cl, Br, alkyl, OCH₃, NO₂ or CF₃;

A is a wide variety of ester or thioester groups or derivatives thereof;

The compounds can be formulated for use in conventional manner. They may be prepared by a variety of processes, e.g. by reacting a heterocyclic sulfonyl isocyanate or isothiocyanate of formula

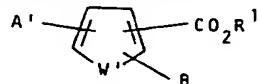


V

with an appropriate amine HNR₂R₁.

Some of the compounds V form a further aspect of the invention. They can be made from the corresponding sulfonamide by reaction with CS₂ and phosgene.

Further intermediates of formula



A2

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030

EP

B where R₄ is H or CH₃,

R₅ is H, CH₃ or OCH₃,

W is O or S

R^{IV} is alkyl or alkenyl; and

R₁ is a pyrimidyl or triazinyl moiety which is optionally substituted;

exhibit potent herbicidal activity and may be of interest for regulating plant growth.

where R^I is H or a cation of an alkali metal or tertiary amine are also within the scope of the invention.

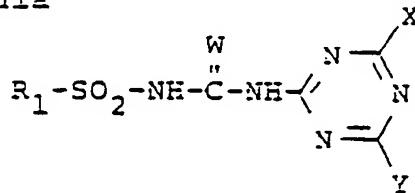
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"Herbicidal ureas and isoureas, preparation,
compositions and use thereof, intermediates therefor
and preparation of said intermediates"

Background of the Invention

This invention relates to ureas and isoureas
5 and in particular their use as agricultural chemicals
and particularly as herbicides.

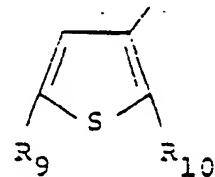
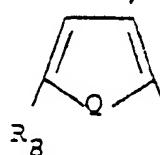
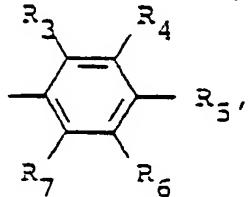
U.S. Patent 4,127,405 teaches compounds which are useful for controlling weeds in wheat having the formula



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· DG 1
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4 DEC. 1930

wherein

$R_{\frac{1}{2}}$ is



29

R_3 and R_6 are independently hydrogen, fluorine, chlorine, bromine, iodine, alkyl of 1-4 carbon atoms, alkoxy of 1-4 carbon atoms, nitro, trifluoromethyl, cyano, $CH_3S(O)_n^-$ or $CH_3CH_2S(O)_n^-$; R_4 is hydrogen, fluorine, chlorine, bromine or methyl;

R_5 is hydrogen, fluorine, chlorine, bromine, methyl or methoxy;

35 R₇ is hydrogen, fluorine, chlorine, bromine,
alkyl of 1-2 carbon atoms or alkoxy of 1-2
carbon atoms;

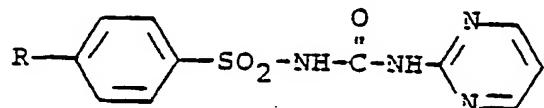
x

2

R_3 is hydrogen, methyl, chlorine or bromine;
 R_9 and R_{10} are independently hydrogen, methyl,
chlorine or bromine;
 W and Q are independently oxygen or sulfur;
5 n is 0, 1 or 2;
 X is hydrogen, chlorine, bromine, methyl, ethyl,
alkoxy of 1-3 carbon atoms, trifluoromethyl,
 CH_3S- or CH_3OCH_2- ; and
10 Y is methyl or methoxy; or their agriculturally
suitable salts; provided that:

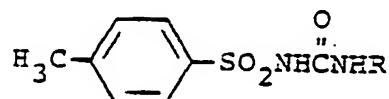
- (a) when R_5 is other than hydrogen, at least one of R_3 , R_4 , R_6 and R_7 is other than hydrogen and at least two of R_3 , R_4 , R_6 and R_7 must be hydrogen;
- 15 (b) when R_5 is hydrogen and all of R_3 , R_4 , R_6 and R_7 are other than hydrogen, then all of R_3 , R_4 , R_6 and R_7 must be either chlorine or methyl; and
- 20 (c) when R_3 and R_7 are both hydrogen, at least one of R_4 , R_5 or R_6 must be hydrogen.

French Patent No. 1,468,747 discloses the following para-substituted phenylsulfonamides, useful as antidiabetic agents:



wherein R = H, halogen, CF_3 or alkyl.

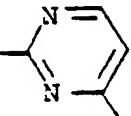
30 Logemann et al. Chem. Ab., 53, 18052 g (1959), disclose a number of sulfonamides, including uracil derivatives and those having the formula:



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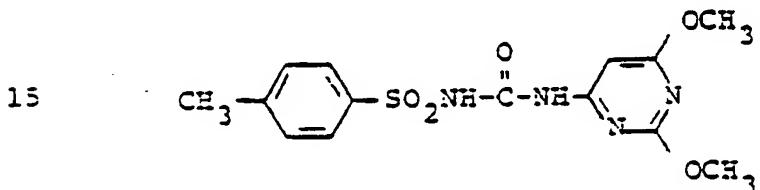
x

3

wherein R is butyl, phenyl or  and R₁ is
R₁

5 hydrogen or methyl. When tested for hypoglycemic effect in rats (oral doses of 25 mg/100 g), the compounds in which R is butyl and phenyl were most potent. The others were of low potency or inactive.

10 Wojciechowski, J. Acta. Polon. Pharm. 19,
p. 121-5 (1962) [Chem Ab., 59 1633 e] describes the synthesis of N-[(2,6-dimethoxy-4-pyrimidin-4-yl)aminocarbonyl]-4-methylbenzenesulfonamide:

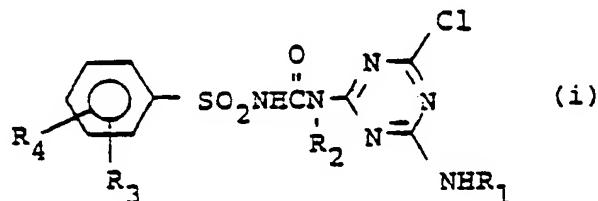


Based upon similarity to a known compound, the author predicted hypoglycemic activity for the foregoing
20 compound.

25 Netherlands Patent 121,783, published September 15, 1966, teaches the preparation of compounds of Formula (i), and their use as general or selective herbicides,

25

30



wherein

R₁ and R₂ may independently be alkyl of 1-4 carbon atoms; and

35 R₃ and R₄ may independently be hydrogen, chlorine or alkyl of 1-4 carbon atoms.

x

4

Compounds of Formula (ii), and their use as antidiabetic agents, are reported in J. Drug. Res. 6, 123 (1974),

5



wherein R is pyridyl.

The presence of undesired vegetation causes substantial damage to useful crops, especially agricultural products that satisfy man's basic food needs, such as soybeans, barley, wheat, and the like. The current population explosion and concomitant world food shortage demand improvements in the efficiency of producing these crops. Prevention or minimizing the loss of a portion of such valuable crops by killing, or inhibiting the growth of undesired vegetation is one way of improving this efficiency.

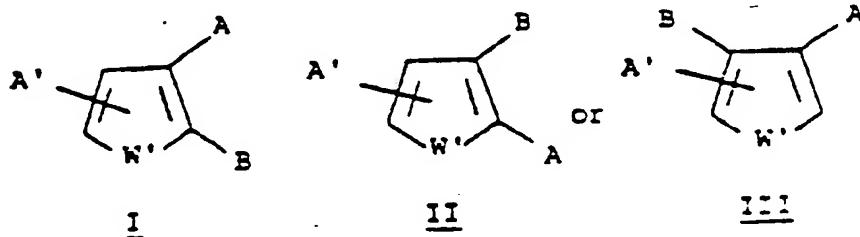
A wide variety of materials useful for killing, or inhibiting (controlling) the growth of undesired vegetation is available; such materials are commonly referred to as herbicides. The need exists, however, for still more effective herbicides that destroy or retard weeds without causing significant damage to useful crops.

30

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Summary of the Invention

This invention relates to novel compounds of Formulas I, II, and III and their agriculturally suitable salts, suitable agricultural compositions containing them, and methods of using them as selective, as well as general herbicides having both pre-emergence and post-emergence activity and for regulating plant growth. Some of the compounds are especially useful for controlling weeds in crops such as soybeans:



wherein

W' is O or S;

A' is H, Cl, Br, C_1-C_4 alkyl, OCH_3 , NO_2 or CF_3 ;

15 A is $-C^O-Q-R^I$ or $-C^T-R^{II}$ where

Q is O, S or $-N^-$:

R_6
OR^{III}

20 T is O or $=N^-$ where

R^{III} is H, C_1-C_4 alkyl or C_3-C_4 alkenyl;

when Q is O or S then

25 R^I is C_1-C_6 alkyl; C_3-C_6 alkenyl; C_3-C_6

alkynyl; C_2-C_6 alkyl substituted with 1-3 Cl,

F or Br, or one of CN or OCH_3 ; C_3-C_6

alkenyl substituted with

1-3 Cl; C_3-C_6 alkynyl substituted with Cl;

C_5-C_6 cycloalkyl; cyclohexenyl; cyclohexyl

substituted with 1-3 CH_3 ; C_4-C_7 cycloalkyl-

alkyl or $CH(CH_2)_n$

R_9

x

6

where R_7 and R_8 are independently H,

Cl, CH_3 or OCH_3 ;

n is 0 or 1; and

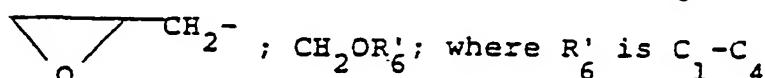
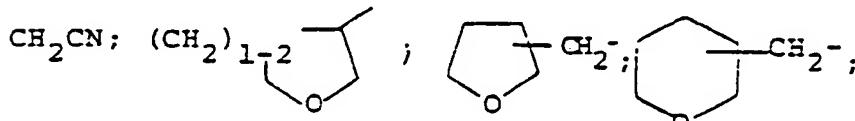
R_9 is H or CH_3 ;

when Q is 0 then R^I can be $\text{CH}_2\text{CH}_2\text{OR}_{15}$;

$\text{CH}_2\text{CH}_2\text{CH}_2\text{OR}_{15}$; $\text{CH}-\text{CH}_2\text{OR}_{15}$; where R_{15} is
 CH_3

C_2H_5 , $\text{CH}(\text{CH}_3)_2$, phenyl, $\text{CH}_2\text{CH}_2\text{Cl}$, CH_2CCl_3 ;
 $\{\text{CH}_2\text{CH}_2\text{O}\}_n\text{R}_{16}$, $\{\text{CH}-\text{CH}_2\text{O}\}_n\text{R}_{16}$; where R_{16} is
 CH_3

CH_3 , C_2H_5 , $\text{CH}(\text{CH}_3)_2$, phenyl, $\text{CH}_2\text{CH}_2\text{Cl}$,
 CH_2CCl_3 , and n' is 2 or 3;

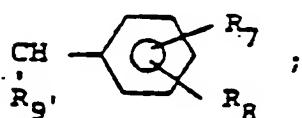
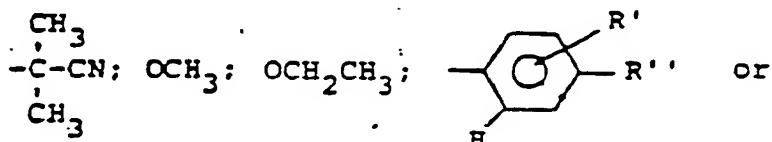


alkyl;

20 provided R^I has a total of ≤ 13 carbon atoms;

when Q is -N- then

25 R^I is H; C_1-C_6 alkyl; $-\text{CH}_2\text{CH}_2\text{OR}_{10}$; $-\text{CH}_2\text{CH}_2\text{CH}_2\text{OR}_{10}$;
where R_{10} is CH_3 , CH_3CH_2 , $\text{CH}(\text{CH}_3)_2$, or phenyl;
 C_3-C_6 alkenyl; C_3-C_6 cycloalkyl; C_5-C_6 cyclo-
alkenyl; C_6 cycloalkyl substituted with any
one of 1-2 OCH_3 , 1-3 CH_3 , $-\text{CH}_2\text{CH}_3$ or CF_3 ;
 C_4-C_7 cycloalkylalkyl; $-\text{CH}_2\text{CN}$; $-\text{CH}_2\text{CH}_2\text{CN}$;



x

7

where

R' is H, C₁-C₄ alkyl, OCH₃, F, Cl, Br, CN, NO₂ or CF₃;

R" is H, CH₃, Cl, F or Br;

5 R₇, R₈ and R₉ are as previously defined;

R₆ is H, C₁-C₃ alkyl; CH₂CN; CH₂CH₂-CN or -CH₂-CH=CH₂ and R₆ and R^I may be taken together to form -(CH₂)₄-, -(CH₂)₅- or -CH₂CH₂O-CH₂CH₂-;

10 with the proviso that when R₆ is CH₂CH₂CN or CH₂CN, then R^I is CH₂CH₂CN or CH₂CN; and R^I and R₆ have a total carbon atom count of \leq 13; and when R^I is OCH₃ or OCH₂CH₃ then R₆ is CH₃ or H;

15

T

when A is $\text{---}^{\text{II}}\text{R}^{\text{II}}$ then

R^{II} is H, C₁-C₆ alkyl; C₃-C₆ alkenyl; phenyl; benzyl; benzyl or phenyl substituted with 1-2 Cl, 1-2 OCH₃, 1-2 CH₃; C₅-C₆ cycloalkyl; C₄-C₇ cycloalkylalkyl; with the proviso that when T is =N-OR^{III}, then R^{II} must be C₁-C₆ alkyl or C₃-C₆ alkenyl;

25

B is $-\text{SO}_2^{\text{W}}\text{N}^{\text{I}}\text{---C}^{\text{IV}}\text{---N}^{\text{IV}}\text{---R}_1$ or $-\text{SO}_2^{\text{W}}\text{N}^{\text{I}}\text{---C}^{\text{IV}}\text{---N}^{\text{IV}}\text{---R}_1$:

R_4 R_5

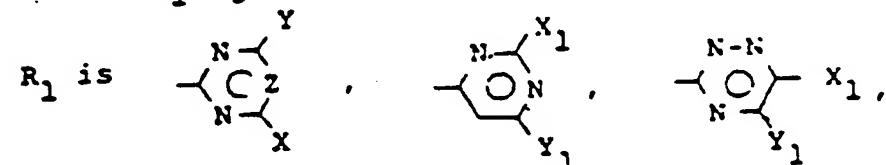
30

where R₄ is H or CH₃; W is O or S;

R₅ is H, CH₃ or CH₃O; with the proviso that either R₄ or R₅ must be H;

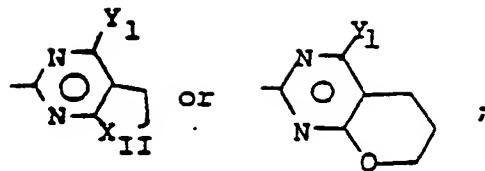
R^{IV} is C₁-C₆ alkyl or C₃-C₄ alkenyl;

35



x

8



- 5 where Z is N, CH or C-F;
 X = H, Cl, -CH₃, -OCH₃ or -OCH₂CH₃;
 Y = H; Cl; C₁-C₄ alkyl; C₁-C₄ alkyl substituted
 with -OCH₃, -OC₂H₅, -CN, -CO₂CH₃, -CO₂C₂H₅,
 O
 10 C-L or 1-3 atoms of F, Cl, Br; C₃-C₄ alkenyl;
 -O-(CH₂)_nO-(C₁-C₃ alkyl) where
 n' is 2 or 3;
- 15 -OCH₂^oC-L; -OCHC^oL; -OCH₂CH₂^oC-L where
 L is OH, -NH₂, -NCH₃, -NH(C₁-C₄ alkyl),
 OCH₃
 -N(C₁-C₄ alkyl)₂ or C₁-C₆ alkoxy; SCN;
 20 -N₃; NR₁₁R₁₂ where R₁₁ is H or CH₃ and
 R₁₂ is H, -OCH₃, C₁-C₄ alkyl, C₃-C₆
 cycloalkyl, C₃-C₄ alkenyl, C₂-C₃ alkyl
 substituted with OCH₃ or OC₂H₅, C₁-C₂
 alkyl substituted with -CN, CO₂H, CO₂CH₃ or
 CO₂C₂H₅, and R₁₁ and R₁₂ can be taken together
 25 to form -CH₂CH₂CH₂CH₂- or CH₂CH₂OCH₂CH₂-;
 -O-R₉ where R₉ is C₁-C₄ alkyl, C₂-C₄ alkyl
 substituted with 1-3 atoms of F, Cl or Br,
 C₁-C₂ alkyl substituted with cyano, C₃-C₄
 30 alkenyl, -CH₂C≡CR₁₃,



- 35 R₁₃ is H, CH₃ or CH₂Cl;
 SR₁₄;

x

where R_{14} is C_1-C_4 alkyl, allyl, propargyl or C_1-C_2 alkyl substituted with CN; with the proviso that when X and Y are both H, then R^I and R^{II} are less than 5 carbons;

5

$X_1 = H, Cl, OCH_3, OCH_2CH_3$ or CH_3 ;

$Y_1 = H, OCH_3$ or CH_3 ; and

$X_{II} = O$ or CH_2

10

and further provided that when A contains greater than 5 carbon atoms, then Y must contain ≤ 4 carbon atoms,

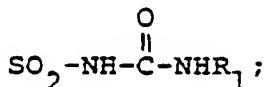
and their agriculturally suitable salts.

Preferred Compounds

15

Preferred for reasons of higher activity and/or lower cost and/or greater ease of synthesis are compounds:

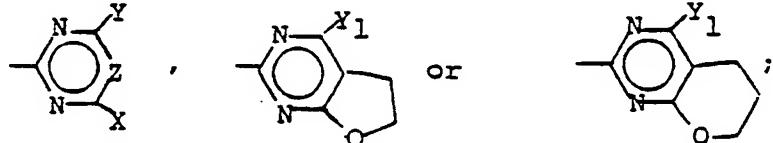
1) Of the Generic scope in which B is



More Preferred and in increasing order for reasons of higher activity and/or even lower cost and/or even greater ease of synthesis are compounds:

25

- 2) Preferred 1) in which W' is sulfur;
- 2a) More Preferred 2) in which T is oxygen;
- 3) More Preferred 2a) in which R_1 is



30

4) More Preferred 3) where Q is O or S and R^I

is C_1-C_4 alkyl; C_3-C_4 alkenyl; C_3-C_4 alkynyl; C_2-C_3 alkyl substituted with CN, OCH_3 or 1-3 F, Cl or Br; C_3-C_4 alkenyl substituted with 1-3 Cl; C_3-C_4 alkynyl substituted with Cl;

10

x 5) More Preferred 3) in which Q is oxygen and R^I is
 $\text{CH}_2\text{CH}_2\text{OR}_{15}$; $\text{CH}_2\text{CH}_2\text{CH}_2\text{OR}_{15}$; CHOR_{15} where R₁₅ is
 CH_3

5 CH_2CH_3 ;
 CH_2CN ; $\text{CH}_2\text{OR}'_6$ where R'_6 is CH_3 or CH_3CH_2 ;


6) More Preferred 3) in which Q is -N- and
10 R^I is H, C₁-C₄ alkyl, $\text{CH}_2\text{CH}_2\text{OR}_{10}$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{OR}_{10}$
where R₁₀ is CH_3 or CH_3CH_2 ; C₃-C₄ alkenyl; CH_2CN ;
 $\text{CH}_2\text{CH}_2\text{CN}$; OCH_3 or OCH_2CH_3 ;
R⁶ is H, C₁-C₂ alkyl, CH_2CN or $\text{CH}_2\text{CH}_2\text{CN}$ and R₆
15 and R^I can be taken together to form $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2$.

7) More Preferred 3) in which R^{II} is H or
C₁-C₃ alkyl;

8) More Preferred 4, 5, 6) and 7) in which
Z is CH or N;
20 X is CH_3 or CH_3O ; and
Y is C₁-C₂ alkyl; C₁-C₂ alkyl substituted with OCH_3 ;
 OCH_2CH_3 , CN or 1-3 atoms of F, Cl or Br;

25 $\overset{\text{O}}{\underset{\text{O}}{\text{OCH}_2-\text{C}(\text{L})-\text{C}}} \text{OCH}_3$ or $\overset{\text{O}}{\underset{\text{O}}{\text{OCH}-\text{C}(\text{L})}}$ where L is NH_2 , OH, $\text{N}(\text{CH}_3)_2$,
 $\text{N}(\text{CH}_3)_2$, NHCH_3 , C₁-C₂ alkoxy; SCN; N₃; NR₁₁R₁₂
where R₁₁ is H or CH_3 ; R₁₂ is H, CH_3 , CH_3CH_2 ,
 OCH_3 ; OR₉ where R₉ is CH_3 , CH_3CH_2 ; $\text{CH}_2\text{CH}=\text{CH}_2$ or
 $\text{CH}_2\text{C}\equiv\text{CH}$; R₉ is also C₂ alkyl substituted with
30 1-3 F, Cl or Br; CH_3S ;

.. 9) More Preferred 3) in which A' is H, Cl
or Br;

10) More Preferred 9) in which Q is O or S and
R^I is C₁-C₄ alkyl, $\text{CH}_2\text{CH}=\text{CH}_2$ or $\text{CH}_2\text{CH}_2\text{Cl}$;

x

11

11) More Preferred 9) in which Q is O and R^I is
 $\text{CH}_2\text{CH}_2\text{OCH}_3$, $\text{CH}_3\text{-OCH}_3$, CH_2OCH_3 or $\text{CH}_2\text{OCH}_2\text{CH}_3$;



5 12) More Preferred 9) in which Q is -N- and R^I
^{R₆}
is H, C₁-C₃ alkyl, OCH₃ or OCH₂CH₃ and R₆ is H or C₁-C₂ alkyl;
13) More Preferred 9) in which R^{II} is H or CH₃;
14) More Preferred 10), 11), 12) and 13) in which A'
10 is H; Y is CH₃, OCH₃, OCH₂CH₃, OCH₂CF₃, OCH₂CH=CH₂ or
OCH₂C≡CH;

15 15) More Preferred 8) in which A is and Q is oxygen or sulfur and R^I is CH₃ or CH₂CH₃;
Q is -N- and R^I is H, CH₃ or OCH₃ and R₆ is CH₃;



20 R₁ is and Y is CH₃ or OCH₃;

20

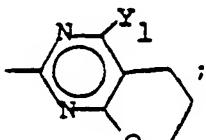
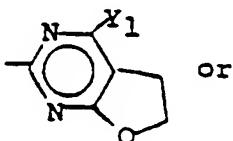
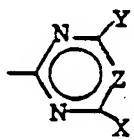
- 16) More Preferred 15) of Formula I;
17) More Preferred 15) of Formula II;
18) More Preferred 15) of Formula III.

25

Equally More Preferred in increasing order and for
reasons of higher activity and/or even lower cost and/or even
greater ease of synthesis are:

30

- 19) Compounds of Preferred 1 in which W' is oxygen;
20) Compounds of More Preferred 19 in which T is oxygen;
21) More Preferred 20) in which R₁ is

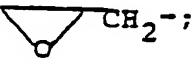


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x

12

22) More Preferred 21) where Q is O or S and R₁ is C₁-C₄ alkyl; C₃-C₄ alkenyl; C₃-C₄ alkynyl; C₂-C₃ alkyl substituted with CN, OCH₃ or 1-3 F, Cl or Br; C₃-C₄ alkenyl substituted with 1-3 Cl; C₃-C₄ alkynyl substituted with Cl;

23) More Preferred 21) in which Q is oxygen and R^I is CH₂CH₂OR₁₅; CH₂CH₂CH₂OR₁₅; CHOR₁₅ where R₁₅ is CH₃
 10 CH₂CH₃; CH₂CN; CH₂OR₆' where R₆' is CH₃ or CH₃CH₂; 

24) More Preferred 21) in which Q is -N- and
 15 R^I is H, C₁-C₄ alkyl, CH₂CH₂OR₁₀, CH₂CH₂CH₂OR₁₀ where R₁₀ is CH₃ or CH₃CH₂; C₃-C₄ alkenyl; CH₂CN; CH₂CH₂CN; OCH₃ or OCH₂CH₃; R⁶ is H, C₁-C₂ alkyl, CH₂CN or CH₂CH₂CN and R₆ and R^I can be taken together to form +CH₂+₄.

25) More Preferred 21) in which R^{II} is H or C₁-C₃ alkyl;

26) More Preferred 22), 23), 24) and 25) in which Z is CH or N;

25 X is CH₃ or CH₃O; and

Y is C₁-C₂ alkyl; C₁-C₂ alkyl substituted with OCH₃; OCH₂CH₃, CN or 1-3 atoms of F, Cl or Br;

30 O⁺ O⁻
 OCH₂-C-L or OCH-C-L where L is NH₂, OH, N(CH₃), CH₃, OCH₃

N(CH₃)₂, NHCH₃, C₁-C₂ alkoxy; SCN; N₃; NR₁₁R₁₂ where R₁₁ is H or CH₃; R₁₂ is H, CH₃, CH₃CH₂, OCH₃; OR₉ where R₉ is CH₃, CH₃CH₂, CH₂CH=CH₂ or CH₂C≡CH; R₉ is also C₂ alkyl substituted with 1-3 F, Cl or Br; CH₃S;

13

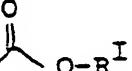
x 27) Preferred 21) in which A' is H, Cl
or Br;

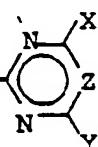
28) More Preferred 27) in which Q is O or S and
R^I is C₁-C₄ alkyl, CH₂CH=CH₂ or CH₂CH₂Cl;
5 29) More Preferred 27) in which Q is O and R^I is
CH₂CH₂OCH₃, CH-OCH₃, CH₂OCH₃ or CH₂OCH₂CH₃;
CH₃

10 30) More Preferred 27) in which Q is -N- and R^I
is H, C₁-C₃ alkyl, OCH₃ or OCH₂CH₃ and R₆ is H or C₁-C₂ alkyl;

31) More Preferred 27) in which R^{II} is H or CH₃;

32) More Preferred 28), 29), 30) and 31) in which A'
is H; Y is CH₃, OCH₃, OCH₂CH₃, OCH₂CF₃, OCH₂CH=CH₂ or
OCH₂C≡CH;

15 33) More Preferred 27) in which A is  and Q is oxygen or sulfur and R^I is CH₃ or CH₂CH₃;
Q is -N- and R^I is H, CH₃ or OCH₃ and R₆ is CH₃;

20 R₁ is  and Y is CH₃ or OCH₃;

25 34) More Preferred 33) of Formula I;
35) More Preferred 33) of Formula II;
36) More Preferred 33) of Formula III.

30 Specifically Preferred for reasons of highest
activity and/or lowest cost and/or greatest ease of
synthesis are:

35 methyl 3-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-thiophenecarboxylate
methyl 3-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-thiophenecarboxylate

x

14

- methyl 3-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-thiophenecarboxylate
methyl 3-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-thiophenecarboxylate
5 methyl 3-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-thiophenecarboxylate
methyl 3-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate
methyl 3-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]
10 aminosulfonyl]-2-furancarboxylate
methyl 3-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-furancarboxylate
methyl 3-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-furancarboxylate
15 methyl 3-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-furancarboxylate
methyl 3-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-furancarboxylate
methyl 3-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
20 aminocarbonyl]aminosulfonyl]-2-furancarboxylate
methyl 2-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 2-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
25 methyl 2-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 2-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 2-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
30 aminosulfonyl]-3-thiophenecarboxylate
methyl 2-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
aminocarbonyl]aminosulfonyl]-3-thiophenecarboxylate
methyl 2-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
35 methyl 2-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate

15

- x methyl 2-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
methyl 2-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
5 methyl 2-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
methyl 2-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
aminocarbonyl]aminosulfonyl]-3-furancarboxylate
methyl 4-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
10 aminosulfonyl]-3-thiophenecarboxylate
methyl 4-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 4-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
15 methyl 4-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 4-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 4-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
20 aminocarbonyl]aminosulfonyl]-3-thiophenecarboxylate
methyl 4-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
methyl 4-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
25 methyl 4-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
methyl 4-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
methyl 4-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
30 aminosulfonyl]-3-furancarboxylate
methyl 4-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
aminocarbonyl]aminosulfonyl]-3-furancarboxylate
N-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-2-
(1-pyrrolidinylcarbonyl)-3-thiophenesulfonamide
35 1-methylethyl 3-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-thiophenecarboxylate

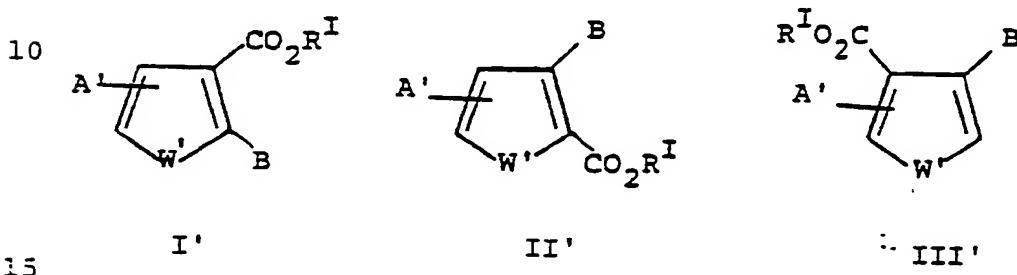
x

16

2-propenyl 3-[[[(4-methoxy-6-methylpyrimidin-2-yl-aminocarbonyl)aminosulfonyl]-2-thiophenecarboxylate
1-methylethyl 3-[[[(4-methoxy-6-methylpyrimidin-2-yl)-aminocarbonyl)aminosulfonyl]-2-thiophenecarboxylate.

5 Novel Intermediates

Also novel and useful for the preparation of compounds of Formulas I, II and III are compounds of Formulas I', II' and III'.



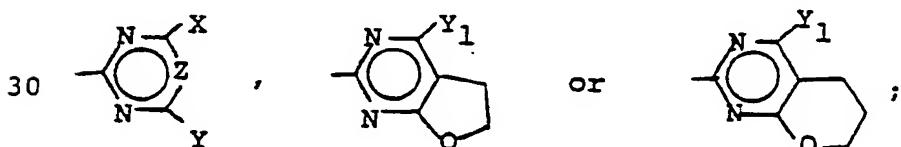
wherein R^I is H or M;

M is a cation of an alkali metal or of a tertiary amine of up to 12 carbon atoms;

20 A', W', B, are as previously defined.

Preferred and in increasing order for reasons of lower cost and/or greater ease of synthesis and/or higher activity of derived compounds are those intermediate

25 1) Compounds of the Generic scope in which W' is sulfur, B is $SO_2NHCONHR_1$, A' is H, Cl or Br and R_1 is



2) Compounds of Preferred 1) in which

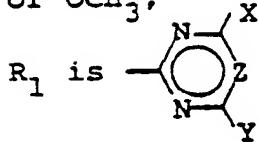
X is CH_3 or OCH_3 ; Y is CH_3 , OCH_3 , OCH_2CH_3 , OCH_2CF_3 ,
35 $OCH_2CH=CH_2$ or $OCH_2-C\equiv C-H$; Z is CH or N;

x

17

3) Compounds of Preferred 2) in which Y is CH_3

or OCH_3 ;



; A' is H;

5

4) Compounds of Preferred 3) of Formula I';

5) Compounds of Preferred 4) of Formula II';

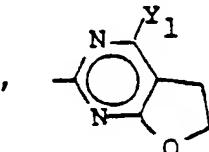
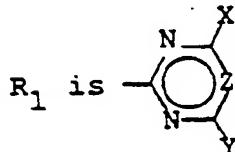
6) Compounds of Preferred 5) of Formula III';

Equally Preferred in increasing order, for

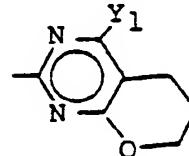
10 reasons of lower cost and/or greater ease of synthesis and/or higher activity of derived compound are those intermediate:

7) Compounds of the Generic scope in which W' is oxygen; B is $\text{SO}_2\text{NHCONHR}_1$, A' is H, Cl or Br, and

15



or



20

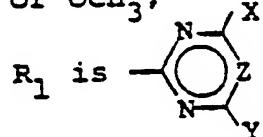
8) Compounds of Preferred 7.) in which X is CH_3 or OCH_3 ; Y is CH_3 , OCH_3 , OCH_2CH_3 , OCH_2CF_3 ,
 $\text{OCH}_2\text{CH}=\text{CH}_2$ or $\text{OCH}_2\text{C}\equiv\text{C}-\text{H}$; and Z is

CH or N;

25

9) Compounds of Preferred 8) in which Y is CH_3

or OCH_3 ;



; A' is H;

30

10 Compounds of Preferred 9) of Formula IV;

11) Compounds of Preferred 10) of Formula V;

12 Compounds of Preferred 11) of Formula VI;

x

18

Specifically Preferred for reasons of lowest cost and/or greatest ease of synthesis and/or highest activity of desired compounds are:

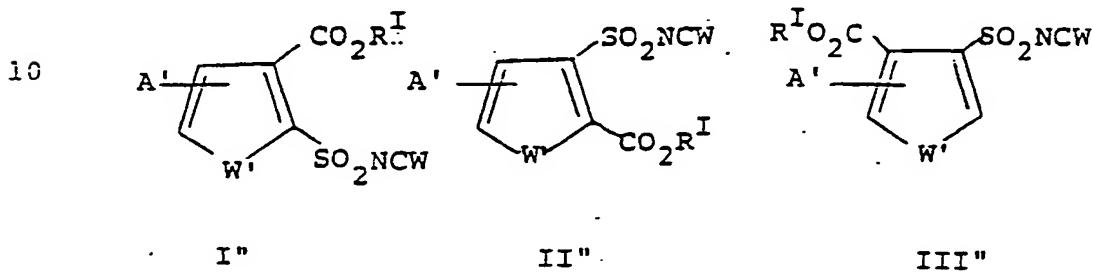
3-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
5 sulfonyl]-2-thiophenecarboxylic acid
3-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-2-thiophenecarboxylic acid
3-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-thiophenecarboxylic acid
10 3-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-
sulfonyl]-2-thiophenecarboxylic acid
3-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-
sulfonyl]-2-thiophenecarboxylic acid
3-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
15 carbonyl]aminosulfonyl]-2-thiophenecarboxylic acid
3-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-2-furancarboxylic acid
3-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]aminosulfonyl]-
2-furancarboxylic acid
20 3-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-2-furancarboxylic acid
3-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-
sulfonyl]-2-furancarboxylic acid
3-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-
25 sulfonyl]-2-furancarboxylic acid
3-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
carbonyl]aminosulfonyl]-2-furancarboxylic acid
2-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-thiophenecarboxylic acid
30 2-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-thiophenecarboxylic acid
2-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylic acid
2-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-
35 sulfonyl]-3-thiophenecarboxylic acid

2-[[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-thiophenecarboxylic acid
2-[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
carbonyl]aminosulfonyl]-3-thiophenecarboxylic acid
5 2-[[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-furancarboxylic acid
2-[[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-furancarboxylic acid
2-[[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
10 aminosulfonyl]-3-furancarboxylic acid
2-[[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylic acid
2-[[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylic acid
15 2-[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
carbonyl]aminosulfonyl]-3-furancarboxylic acid
4-[[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-thiophenecarboxylic acid
4-[[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
20 aminosulfonyl]-3-thiophenecarboxylic acid
4-[[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylic acid (B5854)
4-[[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylic acid
25 4-[[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylic acid
4-[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
carbonyl]aminosulfonyl]-3-thiophenecarboxylic acid
4-[[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
30 aminosulfonyl]-3-furancarboxylic acid
4-[[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylic acid
4-[[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylic acid
35 4-[[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylic acid

4-[[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-aminosulfonyl]-3-furancarboxylic acid

4-[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-carbonyl]aminosulfonyl]-3-furancarboxylic acid

5 Also novel and useful for the preparation of compounds of Formulas I, II and III are compounds of Formulas I'', II'' and III''



15 wherein

W is oxygen or sulfur;

W' is oxygen or sulfur;

A' is H, Cl, Br, C₁-C₄ alkyl, OCH₃, NO₂ or CF₃;

20 R^I is C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl; C₂-C₆ alkyl substituted with Cl, CN or OCH₃; C₃-C₆ alkenyl substituted with 1-3 Cl; C₃-C₆ alkynyl substituted with Cl; C₅-C₆ cycloalkyl; cyclohexenyl; cyclohexyl substituted with 1-3 CH₃; C₄-C₇ cycloalkyl-

25 alkyl or CH(CH₂)_n-R₇
R₉.

where R₇ and R₈ are independently H, Cl, CH₃ or OCH₃;

30 n is 0 or 1; and

R₉ is H or CH₃;

35 - CH₂CH₂OR₁₅, CH₂CH₂CH₂OR₁₅, CH-CH₂OR₁₅ where R₁₅ is
CH₃

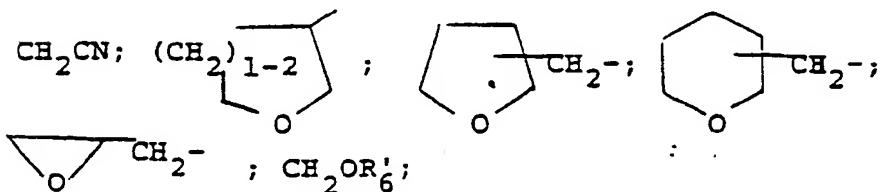
x

C_2H_5 , $CH(CH_3)_2$, phenyl, CH_2CH_2Cl , CH_2CCl_3 ;
 $\{CH_2CH_2O\}_nR_{16}'$, $\{CH-CH_2O\}_{n'}R_{16}$ where R_{16} is
 CH_3

5

CH_3 , C_2H_5 , $CH(CH_3)_2$, phenyl, CH_2CH_2Cl ,
 CH_2CCl_3 , and n' is 2 or 3;

10



where R'_6 is C_1-C_4 alkyl;

provided R^I has a total of ≤ 13 carbon atoms.

15

Preferred in increasing order for reasons of lower cost and/or greater ease of synthesis and/or higher activity of desired compounds are those intermediate:

20

1) Compounds of the Generic scope in which W' is sulfur; W is oxygen; A' is H, Cl or Br; and R^I is C_1-C_4 alkyl; $CH_2CH=CH_2$; or CH_2CH_2Cl ; $CH_2CH_2OCH_3$; or $CH-OCH_3$;

CH_3

25

2) Compounds of Preferred 1) in which R^I is CH_3 or CH_2CH_3 ; A^I is H;

3) Compounds of Preferred 2) of Formula VII;

4) Compounds of Preferred 2) of Formula VIII;

5) Compounds of Preferred 2) of Formula IX:

30

Equally Preferred in increasing order for reasons of lower cost and/or greater ease of synthesis and/or higher activity of derived compounds are those intermediate:

6) Compounds of the generic scope in which W'

is oxygen; W is oxygen; A' is H, Cl or Br;

x

7) Compounds of Preferred 6) in which R^I is CH₃ or CH₂CH₃; A^I is H;

8) Compounds of Preferred 7) of Formula I".

9) Compounds of Preferred 7) of Formula II".

5 10) Compounds of Preferred 7) of Formula III".

Specifically Preferred for reasons of lowest cost and/or greatest ease of synthesis and/or highest activity of desired compounds are:

methyl 3-(isocyanatosulfonyl)-2-thiophenecarboxylate

10 methyl 2-(isocyanatosulfonyl)-3-thiophenecarboxylate

methyl 4-(isocyanatosulfonyl)-3-thiophenecarboxylate

methyl 3-(isocyanatosulfonyl)-2-furancarboxylate

methyl 2-(isocyanatosulfonyl)-3-furancarboxylate

methyl 4-(isocyanatosulfonyl)-3-furancarboxylate

15

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25

30

35

x

23

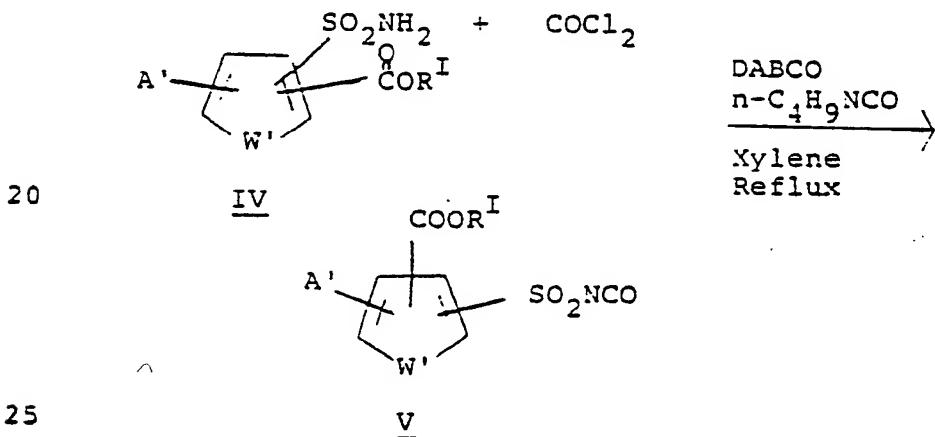
Synthesis

Many of the compounds of Formulas I-III are prepared as shown in Equation 3 by the reaction of an appropriately substituted alkoxy carbonyl thiophene or 5 furan sulfonylisocyanate or sulfonylisothiocyanate with an appropriate aminopyrimidine or aminotriazine. These compounds of Formulas I-III can be converted to other compounds of Formulas I-III as will be shown in subsequent equations.

10 The novel sulfonylisocyanates are important intermediates for the preparation of the compounds of this invention. Their synthesis is described in Equations 1 and 2.

Equation 1

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A mixture of the appropriate sulfonamide, e.g. an 2-alkoxycarbonyl-3-thiophene sulfonamide IV such as the methyl ester, which is known in the art, an alkyl isocyanate such as butyl isocyanate and a catalytic amount of 1,4-diaza[2.2.2]bicyclooctane (DABCO) in xylene or other inert solvent of sufficiently high boiling point (e.g. $> 135^\circ$) is heated to approximately $130-150^\circ\text{C}$. Phosgene is added to the mixture until an excess of phosgene is present as indicated by a drop

x

24

in the boiling point. After the mixture is cooled and filtered to remove a small amount of insoluble by-products, the solvent and alkyl isocyanate are distilled off in-vacuo leaving a residue which is the crude sulfonyl isocyanate V.

5 In Equation 1,

A' , W' and CO_2R^I are as defined previously for structures I", II" and III".

10 The novel sulfonylisothiocyanate intermediates of Formula V^a, prepared according to Equations 2 and 2', are useful for the preparation of compounds of Formulas I-III where $W = S$.

15

20

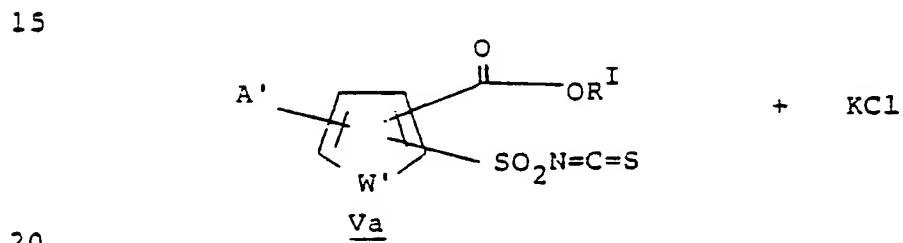
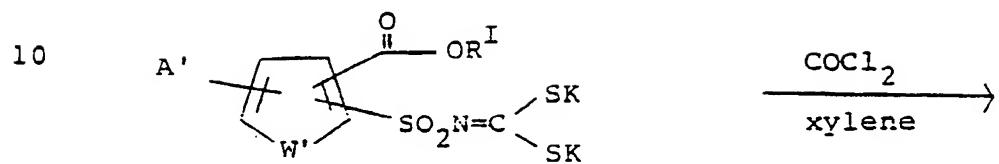
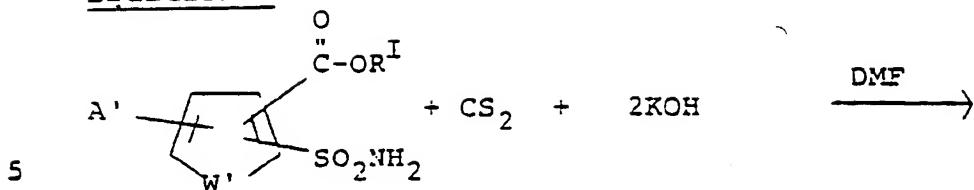
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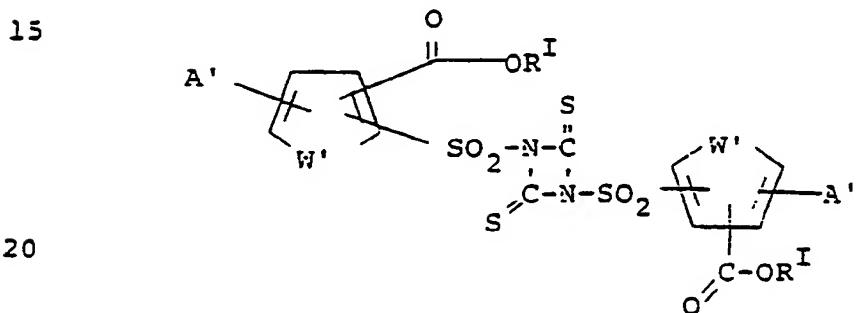
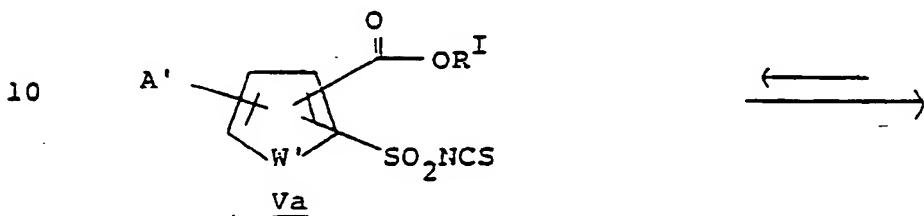
Equation 2

The alkoxy carbonyl substituted sulfonamide is dissolved in dimethylformamide (DMF) with an equivalent amount of carbon disulfide and two equivalents of potassium hydroxide are added portionwise at room temperature. The mixture is stirred for 1-8 hours and diluted with ethylacetate, ethyl ether or similar aprotic solvent to cause the dipotassium salt of the dithiocarbamic acid to precipitate. The salt is isolated, dried and suspended in an inert solvent such as xylene, benzene, carbon tetrachloride or methylene chloride. Phosgene is added to the stirred suspension at below room temperature and the mixture stirred for 1-3 hours. In place of phosgene, a chloroformic ester (e.g. methyl chloroformate), phosphorous pentachloride, sulfonyl chloride or thionyl chloride can be used.

x

26

The sulfonylisothiocyanate which is formed is usually soluble in the solvent and is isolated by filtering off the insoluble potassium chloride and concentrating the filtrate. These isothiocyanates 5 tend to be unstable and dimerize readily, (Equation 2') however, the dimers can be used
Equation 2'

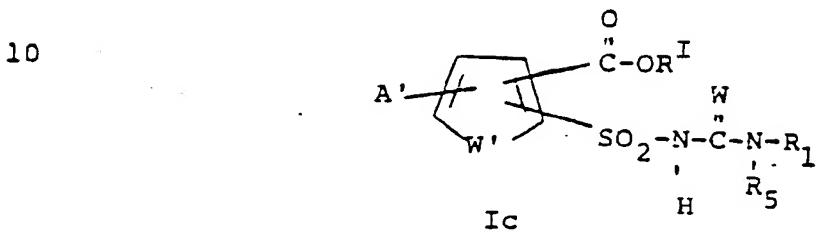
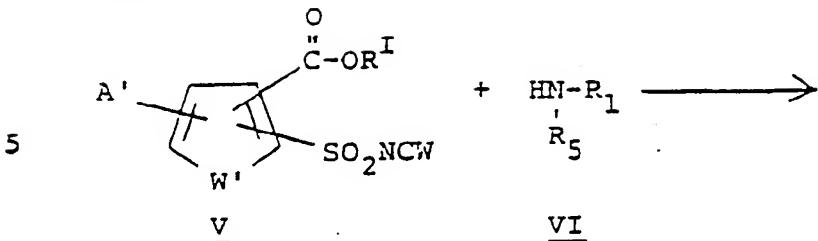


in the same manner as the parent isothiocyanates for the purposes of this invention.

25 The synthetic method chosen for the preparation of compounds of Formulas I-III depends largely on the substituents QR¹ and R₄. As shown in Equation 3, compounds of Formulas I-III wherein R¹ or A' are as defined for Equation 1, are conveniently prepared by reacting an appropriately substituted carbonyl thiophene or furan sulfonyl isocyanate or isothiocyanate of Formula V with an appropriately substituted aminopyrimidine or aminotriazine of Formula VI:

30

x

Equation 3

15 The reaction of Equation 3 is best carried out in inert aprotic organic solvents such as methyl-
ene chloride, tetrahydrofuran or acetonitrile, at ambient pressure and temperature. The mode of addition is not critical; however, it is often convenient
20 to add the sulfonyl isocyanate or isothiocyanate to a stirred suspension of amine VI. Since such isocyanates and isothiocyanates are liquids, low melting solids or are readily soluble in solvents such as those listed above, their addition can be easily
25 controlled.

30 The reaction is generally exothermic. In some cases, the desired product is soluble in the warm reaction medium and on cooling crystallizes in pure form. Other products which are soluble in the reaction medium are isolated by evaporation of the solvent, trituration of the solid residue with solvents such as 1-chlorobutane or ethyl ether, and filtration.

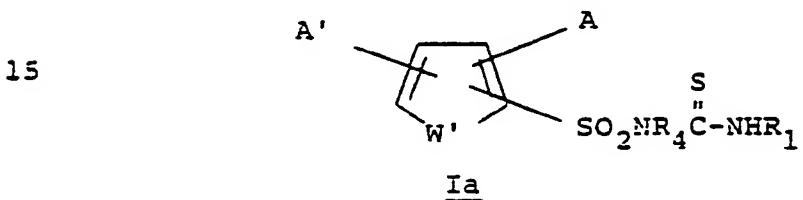
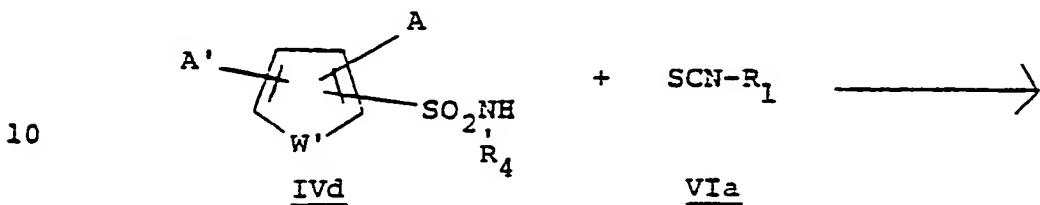
35 As shown in Equation 4, compounds of Formulas I-III, wherein W is S, A and A' are as previously defined and R₅ is H, are alternatively

x

28

prepared by the reaction of an appropriately substituted thiophene or furan sulfonamide with the appropriate triazine or pyrimidine isothiocyanate of Formula VIa.

5 Equation 4



20 The reaction of Equation 4 is best carried out
by dissolving or suspending the sulfonamide and iso-
thiocyanate in a polar solvent such as acetone, aceto-
nitrile, ethyl acetate or methylethylketone, adding an
equivalent of a base such as potassium carbonate and
stirring the mixture at a temperature from ambient up
25 to the reflux temperature for one to twenty-four hours.
In some cases, the product precipitates from the reaction
mixture and can be removed by filtration. The product
is stirred in dilute mineral acid, filtered and washed
30 with cold water. If the product does not precipitate
from the reaction mixture, it can be isolated by eva-
poration of the solvent, trituration of the residue
with dilute mineral acid and filtering off the insoluble
product.

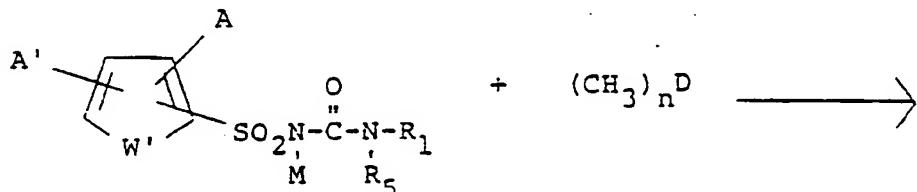
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The heterocycle isothiocyanates which are used in the procedure of Equation 4 are prepared, for example, according to the method of Japan Patent Application Pub: Kokai 51-143686, June 5, 1976, or that of W. Abraham and G. Barnikow, Tetrahedron 29, 691-7 (1973).

As shown in Equation 5, compounds of Formulas I-III, wherein A, A', R₁, W', and R₅ are as defined previously, and W is O, can be prepared by methylation of salts VII wherein M is an alkali metal cation such as sodium (derived from compounds of Formulas I-III wherein R₄ is hydrogen):

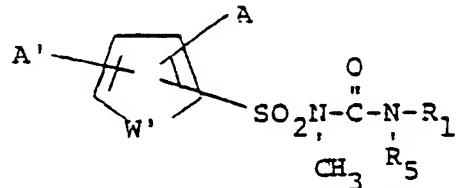
Equation 5

15



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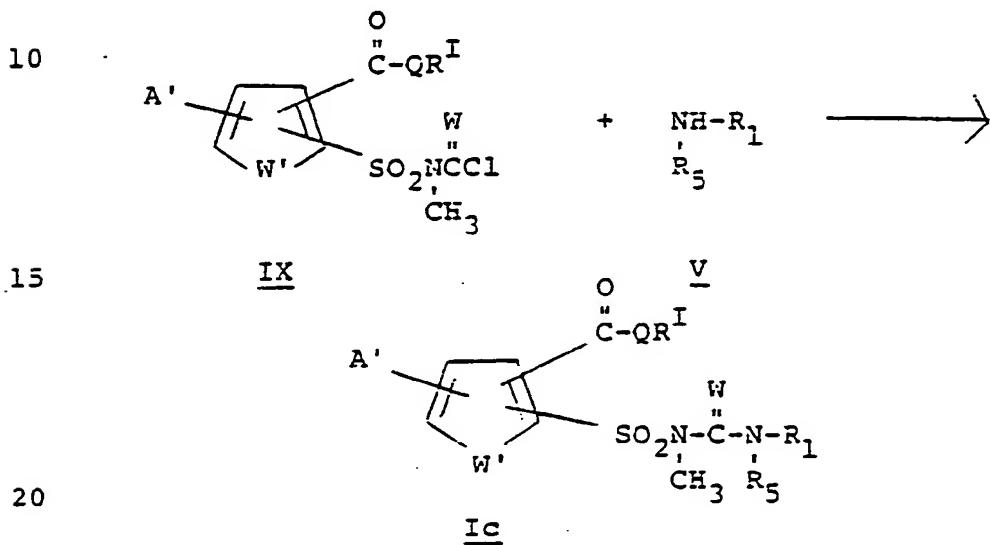
D being an incipient anion and n being an integer corresponding to the valence of D.

The reaction of Equation 5 is best carried out in aprotic organic solvents such as tetrahydrofuran, dimethylformamide, or dimethylacetamide, at ambient pressure and temperature. Methylating agents VIII such as dimethyl sulfate or methyl iodide, can be employed. The desired product can be isolated by pouring the reaction mixture into water and filtering off the precipitated solid.

x

As shown in Equation 6, compounds of Formulas I-III wherein A, A', R₁, W', and R₅ are as defined for Equation 5, and W is O or S, can also be prepared by the reaction of an appropriately substituted sulfonyl-N-methylcarbamyl chloride or sulfonyl-N-methylthiocarbamyl chloride of Formula IX with an appropriate aminopyrimidine or aminotriazine of Formula V:

Equation 6



The preparation of ureas and thioureas, like those of Formula IC, from amines and carbamyl chlorides and thiocarbamyl chlorides is well known to the art.

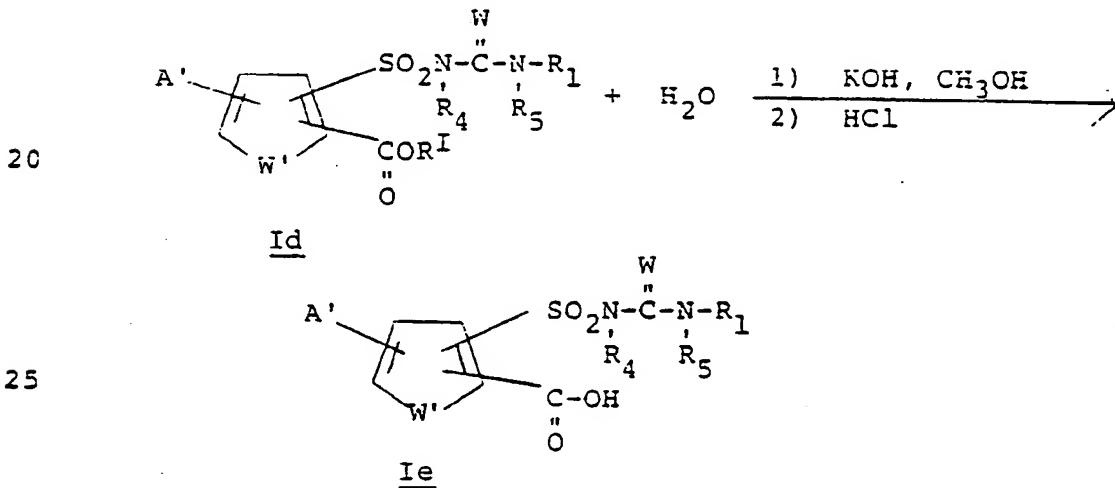
25 The reaction can best be carried out by adding equivalent amounts of chloride IX and amine V to an inert organic solvent, such as tetrahydrofuran, xylene, or methylene chloride, in the presence of an acid acceptor, such as triethylamine, pyridine, or sodium carbonate employing temperatures from 20°-130°.

30 Soluble products can be isolated by filtering off the precipitated salts and concentration of the filtrate. Insoluble products can be filtered off and washed free of salts with water.

The chlorides of Formula IX can be prepared by phosgenation or thiophosgenation of N-methylsulfonamide salts. The sulfonamide salt is added to an excess of phosgene or thiophosgene in an inert organic solvent, such as tetrahydrofuran, toluene, or xylene, whereupon, after removal of the excess phosgene, the chloride IX can be isolated or reacted in situ with the amine VI.

The esters of Formulas I-III hydrolyze to the parent acid as shown in Equation 7. Alkali metal base catalyzed hydrolysis in aqueous methanol produces the alkali metal carboxylate from which the carboxylic acid is obtained by treatment with mineral acids such as HCl:

15 Equation 7



The reaction of Equation 7 is best carried out in a solution containing the compound being hydrolyzed, 2 to 10 parts of methanol, 10-50 parts of water and 2-10 equivalents of a base such as sodium or potassium hydroxide maintaining the temperature at 30-90°C for 3-24 hours. The reaction yields the soluble alkali metal salt of the carboxylic acid,

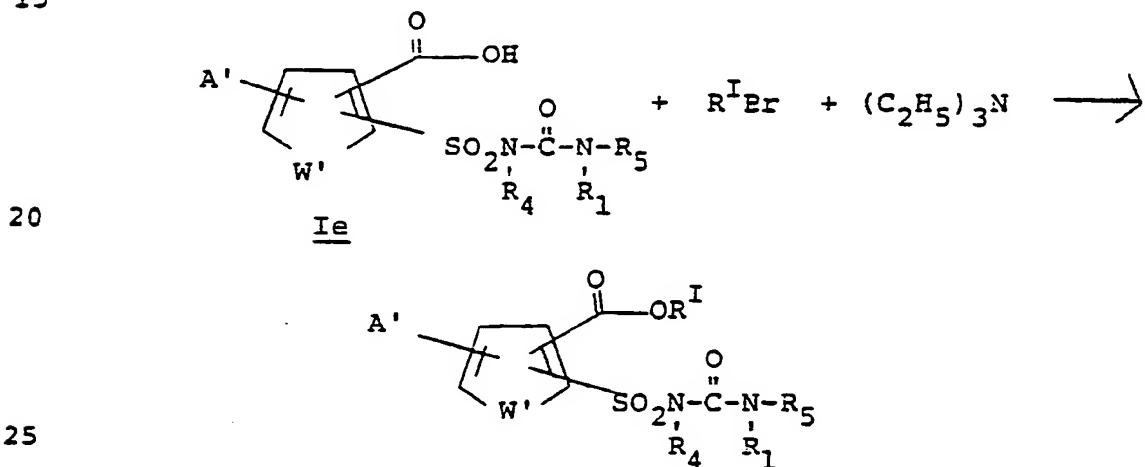
x 32

which is suitable for the purposes of this invention. Conversion of these salts to the acid form is easily carried out by addition to the reaction medium of strong mineral acids, such as hydrochloric or sulfuric acid, causing the desired carboxylic acids to precipitate from solution.

The acids of Formula Ie prepared as in Equation 7 wherein W is O can be converted to compounds of this invention where R^I is a higher alkyl or substituted hydrocarbyl group, as already disclosed herein, by the reaction of salts of the parent acid with R^I-halogen as shown in Equation 8.

Equation 8

15



25

30

The reaction of Equation 8 is of use where the intermediate compound R^I-halogen contains a readily replaceable halogen as is the case for substituted or unsubstituted allylic or benzylic halides, α -halo-nitriles, or α -halocarbonyl compounds.

The procedure of Equation 8 is best carried out in inert polar solvents such as tetrahydrofuran, acetonitrile or acetone by combining the appropriately substituted carboxylic acid and base such as triethyl-

x

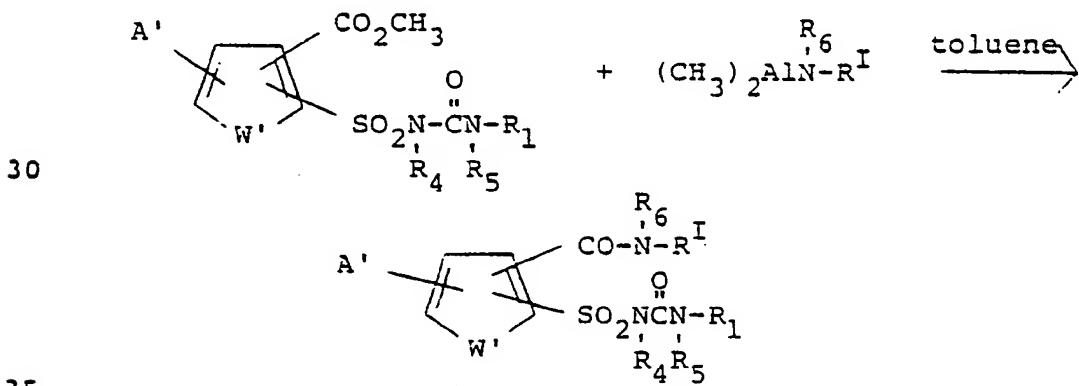
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amine or 1,4-diaza[2,2,2]bicyclooctane adding the appropriate halide and heating the mixture to reflux with stirring for 1 to 16 hours. The reaction mixture can be evaporated to dryness and the residue 5 trituated with water, filtered and washed with water to separate the desired product from the water soluble salt.

The procedure of Equation 8 can also be used for the synthesis of compounds wherein R^I-halogen 10 of Equation 8 is of a less reactive species than described above. In these cases, the silver salt of the carboxylic acid is used rather than the amine salt. The silver salt which is precipitated by adding silver nitrate to an aqueous solution of the 15 sodium salt of the acid of Formula Ie is combined with the appropriate R^I-halide using the same solvents and conditions as shown above for the amine salt.

When Q is NR₆, the compounds can be prepared 20 from the esters of this invention where R^I is C₁-C₄ (preferably C₁) by the reaction of the esters with dialkylaluminum-N-alkylamide derivatives according to Equation 9; R^I, A', W', R₁, R₄, R₅ and R₆ being as previously defined.

25 Equation 9



35

x

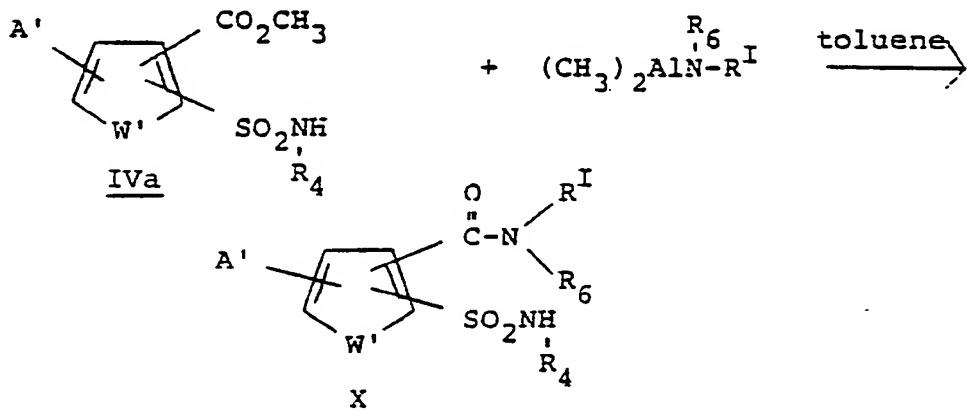
'34

The intermediate alkylaminoaluminum compounds prepared according to A. Basha, M. Lipton and S. W. Weinreb, Tetrahedron Letters 4171 (1977), are co-mingled with a suspension of the esters in toluene or similar inert solvent and the mixture is refluxed for one to six hours. The product can be isolated by evaporation of the solvent, addition of methylene chloride and aqueous hydrochloric acid to decompose the residual reaction mass and extracting the desired product into methylene chloride. Evaporation of the methylene chloride yields the desired product in sufficiently pure form for the purpose of this invention.

Compounds of Formula X, wherein Q is NR_6^I , A' , W' and R_4 are as previously defined in the general formula, which are useful as intermediates in Equation 4, are prepared as shown in Equation 10.

Equation 10

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The conditions described for Equation 8 are suitable for the conversion of the esters of Formula IVa to the carboxamides as shown in Equation 10.

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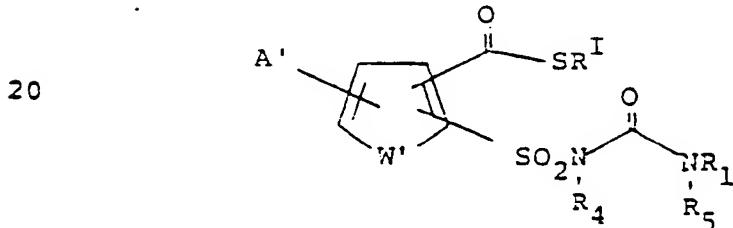
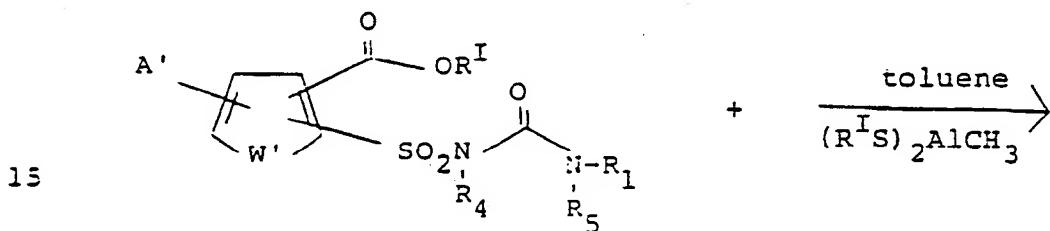
x

35

The products of Equation 10 are especially useful for the preparation of compounds of Formulas I-III wherein Y has an ester substituent $\text{CO}_2(\text{C}_1\text{-C}_6)$, by the route described in Equation 4.

5 When Q is S, these compounds can be prepared from the esters of this invention wherein QR^I is $\text{O}(\text{C}_1\text{-C}_4 \text{ alkyl})$ (preferably C_1) by the reaction of the esters with the appropriate dialkylaluminum alkylthiolate according to Equation 11.

10 Equation 11



The intermediate aluminum thiolates can be prepared according to R. P. Hatch and S. W. Weinreb, Journal of Organic Chemistry, Vol. 42, 3960 (1977). The reaction of the thiolate with the ester of this invention is best carried out in a neutral solvent such as toluene or xylene at reflux for one to three hours. Best results are obtained when the aluminum thiolate compound is present in excess of the stoichiometric amount required.

Sulfonamides of Formula IVc are also converted from carboxylic acid esters to the thiolesters as shown in Equation 12 according to the method of

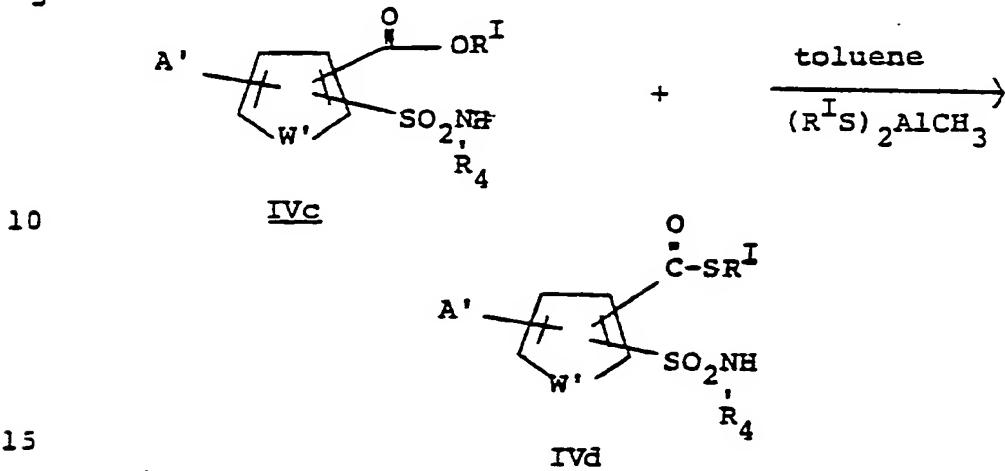
x

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R. P. Hatch and S. W. Weinreb as described for
Equation 11 wherein R^I, A', W' and R₄ are as
previously defined.

Equation 12

5



The conditions described for Equation 11 are suitable for the conversion of the sulfonamides of Formula IVc as shown in Equation 12.

20

The products obtained by the procedure of Equation 12 are especially useful for the preparation of compounds of formulas I-III where Y has a substituent ($\text{CO}_2\text{C}_1\text{-C}_6$) by the route described for Equation 4 and Q = S.

25

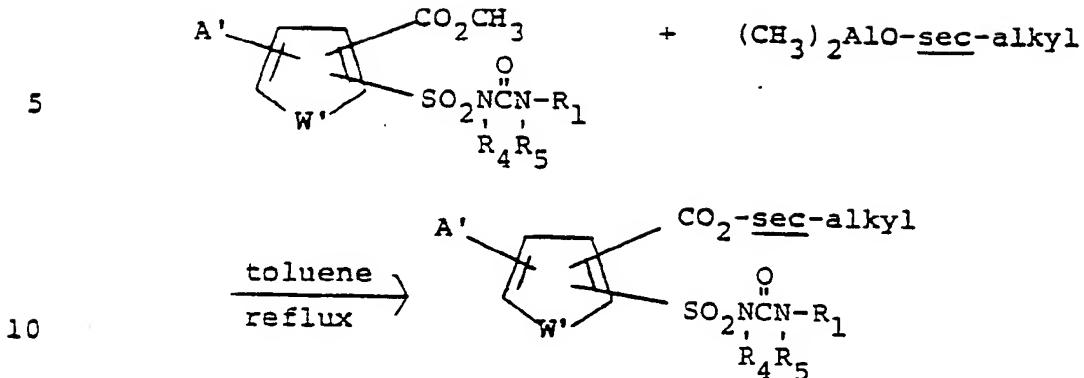
An alternate route to prepare compounds where R^I is bonded to Q (Q=O) at a secondary carbon involves the reaction of the appropriate dialkyl-aluminum alcoholate and an ester of this invention wherein R^I is a lower primary alkyl group, preferably methyl, according to Equation 13.

30

x

37

Equation 13

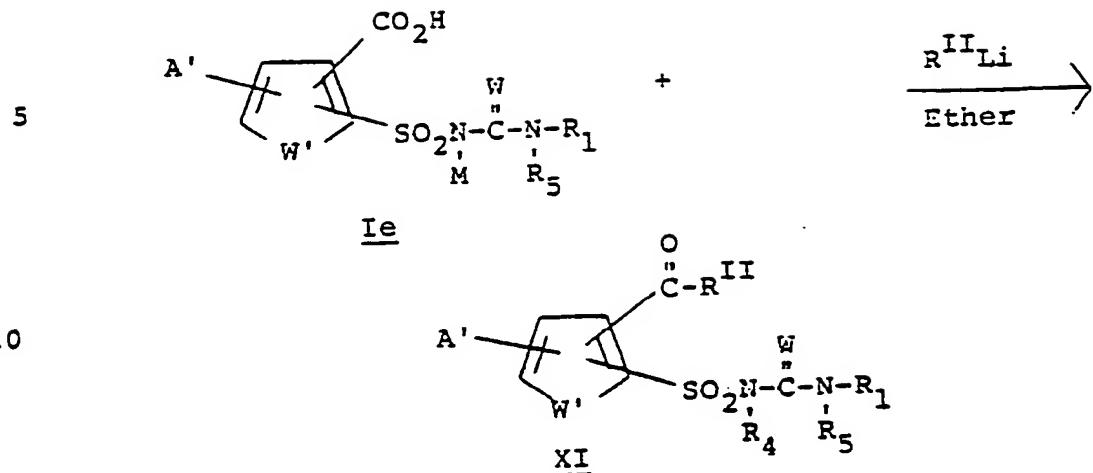


The reaction is carried out in a neutral solvent such as toluene with a boiling point sufficiently high to bring about the desired reaction during reflux. The dialkylaluminum alcoholate being present in greater than an equivalent amount to the ester for best yields. After refluxing for 1-15 hours, the reaction mixture is decomposed with dilute hydrochloric acid and the product extracted into methylene chloride. Evaporation of the methylene chloride yields the desired compound sufficiently pure for the purposes of this invention. The product can be triturated with a solvent, e.g. 1-chlorobutane to remove impurities. O

25 Ketones wherein A is $\text{C}-\text{R}^{\text{II}}$ and A' , W' , W , R_1 ,
 R_4 and R_5 are as defined by the scope of this invention, are prepared according to Equation 14, from the carboxylic acids of Formula Ie whose preparation is described in Equation 7.

30

35

Equation 14

The reaction of an organolithium compound with
 15 a carboxylic acid to yield a ketone as in Equation 14
 is described in the work of H. Gilman and P. R. Van Ess,
 JACS, 55, 1258 (1933); H. Gilman, W. Langham and F. W.
 Moore, *ibid.*, 62 (1940); C. Tegner, Chem. Scand., 6,
 782 (1952); J. F. Arens and D. A. Van Dorp, Rec. Trav.,
 20 65 338 (1946); 66, 759 (1947); C. H. Depuy, G. M.
 Dappen, K. L. Eilers and R. A. Klein, J. Org., 29,
 2813 (1964).

An excess of the organolithium compound in a
 suitable solvent such as diethyl ether, hexane, pen-
 25 tane or benzene is added to a solution or slurry of
 XII in a similar solvent at temperatures between -100
 and 0°C. The mixture is allowed to warm to room
 temperature and stir for 30 minutes. Aqueous acid
 is then added and the ketosulfonamide extracted into
 30 a suitable solvent to free it from salts followed by
 evaporation of the solvent.

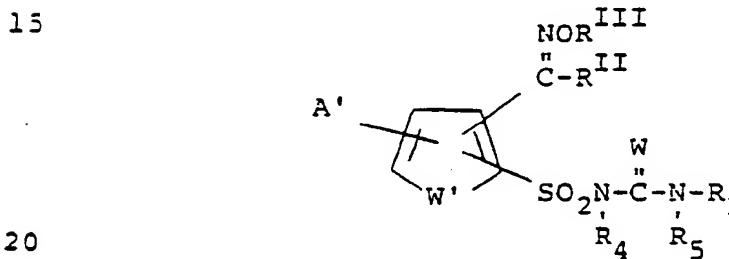
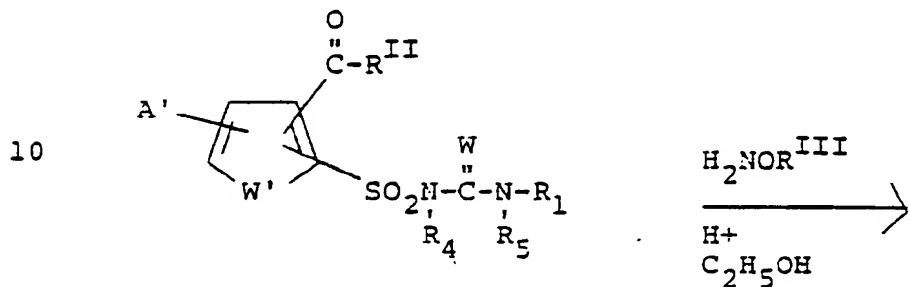
The synthesis of a wide variety of organo-
 lithium compounds by many different procedures is
 known in the art. A summary of methods with bibli-
 35 graphy is contained in Organometallic Compounds,
 G. E. Coates, John Wiley and Sons, 1960, p. 3-21.

x

39

Oximes of the ketones of Formula XI, for example, can be prepared from the appropriate hydroxylamine derivative, wherein R^{III} is as previously defined, and the ketone of Formula XI according to Equation 15.

Equation 15



A procedure such as that described in Preparative Organic Chemistry by G. Hilgetag and A. Martini, Ed., John Wiley and Sons, p. 513 is suitable for the preparation of the oximes of this invention.

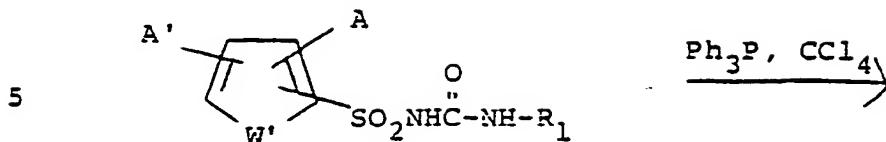
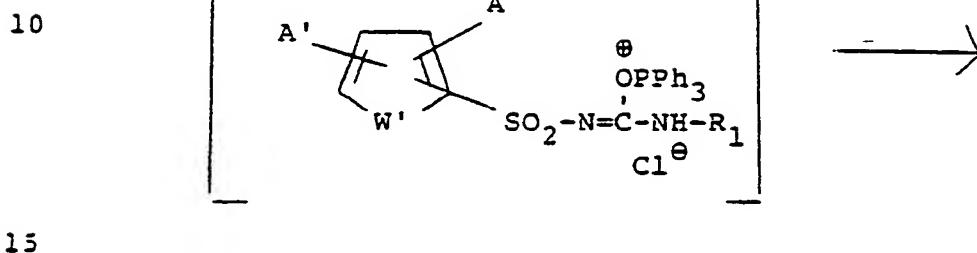
25 Compounds of Formulas I-III wherein B is WR^{IV} SO₂-N=C-NH-R₁ and W is O, are prepared by the sequence of reactions shown in Equation 16.

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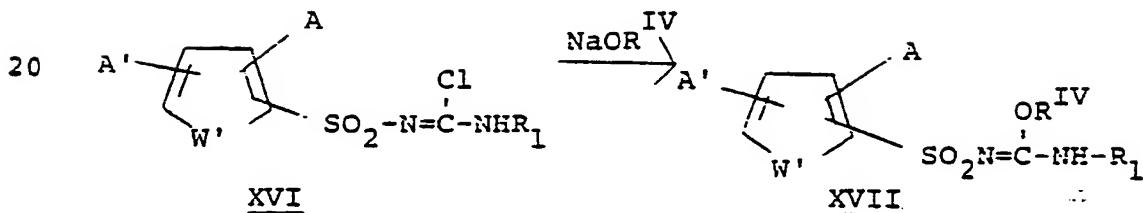
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x

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Equation 16XII

15

XVIXVII

The compounds of Formula XVII are prepared by
 25 adding an appropriate carbon tetrahalide to a solution
 of a compound of Formula XII and triphenyl phosphine
 in an inert aprotic solvent such as acetonitrile at
 about -10 to 25° and stirring at the designated temper-
 ature for 10 to 48 hours. The carbamimidoyl halides
 30 of Formula XVI thus formed may be isolated by passing
 the reaction solution through a silica gel column to
 remove the triphenyl phosphine oxide and removal of the
 solvent by evaporation under reduced pressure.

The compounds of Formula XVI can be converted
 35 to the corresponding compounds of Formula XVII by

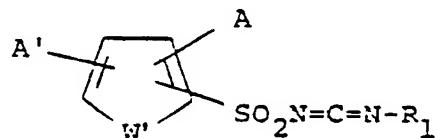
x

41

treating reaction mixture with a metal alkoxide, NaOR^{III} , at -10 to 25° and stirring at ambient temperature for 2 to 24 hours. The crude products of Formula XVII are isolated by filtering off the precipitated metal halide and removing the solvent by evaporation under reduced pressure. Further purification may be accomplished by recrystallization or by column chromatography over silica gel.

It will be understood that the compounds of Formula XVI are not necessarily converted directly to the compounds of Formula XVII, but may first form the carbodiimides of Formula XVIII.

15

XVIII

20

Many compounds, particularly compounds in which the heterocyclic moiety is pyrimidinyl, may be prepared by the sequence of reactions shown in Equation 17.

25

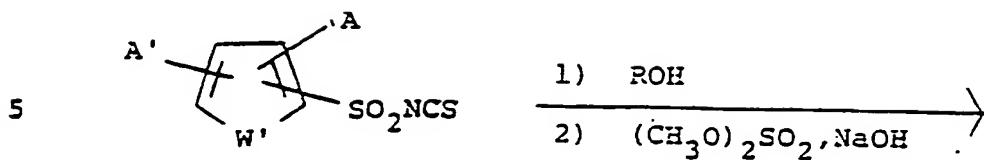
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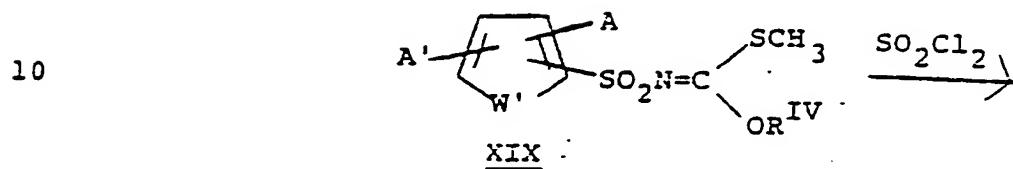
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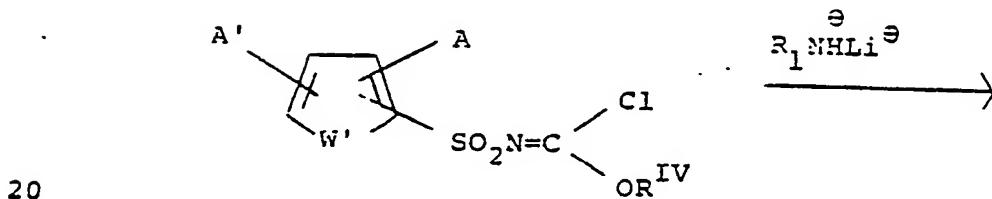
Equation 17



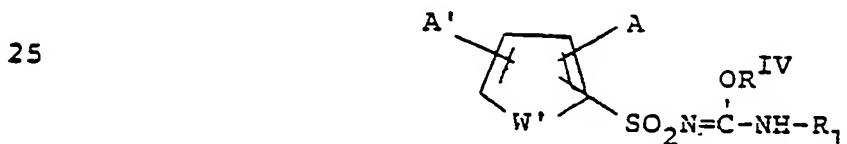
xiv



15



xx



XXI

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The compounds of Formula XIX are prepared according to the procedure of R. Gompper and W. Hägele in *Chemische Berichte* 99, 2835-2899 (1966).

The compounds of Formula XX are prepared from the compounds of Formula XIX with sulfuryl chloride

35

in an inert organic solvent such as methylene chloride

x '43

or chloroform at temperatures between -10 and 80°. They are isolated by removing the solvent under reduced pressure, and can be used without further purification.

5 The compounds of Formula XXI are prepared in
the following manner: The lithium salt of the appro-
priate aminoheterocycle is prepared from the amino-
heterocycle with n-butyl lithium in a solvent such
as tetrahydrofuran. To this salt solution is added
10 the compound of Formula XX in tetrahydrofuran at a
temperature of about -10 to 10°. The reaction mix-
ture is then stirred at about 0-10° for 1/2-2 hours
and at ambient temperature for 1/2-4 hours. The
products of Formula XXI are isolated by filtering
15 off the inorganic salts and removing the solvent
under reduced pressure. Further purification can
be done by recrystallization or by column chroma-
tography on silica gel using a suitable eluent such
as ethyl acetate.

As shown in Equation 18, the compounds of
 WR^IV
 Formula XXIV, wherein $B = SO_2-N=C-NH-R_1$ and $W = S$
 can be prepared by reacting an appropriately substi-
 tuted carbamimidothioic acid salt of Formula XXII
 with an alkylating agent of Formula XXIII.

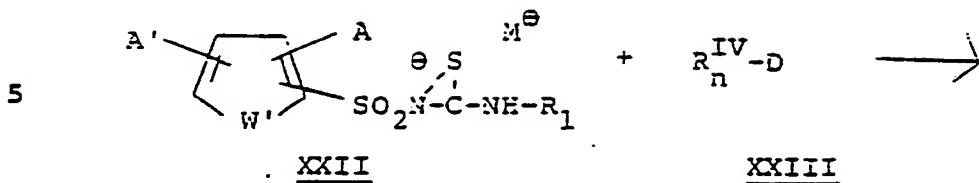
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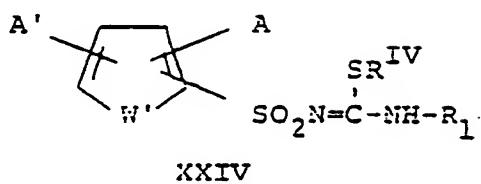
x

44

Equation 18



10



15 wherein D is a sulfate or halogen, such as Cl, Br or I; M is an alkali or alkaline earth metal, and n is an integer corresponding to the valence of D.

The reaction is best carried out in inert aprotic organic solvents such as tetrahydrofuran or diethyl ether at temperatures between 25° and 100°C and ambient pressure. The mode of addition is not critical; however, it is often convenient to add the alkylating agent in solution to a stirred suspension of the salt of Formula XXII. The product is isolated by evaporation of the solvent and can be purified by recrystallization from a solvent such as acetonitrile or ethanol.

The metal salts of Formula XXII can be prepared by treating the corresponding sulfonylthiourea with a solution of an alkali metal or alkaline earth metal salt having an anion sufficiently basic to the proton (e.g. hydroxide, alkoxide, carbonate or hydride).

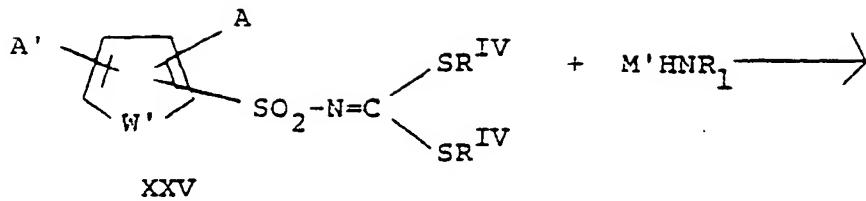
When Z is N, the preferred procedure for the preparation of compounds of Formula XXIV is that shown in Equation 19.

x

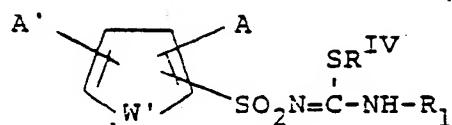
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Equation 19

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A compound of Formula XXV is treated with an alkali metal (M') salt of the appropriately substituted heterocyclic amine at temperatures of 0° to 100°C in a solvent such as dimethylformamide, dimethylsulfoxide or an ethereal solvent, such as tetrahydrofuran.

20

Compounds of Formula XXV can be prepared according to the procedure of Chem. Ber. 99, 2885 (1966).

Compounds of Formulas I-III wherein Y of group

25

$\begin{matrix} \text{O} \\ || \\ \text{R}_1 \end{matrix}$ contains $-\overset{\text{O}}{\underset{\text{L}}{\text{C}}}-\text{L}$ and L is OH can be prepared according to the procedure of Equation 20 wherein A', W', X, R₄, W and R₅ are as defined previously; and Q' is $\text{C}_1\text{-C}_4$ alkyl, OCH_2 , OCH_2CH_2 , OCH_3 , N_1 , or NCH_2
 CH_3 R_{11} R_{11}

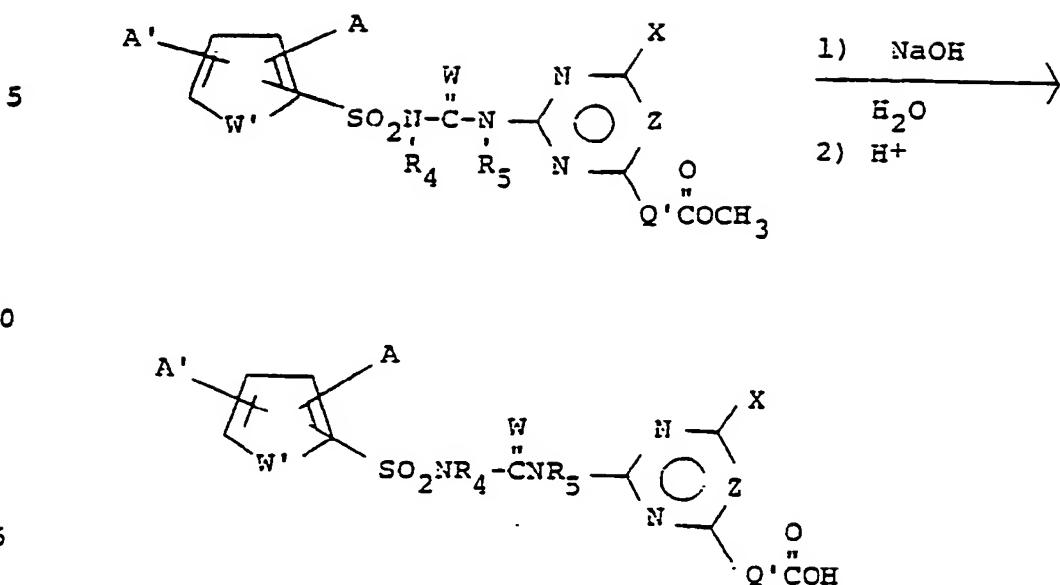
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where R₁₁ is as previously defined.

x

46

Equation 20



The reaction of Equation 20 is best carried out by suspending the compound being hydrolyzed in 10 to 100 parts of water with enough of a base such as sodium hydroxide or potassium hydroxide to obtain a pH 10 to 14, ideally a pH of 12, heating until a clear solution is obtained and then adjusting the pH to 1-3, preferably 3. The product is thus caused to precipitate in some instances and can be removed by filtration or it can be extracted into a polar organic solvent such as methylene chloride and isolated by evaporation of the solvent.

30 Thiophene derivatives with sulfamoyl and
alkoxycarbonyl substituents on adjacent carbon atoms
are prepared by the methods taught by O. Hromatka
and D. Binder, U.S. Patent 4,028,373 and P. A. Rossy
et al., U.S. Patent 4,143,050. The analogous furan
derivatives are prepared similarly or as taught
in Belgian Patent 871,772.

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x

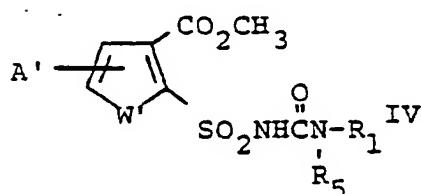
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Compounds of structure XXIX wherein A is an aldehyde group and A' does not equal $-NO_2$ are prepared by the procedure of Equation 21.

Equation 21

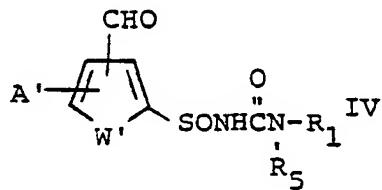
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$Na Al(OCH_2CH_2OCH_3)_2(NC_6H_5) H$

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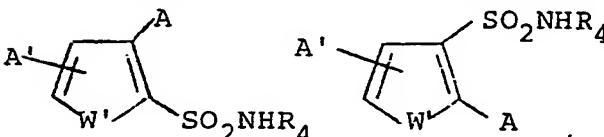
Following the procedure of R. Kanazawa and T. Tokoroyama, Synthesis, 526 (1976), a solution of sodium bis-(2-methoxyethoxy)aluminum hydride in THF is reacted with one equivalent of morpholine.

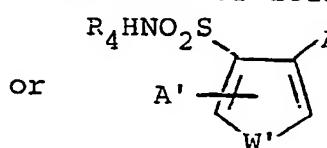
5 To this solution at -40°C is added a methyl ester of Formula XXVIII and the solution is allowed to warm to 25°C. The product is isolated by addition of aqueous acid and extraction into ether or methylene chloride. Evaporation of the solvent and 10 crystallization or column chromatography on silica gel affords the aldehyde XXX.

Aldehydes of Formula XXX may also be prepared from the esters by treatment with diisobutylaluminum hydride according to the procedures 15 of E. Winterfeldt, Synthesis, 617 (1975).

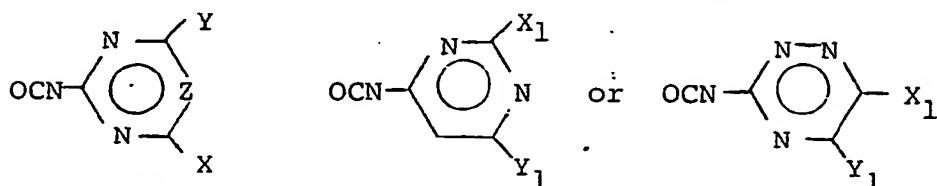
Compounds of Formulas I, II and III may also be prepared by the reaction of the appropriately substituted thiophene or furan sulfonamides with the appropriate heterocyclic isocyanate using the 20 methods described in our U.S. Patent applications Serial Nos. 098,722, and 098,722 filed November 20, 1979 corresponding to European Patent Application (of even date herewith).

According to this process a sulfonamide of formula

25 

or 

is reacted with an isocyanate of formula:



The reaction is best performed in an inert organic solvent e.g. acetonitrile, THF, toluene acetone 30 or butanone, optionally in the presence of a catalytic amount of base and preferably at a temperature in the range 25 to 110°C.

x

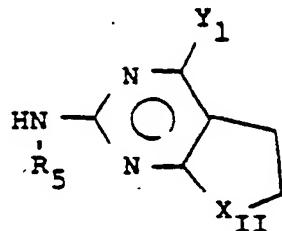
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- The synthesis of heterocyclic amines has been reviewed in "The Chemistry of Heterocyclic Compounds" a series published by Interscience Publ., New York and London. 2-Aminopyrimidines are described by
- 5 D. J. Brown in The Pyrimidines, Vol. XVI of this series. The 2-amino-1,3,5-triazines are reviewed by K. R. Huffman and in The Triazines of this same series. The synthesis of triazines are also described by F. C. Schaefer, U.S. Patent No. 3,154,547
- 10 and by K. R. Huffman and F. C. Schaeffer, J. Org. Chem. 28, 1816-1821 (1963).

The preparation of the aminoheterocycles described by Formula XXX varies according to the definition of Y_1 and X_{II} .

15

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XXX

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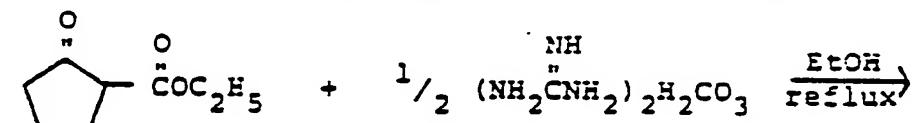
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Braker, Sheehan, Spitzmiller and Lott, J. Am. Chem. Soc. 69, 3072 (1947) describe the preparation of 6,7-dihydro-4-methoxy-5H-cyclopentapyrimidin-2-amine by the following sequence of reactions.

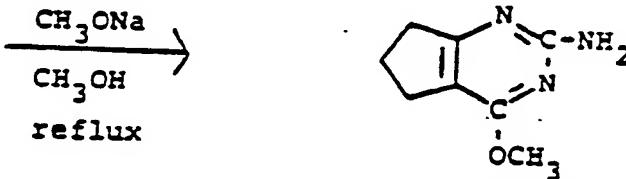
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6,7-dihydro-4-methoxy-5H-cyclopentapyrimidin-2-amine.

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Similarly, 6,7-dihydro-4-methyl-5H-cyclopenta-pyrimidin-2-amine can be prepared by the condensation of 2-acetylcylopentanone with guanidine carbonate, but preferably under acidic conditions, removing the water formed.

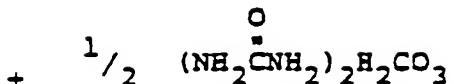
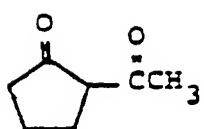
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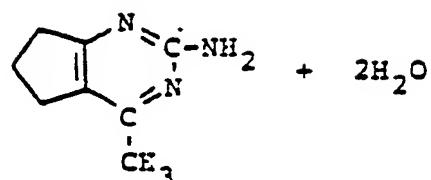
x

51



5 p-toluene sulfonic acid

dioxane
toluene
reflux

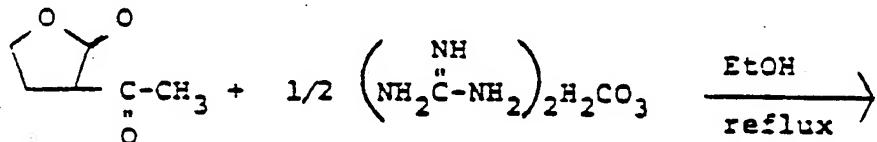


6,7-dihydro-4-methyl-5H-cyclopentapyrimidin-2-amine.

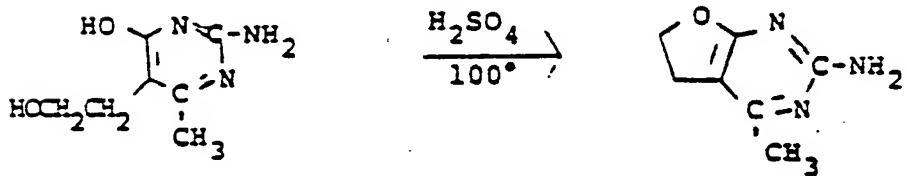
10

Shrage and Hitchings, J. Org. Chem. 16, 1153 (1951)
15 describe the preparation of 5,6-dihydro-4-methylfuro[2,3-d]pyrimidin-2-amine by the following sequence of reactions

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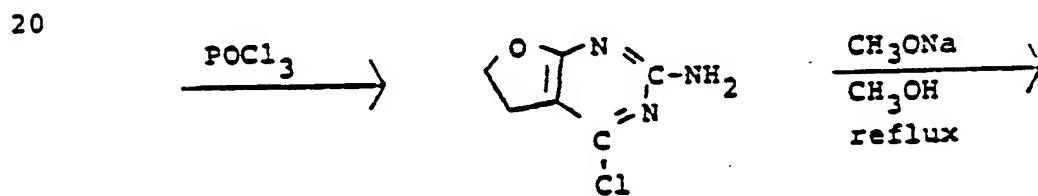
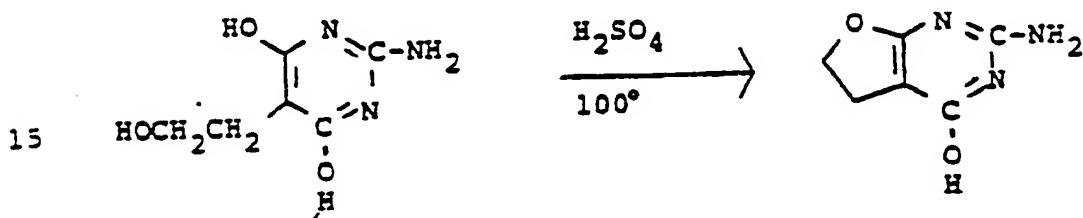
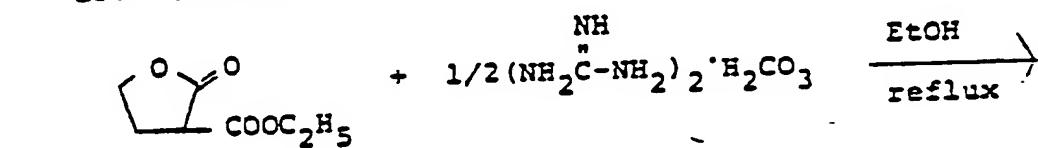


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x
 5,6-Dihydro-4-methoxyfuro[2,3-d]pyrimidin-2-amine can be prepared by the method of Braker et al., J. Am. Chem. Soc. 69, 3072 (1947), using 5,6-dihydro-4-hydroxyfuro[2,3-d]pyrimidin-2-amine [Svab, Budesinski and Vavrina, Collection Czech. Chem. Commun. 32, 1582 (1967)].



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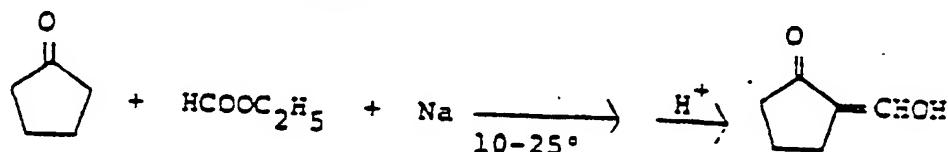
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x

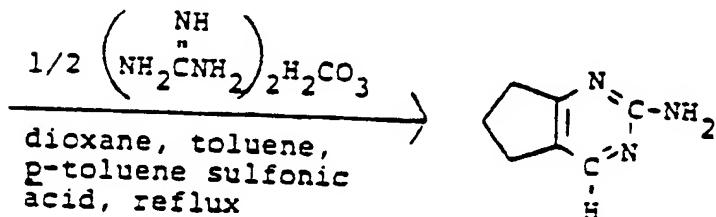
53

Caldwell, Kornfield and Donnell, J. Am. Chem. Soc. 63, 2188 (1941), describe the preparation of 6,7-dihydro-5H-cyclopentapyrimidin-2-amine by the following sequence of reactions.

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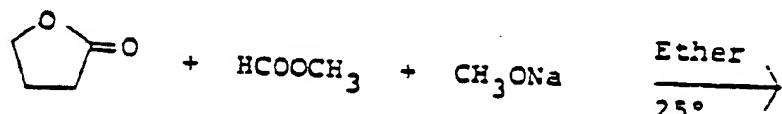
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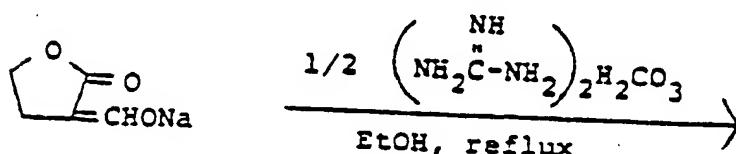
15

Fissekis, Myles and Brown, J. Org. Chem. 29, 2670 (1964), describe the preparation of 2-amino-4-hydroxy-5-(2-hydroxyethyl)pyrimidine which can be converted to 5,6-dihydrofuro[2,3-*d*]pyrimidin-2-amine by dehydration.

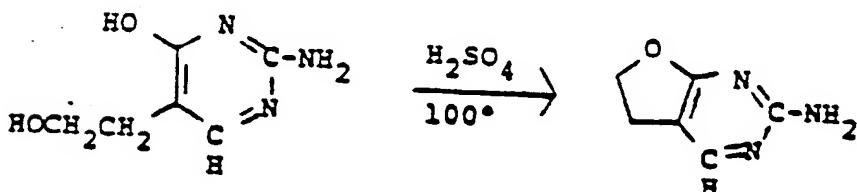
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- Agriculturally suitable salts of compounds of Formulas I-III are also useful herbicides and can be prepared by a number of ways known to the art. For example, metal salts can be made by treating compounds of Formulas I-III with a solution of alkali or alkaline earth metal salt having a sufficiently basic anion (e.g., hydroxide, alkoxide, carbonate or hydride). Quaternary amine salts can be made by similar techniques.
- Salts of compounds of Formulas I-III can also be prepared by exchange of one cation to another. Cationic exchange can be effected by direct treatment of an aqueous solution of a salt of a compound of Formulas I-III (e.g., alkali metal or quaternary amine salt) with a solution containing the cation to be exchanged. This method is most effective when the desired salt containing the exchanged cation is insoluble in water, e.g., a copper salt, and can be separated by filtration.
- Exchange may also be effected by passing an aqueous solution of a salt of a compound of Formulas I-III (e.g., an alkali metal or quaternary amine salt) through a column packed with a cation exchange resin containing the cation to be exchanged. In this method, the cation of the resin is exchanged for that of the original salt and the desired product is eluted from the column. This method is particularly useful when the desired salt is water soluble, e.g., a potassium, sodium or calcium salt.
- Acid addition salts, useful in this invention, can be obtained by reacting a compound of Formulas I-III with a suitable acid, e.g., *p*-toluenesulfonic acid, trichloroacetic acid or the like.

x

The compounds of this invention and their preparation are further illustrated by the following examples wherein temperatures are given in degrees centigrade.

5 The desired product is underscored at the top of each example.

Example 1

2-Methoxycarbonyl-3-thiophenesulfonyl isocyanate

A mixture containing 22.1 g of methyl-3-sulfamoylthiophene-2-carboxylate, 9.9 g of n-butyl isocyanate, 0.3 g of 1,4-diaza[2,2,2]bicyclooctane and 150 ml of dry xylene was placed in a 4 neck round bottom flask equipped with a gas inlet tube, mechanical stirrer, thermometer and dry ice cooled reflux condenser. This mixture was heated to 135°C and phosgene was passed into the flask so that after several minutes, the reflux temperature dropped to 120°. The phosgene addition was halted until the temperature rose to 130 and then additional phosgene was added to cause the temperature to drop again to 120°. The phosgene addition cycle was repeated until the reflux temperature of the reaction mixture remained at 120° with no further phosgene addition.

Cooling the reaction mixture caused a small amount of a precipitate to form which was removed by filtration and the filtrate was concentrated in-vacuo to yield an oil which showed a strong absorption peak in the infrared region at 2200 cm⁻¹ consistent for the desired sulfonyl isocyanate. This highly reactive intermediate was used without further purification.

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Example 2

Methyl 3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-aminosulfonyl]-2-thiophenecarboxylate

To 1.23 g of 2-amino-4,6-dimethyl pyrimidine
5 in 30 ml of anhydrous acetonitrile was added with
stirring 2.7 g of 2-methoxycarbonyl-3-thiophene-
sulfonylisocyanate. The mixture was heated to the
boiling point, whereupon all of the insoluble material
dissolved and the mixture was allowed to cool. After
10 stirring for two hours the mixture was filtered to
remove the desired product which had precipitated as
a white solid. After washing with anhydrous ethyl
ether the product melted at 191-193° with decomposition
and showed absorption peaks by nuclear magnetic reso-
15 nance at 3.8 ppm for the methoxy group, 2.44 ppm for
the two methyl groups on the pyrimidine ring, a peak
at 7.0 consistent for the hydrogen in the pyrimidine
ring and a peak at 7.42 for the hydrogens on the
thiophene ring. The infrared absorption spectrum
20 showed absorption peaks at 1720 and 1700 cm⁻¹ consistent
for the two carbonyl groups present in the desired
product.

Example 3

Methyl 3-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
25 aminosulfonyl]-2-thiophenecarboxylate

To 1.5 g of 2-amino-4,6-dimethoxypyrimidine in
30 ml of anhydrous acetonitrile was added 2.7 g of 2-
methoxycarbonyl-3-thiophenesulfonyl isocyanate with
stirring at ambient temperature. All of the solid
30 reactant dissolved and after twenty minutes of stirring
a precipitate started to form. After two hours the
mixture was filtered and the solid which was washed
with anhydrous ethyl ether, melted at 191-193°. The
solid showed peaks by nuclear magnetic resonance
35 spectroscopy at 4.0 ppm and 3.8 ppm for the methoxy

x

groups, 6.0 ppm for the H of pyrimidine and 7.6 ppm for the hydrogens on thiophene. The infrared absorption spectrum showed absorption peaks at 1730 and 1700 cm⁻¹ consistent for the two carbonyl peaks in the desired product.

5 Example 4

Methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate

To 1.4 g of 2-amino-4-methoxy-6-methylpyrimidine in 30 ml of anhydrous acetonitrile was added at ambient temperature, with stirring 3.6 g of 2-methoxycarbonyl-3-thiophenesulfonylisocyanate. The mixture was heated to the boiling point and then allowed to cool to ambient temperature. After stirring for sixteen hours the precipitate present in the mixture was filtered off and washed with anhydrous ethyl ether. The product thus obtained which melted at 165-173° showed absorption peaks by infrared spectroscopy at 1720 and 1700 cm⁻¹, consistent for the carbonyl groups in the desired product.

10 Example 5

Methyl 3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate

To 1.23 g of 2-amino-4,6-dimethyl-1,3,5-triazine in 30 ml of anhydrous methylene chloride was added with stirring 2.7 g of 2-methoxycarbonyl-3-thiophenesulfonylisocyanate. The mixture was heated to the boiling point and allowed to cool and stir at ambient temperature for sixteen hours. The solid thus obtained was removed by filtration to yield 2.3 g of the crude desired product melting at 158-178°. The product showed peaks at 1720 and 1710 cm⁻¹, by infrared absorption spectroscopy, consistent for the desired product.

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Example 6

Methyl 3-[(5,6 dimethyl-1,2,4-triazinyl-2-yl)aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate

To 1.2 g of 3-amino-5,6-dimethyl-1,2,4-triazine
 5 in 30 ml of anhydrous methylene chloride was added with
 stirring 2.7 g of 2-methoxycarbonyl-3-thiophene-
 sulfonylisocyanate. After stirring for 16 hours
 at ambient temperature the solution was filtered to
 remove some insoluble material and the filtrate
 10 evaporated to dryness. The residue thus obtained
 was triturated with ethyl ether and the insoluble
 product filtered to yield 2.9 g of the desired com-
 pound melting at 129° with decomposition. Infrared
 analysis of this product showed absorption peaks at
 15 1730 and 1700 cm⁻¹ as expected for the desired product.

Example 7

3-[(4,6-Dimethylpyrimidin-2-yl)aminocarbonyl]amino
sulfonyl]-2-thiophene carboxylic acid

A solution of 1.0 g of methyl 3-[(4,6-
 20 dimethylpyrimidin-2-yl)aminocarbonyl]aminosulfonyl]-
 2-thiophenecarboxylate in 10 ml of ethanol and 1 ml
 of 50% sodium hydroxide in water was stirred over-
 night at room temperature. To this was added ice-
 25 water and aqueous hydrochloric acid until acidic,
 and the precipitate was filtered and washed first
 with acetone and then with methylene chloride. The
 product .8 g, which melted at 127°.

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Example 8

1-[3-[(4-methoxy-6-methylpyrimidin-2-yl)amino-carbonyl]aminosulfonyl]thiene-2-yl carbonyl] pyrrolidone

To 2.5 ml of a 2M-solution of trimethyl-aluminum in toluene was added 20 ml of methylene chloride and 400 μ l of pyrrolidine. To this solution was added .66 g of methyl 3-[(4-methoxy-6-methylpyrimidin-2-yl)amino carbonyl]aminosulfonyl]-2-thiophenecarboxylate. The resulting solution was stirred under nitrogen overnight at room temperature, quenched with 5N aqueous hydrochloric acid, and extracted with ethyl acetate. The residue obtained from evaporation of solvent was washed with ether to afford .6 g of product, mp 184-5°, which showed absorption peaks at 1.8-2.2 ppm and 3.2-3.8 ppm for the pyrrolidine ring and no methyl ester peak, and all other signals indicative of the desired product.

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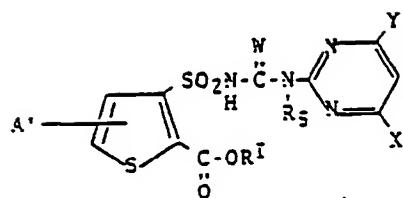
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TABLE I-a

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	$\underline{\lambda}'$	$\underline{\text{R}}^1$	$\underline{\text{R}}_2$	$\underline{\text{R}}_3$	$\underline{\text{X}}$	$\underline{\text{Y}}$
	H	CH ₃	O	H	CH ₃	Cl
	H	CH ₃	O	H	H	Cl
10	H	CH ₃	O	H	Cl	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH(CH ₃) ₂
	H	CH ₃	O	H	CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₃
15	H	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₂ HS
	H	CH ₃	O	H	CH ₃	CH ₂ CN
	H	CH ₃	O	H	OCH ₃	CH ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₃ CO ₂ CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CO ₂ CH ₂ HS
20	H	CH ₃	O	H	OCH ₃	CH ₂ CF ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CH ₂ Cl
	H	CH ₃	O	H	OCH ₃	CF ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CCl ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₂ Cl
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₃ Br
	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CH ₂
25	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CHCH ₃
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₃ OCH ₂ HS
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH(CH ₃) ₂
30						

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TABLE I-a (cont'd)

	$\frac{R_1}{H}$	$\frac{R^I}{CH_3}$	$\frac{W}{O}$	$\frac{R_2}{H}$	Σ	Υ
10	H	CH ₃	O	H	CH ₃	$O(CH_2)_3O(CH_2)_2CH_3$
	H	CH ₃	O	H	CH ₃	OCH_2-C-OH
	H	CH ₃	O	H	CH ₃	OCH_2-C-NH_2
15	H	CH ₃	O	H	CH ₃	$OCH_2CH_2C-N^{OCH_3}CH_3$
	H	CH ₃	O	H	CH ₃	$OCH_2-C-N^{CH_3}H$
	H	CH ₃	O	H	CH ₃	$OCH_2-C-N^{CH_3}H$
20	H	CH ₃	O	H	CH ₃	$OCH_2C-N^{C_2H_5}C_2H_5$
	H	CH ₃	O	H	CH ₃	$OCH_2-C-N-CH_3$
	H	CH ₃	O	H	CH ₃	$OCH_2-C-O-(CH_2)_3CH_3$
25	H	CH ₃	O	H	CH ₃	$OCH_2C-O-C_2H_5$
	H	CH ₃	O	H	CH ₃	$OCH_2C-O-CH(CH_3)_2$
	H	CH ₃	O	H	CH ₃	$OCH_2C-O-(CH_2)_5CH_3$
30	H	CH ₃	O	H	CH ₃	$OCH_2C-O-CH(CH_2)_3CH_3$
	H	CH ₃	O	H	CH ₃	SCN
	H	CH ₃	O	H	CH ₃	N ₃
	H	CH ₃	O	H	CH ₃	NH ₃
	H	CH ₃	O	H	CH ₃	NHCH ₃
	H	CH ₃	O	H	CH ₃	$N^{CH_3}OCH_3$

TABLE I-a (cont'd)

	<u>A'</u>	<u>R^I</u>	<u>X</u>	<u>R₂</u>	<u>X</u>	<u>Y</u>
10	H	CH ₃	O	H	CH ₃	N<sup>CH</sub> ₃ C ₂ H ₅
	H	CH ₃	O	H	CH ₃	N<sup>CH</sub> ₃ (CH ₂) ₅ CH ₃
	H	CH ₃	O	H	CH ₃	NH<sup>CH</sub> ₂
15	H	CH ₃	O	H	CH ₃	NH- 
	H	CH ₃	O	H	CH ₃	NH- 
20	H	CH ₃	O	H	CH ₃	NH-CH ₂ CH=CH ₂
	H	CH ₃	O	H	CH ₃	NH-CH ₂ CH=CHCH ₃
	H	CH ₃	O	H	CH ₃	N-(CH ₂) ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	N-Cl-CH ₂ OCH ₃
25	H	CH ₃	O	H	CH ₃	NH-(CH ₂) ₂ OC ₂ H ₅
	H	CH ₃	O	H	CH ₃	N-CH ₂ CN
	H	CH ₃	O	H	CH ₃	NH-CH-CN
30	H	CH ₃	O	H	CH ₃	CH ₃
	H	CH ₃	O	H	CH ₃	N-CH-CO ₂ H
	H	CH ₃	O	H	CH ₃	NH-CH-CO ₂ CH ₃
	H	CH ₃	O	H	CH ₃	N-CH ₂ CO ₂ C ₂ H ₅

TABLE I-a (cont'd)

	<u>A'</u>	<u>R^I</u>	<u>R^{II}</u>	<u>R₃</u>	<u>X</u>	<u>Y</u>
10	H	CH ₃	O	H	CH ₃	
	H	CH ₃	O	H	CH ₃	
15	H	CH ₃	O	H	CH ₃	O-C ₂ H ₅
	H	CH ₃	O	H	CH ₃	O-n-C ₃ H ₇
	H	CH ₃	O	H	CH ₃	O-CH(CH ₃) ₂
	H	CH ₃	O	H	CH ₃	O-CH-C ₂ H ₅
	H	CH ₃	O	H	CH ₃	CH ₃
20	H	CH ₃	O	H	CH ₃	O-CH ₂ CF ₃
	H	CH ₃	O	H	CH ₃	O-CH ₂ CH ₂ Cl
	H	CH ₃	O	H	CH ₃	O-CH ₂ CH ₂ Br
	H	CH ₃	O	H	CH ₃	O-CH ₂ CCl ₃
	H	CH ₃	O	H	CH ₃	O-CH ₂ CN
	H	CH ₃	O	H	CH ₃	O-CH-CN
25	H	CH ₃	O	H	CH ₃	O-CH ₂ -CH=CH=CH ₃
	H	CH ₃	O	H	CH ₃	O-CH ₂ -C≡CH
	H	CH ₃	O	H	CH ₃	O-CH ₂ -C≡C-CH ₂ Cl
	H	CH ₃	O	H	CH ₃	
30	H	CH ₃	O	H	CH ₃	O-CH ₂ -cyclopentane
	H	CH ₃	O	H	CH ₃	S-CH ₃
	H	CH ₃	O	H	CH ₃	S-CH(CH ₃) ₂
	H	CH ₃	O	H	CH ₃	S-n-C ₄ H ₉
	H	CH ₃	O	H	CH ₃	S-CH ₂ CH-CH ₂
	S-CH ₃	CH ₃	O	H	CH ₃	OCH ₃
	S-CH ₃	CH ₃	O	H	CH ₃	OCH ₃
	S-Cl	CH ₃	O	H	CH ₃	OCH ₃
	S-Cl	CH ₃	O	H	CH ₃	OCH ₃
	S-Cl	CH ₃	O	H	CH ₃	OCH ₃

TABLE I-a (cont'd)

	A'	R ^I	W	R ₂	X	Y
10	S-BT	CH ₃	O	H	CH ₃	OCH ₃
	S-BT	CH ₃	O	H	CH ₃	OCH ₃
	S-BT	CH ₃	O	H	CH ₃	OCH ₃
	S-C ₂ H ₅	CH ₃	O	H	CH ₃	OCH ₃
	S-n-C ₄ H ₉	CH ₃	O	H	CH ₃	OCH ₃
15	H	(CH ₂) ₅ CN	O	H	CH ₃	OCH ₃
	H	CH ₂ -CH=CH-CH ₂ -Cl	O	H	CH ₃	OCH ₃
	H	CH ₂ CH=CH(CH ₂) ₂ Cl	O	H	CH ₃	OCH ₃
	H	CH ₂ C≡CCH ₂ Cl	O	H	CH ₃	OCH ₃
	H	CH ₂ C≡C(CH ₂) ₃ Cl	O	H	CH ₃	OCH ₃
20	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
25	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
30	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
35	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃

TABLE I-a (cont'd)

	<u>X'</u>	<u>R^I</u>	<u>R₂</u>	<u>R₃</u>	<u>X</u>	<u>Y</u>
10	H	CH ₃	O	H	OCH ₃	S-CH ₂ -C≡CH
	H	CH ₃	O	H	OCH ₃	S-CH-CX CH ₃
	H	CH ₃	O	H	OCH ₃	S-CH ₂ CN
	H	CH ₃	S	H	OCH ₃	CH ₃
	H	CH ₃	S	H	CH ₃	CH ₃
15	H	CH ₃	S	H	OCH ₃	CH ₃
	H	CH ₃	S	H	OCH ₃	CH ₃
	H	CH ₃	S	CH ₃	OCH ₃	OCH ₃
	H	CH ₃	S	CH ₃	CH ₃	OCH ₃
	H	CH ₃	S	H	OCH ₃	OCH ₂ H ₅
	H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
20	H	CH ₃	O	CH ₃	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	CH ₃	CH ₃
	H	CH ₃	O	CH ₃	CH ₃	OCH ₂ CO ₂ CH ₃
	1-CI	CH ₃	O	H	Cl ₃	OCH ₃
	1-CI	CH(CH ₃) ₂	O	H	Cl ₃	OCH ₃
	1-CI	CH ₂ CH=CH ₂	O	H	Cl ₃	OCH ₃
	1-Br	C ₂ H ₅	O	H	Br ₃	OCH ₃
25	4-CH ₃	CH ₂ CH ₂ Cl	O	H	Cl ₃	OCH ₃
	4-C ₂ H ₅	CH(CH ₃) ₂	O	H	CH ₃	OCH ₃
	4-n-C ₄ H ₉	CH ₂ CH=CH ₂	O	H	CH ₃	OCH ₃
	4-i-C ₅ H ₁₁	CH ₃	O	H	CH ₃	OCH ₃
	5-Cl	C ₂ H ₅	O	H	CH ₃	OCH ₃
	5-Br	CH ₃	O	H	CH ₃	OCH ₃
30	5-CH ₃	CH(CH ₃) ₂	O	H	CH ₃	OCH ₃
	H	C ₂ H ₅	O	H	CH ₃	OCH ₃
	H	CH(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	CH ₂ CH=CH ₂	O	H	OCH ₃	OCH ₃
	H	(CH ₂) ₃ CH ₃	O	H	OCH ₃	OCH ₃
	H	(CH ₂) ₄ CH ₃	O	H	OCH ₃	OCH ₃
	H	CH(CH ₂) ₂ CH ₃	O	H	OCH ₃	OCH ₃
35		CH ₃				
	H	(CH ₂) ₅ CH ₃	O	H	OCH ₃	OCH ₃

0

66

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TABLE I-a (cont'd)

	<u>A'</u>	<u>R^I</u>	<u>W</u>	<u>R₂</u>	<u>X</u>	<u>Y</u>
10	H	CH ₂ -CH=CHCH ₃	O	H	OCH ₃	OCH ₃
	H	CH ₂ -CH=CHC ₂ H ₅	O	H	OCH ₃	OCH ₃
	H	CH ₂ -CH=CHCH(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	(CH ₂) ₃ Cl	O	H	OCH ₃	OCH ₃
	H	(CH ₂) ₅ Cl	O	H	OCH ₃	OCH ₃
	H	(CH ₂) ₆ OCH ₃	O	H	OCH ₃	OCH ₃
15	H	CH ₂ CN	O	H	OCH ₃	OCH ₃

20

25

30

35

x

67

Table 1-a (cont'd)

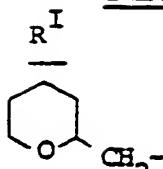
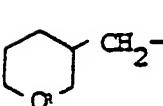
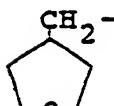
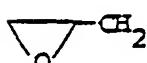
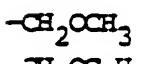
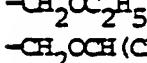
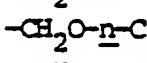
	A'	R ^I	W	R ₂	X	Y
5	4-OCH ₃	CH ₃	O	H	CH ₃	CH ₃
	5-OCH ₃	CH ₃	O	H	CH ₃	OCH ₃
	4-NO ₂	CH ₃	O	H	CH ₃	OCH ₃
	5-NO ₂	CH ₃	O	H	CH ₃	OCH ₃
	4-CF ₃	CH ₃	O	H	CH ₃	OCH ₃
	5-CF ₃	CH ₃	O	H	CH ₃	OCH ₃
10	H	CH ₂ CH ₂ CH ₂ Cl	O	H	CH ₃	OCH ₃
	H	CH ₂ CCl ₃	O	H	CH ₃	OCH ₃
	H	(CH ₂) ₆ Cl	O	H	CH ₃	OCH ₃
	H	(CH ₂) ₄ Cl	O	H	CH ₃	OCH ₃
	H	CH ₂ Br ₃	O	H	CH ₃	OCH ₃
15	H	(CH ₂) ₄ Br	O	H	CH ₃	OCH ₃
	H	(CH ₂) ₆ Br	O	H	CH ₃	OCH ₃
	H	(CH ₂) ₆ F	O	H	CH ₃	OCH ₃
	H	(CH ₂) ₄ F	O	H	CH ₃	OCH ₃
	H	(CH ₂) ₃ F	O	H	CH ₃	OCH ₃
20	H	CH ₂ CF ₃	O	H	CH ₃	OCH ₃
	H	CH ₂ CN	O	H	CH ₃	OCH ₃
25	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
30	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃

35

x

68

Table 1-a (cont'd)

	<u>A'</u>	R ^I	W	X	Y
5	H		O	CH ₃	OCH ₃
	H		O	CH ₃	OCH ₃
10	H		O	CH ₃	OCH ₃
	H		O	CH ₃	OCH ₃
	H		O	CH ₃	OCH ₃
15	H		O	CH ₃	OCH ₃
	H		O	CH ₃	OCH ₃
	H		O	CH ₃	OCH ₃
	H	H	O	CH ₃	OCH ₃
	H	H	O	CH ₃	OCH ₃
20	H	H	O	CH ₃	OCH ₃
				OCH ₃	OCH ₃

25

30

35

TABLE I-b

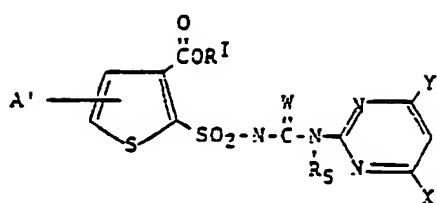
		R ^I	X	R ₅	X	Y
10	A'	CH ₃	O	H	CH ₃	C1
	H	CH ₃	O	H	H	H
	H	CH ₃	O	H	C1	C1
15	H	CH ₃	O	H	OCH ₂ CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH(CH ₃) ₂
	H	CH ₃	O	H	CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	(CH ₂) ₄ OCH ₃
20	H	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₂ HS
	H	CH ₃	O	H	OCH ₃	CH ₂ CN
	H	CH ₃	O	H	OCH ₃	CH ₂ -CN
						CH ₃
						(CH ₂) ₅ CO ₂ CH ₃
						CH ₂ CO ₂ C ₂ H ₅
						CH ₂ CF ₃
25	H	CH ₃	O	H	OCH ₃	CH ₂ CH ₂ Cl
	H	CH ₃	O	H	OCH ₃	CF ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CCl ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₄ Cl
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₅ Br
	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CH ₂
30	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CHCH ₃
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₃ OC ₂ H ₅
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH ₂ CH ₃

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70

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TABLE I-c



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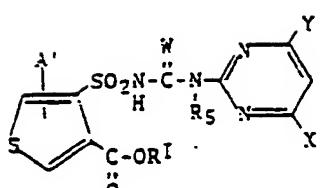
35

	<u>R^I</u>	<u>R₂</u>	<u>R₃</u>	<u>X</u>	<u>Y</u>
	CH ₃	O	H	CH ₃	Cl
	CH ₃	O	H	H	H
	CH ₃	O	H	Cl	Cl
	CH ₃	O	H	OCH ₂ CH ₃	CH ₂ CH ₃
	CH ₃	O	H	CH ₃	CH(CH ₃) ₂
	CH ₃	O	H	CH ₃	CH ₂ CH ₃
	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
	CH ₃	O	H	CH ₃	(CH ₂) ₄ OCH ₃
	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₂ CH ₃
	CH ₃	O	H	OCH ₃	CH ₂ CN
	CH ₃	O	H	OCH ₃	CH-CN
					CH ₃
				OCH ₃	(CH ₂) ₅ CO ₂ CH ₃
				OCH ₃	CH ₂ CO ₂ CH ₂ CH ₃
				OCH ₃	CH ₂ CF ₃
				OCH ₃	CH ₂ CH ₂ Cl
				OCH ₃	CF ₃
				OCH ₃	CH ₂ CCl ₃
				OCH ₃	(CH ₂) ₄ Cl
				OCH ₃	(CH ₂) ₅ Bz
				OCH ₃	CH ₂ CH=CH ₂
				OCH ₃	CH ₂ CH=CHCH ₃
				CH ₃	O(CH ₂) ₂ OCH ₃
				CH ₃	O(CH ₂) ₃ OC ₂ H ₅
				CH ₃	O(CH ₂) ₂ OCH(CH ₃) ₂

TABLE I-d

		<u>R^I</u>	<u>R</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
10		H	O	H	CH ₃	Cl
		H	O	H	H	H
		H	O	H	Cl	Cl
15		H	CH ₃	H	OCH ₂ CH ₃	CH ₂ CH ₃
		H	CH ₃	H	CH ₃	CH(CH ₃) ₂
		H	CH ₃	H	CH ₃	CH ₂ CH ₃
		H	CH ₃	H	CH ₃	CH ₂ OCH ₃
		H	CH ₃	H	CH ₃	CH ₃ CH ₂ OCH ₃
		H	CH ₃	H	CH ₃	(CH ₂) ₄ OCH ₃
20		H	CH ₃	H	CH ₃	(CH ₂) ₂ OCH ₂ CH ₃
		H	CH ₃	H	OCH ₃	CH ₂ CN
		H	CH ₃	H	OCH ₃	CH-CN
25		H	CH ₃	O	H	OCH ₃
		H	CH ₃	O	H	OCH ₃
		H	CH ₃	O	H	OCH ₃
		H	CH ₃	O	H	OCH ₃
		H	CH ₃	O	H	OCH ₃
		H	CH ₃	O	H	OCH ₃
30		H	CH ₃	O	H	OCH ₃
		H	CH ₃	O	H	CH ₃
		H	CH ₃	O	H	CH ₃
		H	CH ₃	O	H	CH ₃
		H	CH ₃	O	H	CH ₃
		H	CH ₃	O	H	CH ₃

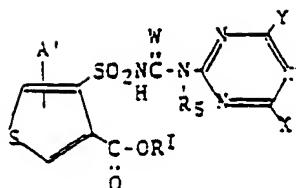
TABLE I-e

		<u>R¹</u>	<u>X</u>	<u>R₂</u>	<u>X</u>	<u>Y</u>
10		H	O	H	CH ₃	Cl
		CH ₃	O	H	H	H
	15	CH ₃	O	H	Cl	Cl
		CH ₃	O	H	OCH ₂ CH ₃	CH ₂ CH ₂ OCH ₃
		CH ₃	O	H	CH ₃	CH(CH ₃) ₂
		CH ₃	O	H	CH ₃	CH ₂ CH ₃
		CH ₃	O	H	CH ₃	CH ₂ OCH ₃
		CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
	20	CH ₃	O	H	CH ₃	(CH ₂) ₄ OCH ₃
		CH ₃	O	H	CH ₃	(CH ₂) ₄ OCH ₂ H ₅
		CH ₃	O	H	OCH ₃	CH ₂ CN
		CH ₃	O	H	OCH ₃	CH-CN
						CH ₃
					OCH ₃	(CH ₂) ₅ CO ₂ CH ₃
					OCH ₃	CH ₂ CO ₂ C ₂ H ₅
	25	H	CH ₃	O	H	CH ₂ CF ₃
		H	CH ₃	O	H	CH ₂ CH ₂ Cl
		H	CH ₃	O	H	CF ₃
		H	CH ₃	O	H	CH ₂ CCl ₃
		H	CH ₃	O	H	(CH ₂) ₄ C
		H	CH ₃	O	H	(CH ₂) ₅ B
		H	CH ₃	O	H	CH ₂ CH=CH ₂
	30	H	CH ₃	O	H	CH ₂ CH=CHCH ₂
		H	CH ₃	O	H	O(CH ₂) ₂ OCH ₃
		H	CH ₃	O	H	O(CH ₂) ₂ OC ₂ H ₅
		H	CH ₃	O	H	O(CH ₂) ₂ OCH(CH ₃) ₂

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TABLE I-2

10



15

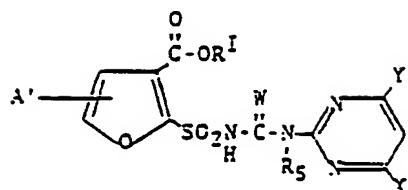
20

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	A'	R ¹	X	R ₅	Z	Y
	H	CH ₃	O	H	CH ₃	Cl
	H	CH ₃	O	H	H	H
	H	CH ₃	O	H	Cl	Cl
	H	CH ₃	O	H	OCH ₂ CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH(CH ₃) ₂
	H	CH ₃	O	H	CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	(CH ₃) ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₂ CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CN
	H	CH ₃	O	H	OCH ₃	CH-CX
						CH ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₃ CO ₂ CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CO ₂ C ₂ H ₅
	H	CH ₃	O	H	OCH ₃	CH ₂ CF ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CH ₂ Cl
	H	CH ₃	O	H	OCH ₃	CF ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CCl ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₂ CI
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₃ Br
	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CH ₂
	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CHCH ₂
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₃ OC ₂ H ₅
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH(CH ₃) ₂

TABLE I-g



	A'	R ¹	R ²	R ³	X	Y
15	H	CH ₃	O	H	CH ₃	Cl
	H	CH ₃	O	H	H	H
	H	CH ₃	O	H	Cl	Cl
	H	CH ₃	O	H	OC ₂ CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH(CH ₃) ₂
	H	CH ₃	O	H	CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₂ H ₅
20	H	CH ₃	O	H	OCH ₃	CH ₂ CN
	H	CH ₃	O	H	OCH ₃	CH-CN
	H	CH ₃	O	H	CH ₃	CH ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₃ CO ₂ CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CO ₂ C ₂ H ₅
	H	CH ₃	O	H	OCH ₃	CH ₂ CF ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CH ₂ Cl
25	H	CH ₃	O	H	OCH ₃	CF ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CCl ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₂ Cl
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₃ Br
	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CH ₂
	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CHCH ₃
30	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₃ OCH ₂ H ₅
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH(CH ₃) ₂

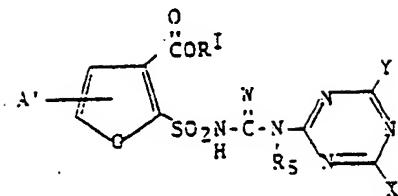
0

75

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TABLE I-h

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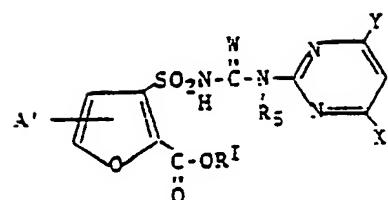
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	R^I	κ	R_5	X	Y
	H	O	H	CH ₃	Cl
	CH ₃	O	H	H	H
	CH ₃	O	H	Cl	Cl
	CH ₃	O	H	OCH ₂ CH ₃	CH ₂ CH ₃
	CH ₃	O	H	CH ₃	CH(CH ₃) ₂
	CH ₃	O	H	CH ₃	CH ₂ CH ₃
	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₃
	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₂ CH ₃
	CH ₃	O	H	OCH ₃	CH ₂ CN
	CH ₃	O	H	OCH ₃	CH ₃ CN
	CH ₃	O	H	OCH ₃	(CH ₂) ₃ CO ₂ CH ₃
	CH ₃	O	H	OCH ₃	CH ₂ CO ₂ CH ₂ CH ₃
	CH ₃	O	H	OCH ₃	CH ₂ CF ₃
	CH ₃	O	H	OCH ₃	CH ₂ CH ₂ Cl
	CH ₃	O	H	OCH ₃	CF ₃
	CH ₃	O	H	OCH ₃	CH ₂ CCl ₃
	CH ₃	O	H	OCH ₃	(CH ₂) ₂ Cl
	CH ₃	O	H	OCH ₃	(CH ₂) ₃ Br
	CH ₃	O	H	OCH ₃	CH ₂ CH=CH ₂
	CH ₃	O	H	OCH ₃	CH ₂ CH=CHCH ₂
	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH ₃
	CH ₃	O	H	CH ₃	O(CH ₂) ₃ OC ₂ H ₅
	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH(CH ₃) ₂

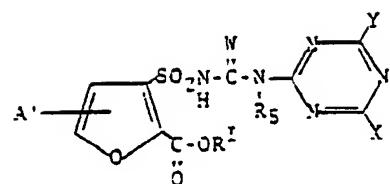
35

TABLE I-i



	A'	R ¹	R	R ₅	X	Y
	H	CH ₃	O	H	CH ₃	Cl
	H	CH ₃	O	H	H	H
	H	CH ₃	O	H	Cl	Cl
10	H	CH ₃	O	H	OCH ₂ CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH(CH ₃) ₂
	H	CH ₃	O	H	CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₃
15	H	CH ₃	O	H	Cl ₃	(CH ₂) ₂ OCH ₂ H ₅
	H	CH ₃	O	H	OCl ₃	CH ₂ CN
	H	CH ₃	O	H	OCH ₃	CH-CN
	H	CH ₃	O	H		CH ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₅ CO ₂ CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CO ₂ C ₂ H ₅
	H	CH ₃	O	H	OCH ₃	CH ₂ CF ₃
20	H	CH ₃	O	H	OCH ₃	CH ₂ CH ₂ Cl
	H	CH ₃	O	H	OCH ₃	CF ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CCl ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₄ Cl
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₃ F
	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CH ₂
25	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CHCH ₂
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH ₂ H ₅
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH(CH ₃) ₂
30						

TABLE I-i



	<u>A'</u>	<u>R¹</u>	<u>X</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
	H	CH ₃	O	H	CH ₃	Cl
	H	CH ₃	O	H	H	H
15	H	CH ₃	O	H	Cl	Cl
	H	CH ₃	O	H	OC ₂ CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH(CH ₃) ₂
	H	CH ₃	O	H	CH ₃	CH ₂ CH ₃
	H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₃
20	H	CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₂ CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CN
	H	CH ₃	O	H	OCH ₃	CH-CN
						CH ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₃ CO ₂ CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CO ₂ C ₂ H ₅
25	H	CH ₃	O	H	OCH ₃	CH ₂ CF ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CH ₂ Cl
	H	CH ₃	O	H	OCH ₃	CF ₃
	H	CH ₃	O	H	OCH ₃	CH ₂ CCl ₃
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₂ Cl
	H	CH ₃	O	H	OCH ₃	(CH ₂) ₃ R
	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CH ₂
30	H	CH ₃	O	H	OCH ₃	CH ₂ CH=CHCH ₃
	H	CH ₃	O	H	CH ₃	O(CH ₃) ₂ OCH ₃
	H	CH ₃	O	H	CH ₃	O(CH ₃) ₂ OC ₂ H ₅
	H	CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH(CH ₃) ₂

TABLE I-k

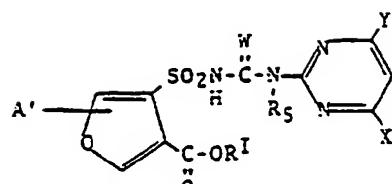
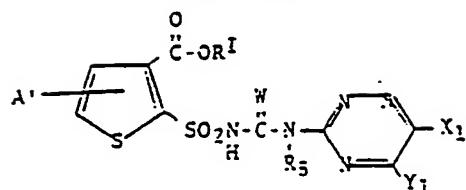
10		<u>R^I</u>	<u>X</u>	<u>R₂</u>	<u>Z</u>	<u>Y</u>
15	A'	CH ₃	O	H	CH ₃	Cl
		CH ₃	O	H	H	H
		CH ₃	O	H	Cl	Cl
		CH ₃	O	H	CH ₂ CH ₃	CH ₂ CH ₃
		CH ₃	O	H	CH ₃	CH(CH ₃) ₂
		CH ₃	O	H	CH ₃	CH ₂ CH ₃
		CH ₃	O	H	CH ₃	CH ₂ OCH ₃
		CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
20		CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₃
		CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₂ CH ₃
		CH ₃	O	H	OCH ₃	CH ₂ CN
		CH ₃	O	H	OCH ₃	CH ₃
		H	CH ₃	O	OCH ₃	(CH ₂) ₃ CO ₂ CH ₃
		H	CH ₃	O	OCH ₃	CH ₂ CO ₂ CH ₂ CH ₃
25		CH ₃	O	H	OCH ₃	CH ₂ CF ₃
		CH ₃	O	H	OCH ₃	CH ₂ CH ₂ Cl
		CH ₃	O	H	OCH ₃	CF ₃
		CH ₃	O	H	OCH ₃	CH ₂ CCl ₃
		CH ₃	O	H	OCH ₃	(CH ₂) ₂ Cl
		CH ₃	O	H	OCH ₃	(CH ₂) ₃ Br
		CH ₃	O	H	OCH ₃	CH ₂ CH=CH ₂
30		CH ₃	O	H	OCH ₃	CH ₂ CH=CHCH ₃
		CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH ₃
		CH ₃	O	H	CH ₃	O(CH ₂) ₃ OC ₂ H ₅
		CH ₃	O	H	CH ₃	O(CH ₂) ₂ OCH(CH ₃) ₂

TABLE I-1

		<u>R^I</u>	<u>X</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
10		CH ₃	O	H	CH ₃	Cl
		CH ₃	O	H	H	H
		CH ₃	O	H	Cl	Cl
15		CH ₃	O	H	OCH ₂ CH ₃	CH ₂ CH ₃
		CH ₃	O	H	CH ₃	CH(CH ₃) ₂
		CH ₃	O	H	CH ₃	CH ₂ CH ₃
		CH ₃	O	H	CH ₃	CH ₂ OCH ₃
		CH ₃	O	H	CH ₃	CH ₃ CH ₂ OCH ₃
		CH ₃	O	H	CH ₃	(CH ₂) ₂ OCH ₃
20		CH ₃	O	H	CH ₃	(CH ₂) ₂ OC ₂ H ₅
		CH ₃	O	H	OCH ₃	CH ₂ CN
		CH ₃	O	H	OCH ₃	CH ₂ -CN
						Cl ₃
					OCH ₃	(CH ₂) ₃ CO ₂ CH ₃
					OCH ₃	CH ₂ CO ₂ C ₂ H ₅
25					OCH ₃	CH ₂ CF ₃
					OCH ₃	CH ₂ CH ₂ Cl
					OCH ₃	CF ₃
					OCH ₃	CH ₂ CCl ₃
					OCH ₃	(CH ₂) ₄ Cl
					OCH ₃	(CH ₂) ₃ Br
					OCH ₃	CH ₂ CH=CH ₂
30					OCH ₃	CH ₂ CH=CHCH ₃
					CH ₃	O(CH ₂) ₂ OCH ₃
					CH ₃	O(CH ₂) ₂ CC ₂ H ₅
					CH ₃	O(CH ₂) ₂ OCH(CH ₃) ₂

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TABLE II-a

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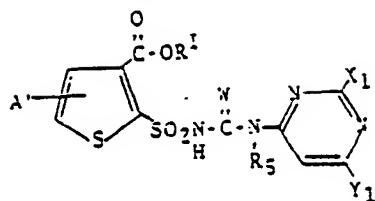
35

	R^1	R	R_5	X_1	Y_1
	H	O	H	H	H
	CH ₃	O	H	H	OCH ₃
	CH ₃	O	H	H	CH ₃
	CH ₃	O	H	Cl	H
	CH ₃	O	H	Cl	OCH ₃
	CH ₃	O	H	Cl	CH ₃
	CH ₃	O	H	OCH ₃	H
	CH ₃	O	H	OCH ₃	OCH ₃
	CH ₃	O	H	OCH ₃	CH ₃
	CH ₃	O	H	OCH ₂ H ₅	H
	CH ₃	O	H	OCH ₂ H ₅	OCH ₃
	CH ₃	O	H	OCH ₂ H ₅	CH ₃
	CH ₃	O	H	CH ₃	H
	CH ₃	O	H	CH ₃	OCH ₃
	CH ₃	O	H	CH ₃	CH ₃
	CH ₃	O	H	OCH ₃	OCH ₃
	S-Cl	CH ₃	O	H	OCH ₃
	S-CH ₃	CH ₃	O	H	OCH ₃
	S-Br	CH ₃	O	H	OCH ₃
	S-C ₂ H ₅	CH ₃	O	H	OCH ₃
	H	CH(CH ₃) ₂	O	CH	OCH ₃
	H	CH ₂ CH=CH ₂	O	CH	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	S	H	CH ₃
	H	CH ₃	S	H	OCH ₃
	H	CH ₃	S	H	OCH ₃
	H	CH(CH ₃) ₂	S	H	CH ₃

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TABLE II-6



	<u>R^I</u>	<u>R²</u>	<u>R₅</u>	<u>X₁</u>	<u>Y₁</u>
10	H	O	H	H	H
	CH ₃	O	H	H	OCH ₃
	CH ₃	O	H	H	CH ₃
	CH ₃	O	H	Cl	H
	CH ₃	O	H	Cl	OCH ₃
	CH ₃	O	H	Cl	CH ₃
	CH ₃	O	H	OCH ₃	H
	CH ₃	O	H	OCH ₃	OCH ₃
	CH ₃	O	H	OCH ₃	CH ₃
15	H	O	H	OC ₂ H ₅	H
	CH ₃	O	H	OC ₂ H ₅	OCH ₃
	CH ₃	O	H	OC ₂ H ₅	CH ₃
	CH ₃	O	H	CH ₃	H
	CH ₃	O	H	CH ₃	OCH ₃
	CH ₃	O	H	CH ₃	CH ₃
	CH ₃	O	H	OCH ₃	OCH ₃
20	S-Cl	CH ₃	O	H	OCH ₃
	S-CH ₃	CH ₃	O	H	OCH ₃
	S-Br	CH ₃	O	H	OCH ₃
	S-C ₂ H ₅	CH ₃	O	H	OCH ₃
	H	CH(CH ₃) ₂	O	CH	OCH ₃
	H	CH ₂ CH=CH ₂	O	CH	OCH ₃
25	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	S	H	CH ₃
	H	CH ₃	S	H	OCH ₃
	H	CH ₃	S	H	H
	H	CH(CH ₃) ₂	S	H	CH ₃

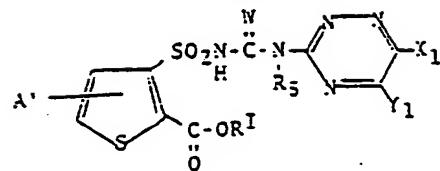
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TABLE II-C



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	R^1	R	R_5	X_1	Y_1
	H	G	H	H	H
	H	CH ₃	H	H	OCH ₃
	H	CH ₃	H	H	CH ₃
	H	CH ₃	H	Cl	H
	H	CH ₃	O	Cl	OCH ₃
	H	CH ₃	H	Cl	CH ₃
	H	CH ₃	H	OCH ₃	H
	H	CH ₃	H	OCH ₃	OCH ₃
	H	CH ₃	H	OCH ₃	CH ₃
	H	CH ₃	O	OC ₂ H ₅	H
	H	CH ₃	H	OC ₂ H ₅	OCH ₃
	H	CH ₃	H	OC ₂ H ₅	CH ₃
	H	CH ₃	O	CH ₃	H
	H	CH ₃	H	CH ₃	OCH ₃
	H	CH ₃	H	CH ₃	CH ₃
	H	CH ₃	O	OCH ₃	OCH ₃
	S-Cl	CH ₃	O	H	OCH ₃
	S-CH ₃	CH ₃	O	H	OCH ₃
	S-Bz	CH ₃	O	H	OCH ₃
	S-C ₂ H ₅	CH ₃	O	H	OCH ₃
	H	CH(CH ₃) ₂	O	CH	OCH ₃
	H	CH ₂ CH=CH ₂	O	CH	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	CH ₃
	H	CH ₃	S	H	CH ₃
	H	CH ₃	S	H	OCH ₃
	H	CH ₃	S	H	H
	H	CH(CH ₃) ₂	S	H	CH ₃

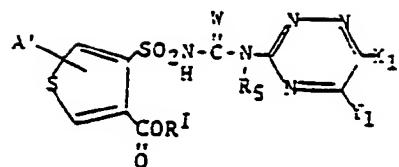
TABLE II-d

	A'	R^I	R^J	R_S	X_1	Y_1
5						
		$\text{SO}_2\text{N}-\overset{\text{W}}{\underset{\text{R}_S}{\text{C}}}-\text{N}$				
					X_1	
10						
	H	CH_3	O	H	H	OCH_3
	H	CH_3	O	H	H	CH_3
	H	CH_3	O	H	Cl	H
	H	CH_3	O	H	Cl	OCH_3
	H	CH_3	O	H	Cl	CH_3
	H	CH_3	O	H	OCH_3	H
	H	CH_3	O	H	OCH_3	OCH_3
15						
	H	CH_3	O	H	OCH_3	CH_3
	H	CH_3	O	H	OC_2H_5	H
	H	CH_3	O	H	OC_2H_5	OCH_3
	H	CH_3	O	H	OC_2H_5	CH_3
	H	CH_3	O	H	CH_3	H
	H	CH_3	O	H	CH_3	OCH_3
	H	CH_3	O	H	CH_3	CH_3
20						
	H	CH_3	O	H	OCH_3	OCH_3
	H	s-Cl	CH_3	O	OCH_3	OCH_3
	H	s-CH_3	CH_3	O	OCH_3	OCH_3
	H	s-Br	CH_3	O	OCH_3	OCH_3
	H	$\text{s-C}_2\text{H}_5$	CH_3	O	OCH_3	OCH_3
	H	$\text{CH}(\text{CH}_3)_2$	O	CH	OCH_3	OCH_3
25						
	H	$\text{CH}_2\text{CH=CH}_2$	O	CH	OCH_3	OCH_3
	H	CH_3	O	CH_3	OCH_3	OCH_3
	H	CH_3	O	CH_3	OCH_3	OCH_3
	H	CH_3	S	H	CH_3	CH_3
	H	CH_3	S	H	OCH_3	OCH_3
	H	CH_3	S	H	H	H
30						
	H	$\text{CH}(\text{CH}_3)_2$	S	H	CH_3	CH_3

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TABLE II-e



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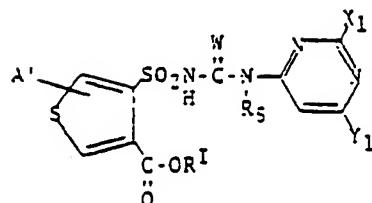
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	<u>A'</u>	<u>R⁷</u>	<u>R</u>	<u>R₅</u>	<u>X₁</u>	<u>Y₁</u>
	H	O	H	H	H	H
	CH ₃	O	H	H	H	OCH ₃
	CH ₃	O	H	H	H	CH ₃
	H	CH ₃	O	H	Cl	H
	H	CH ₃	O	H	Cl	OCH ₃
	H	CH ₃	O	H	Cl	CH ₃
	H	CH ₃	O	H	OCH ₃	H
	H	CH ₃	O	H	OCH ₃	OCH ₃
	H	CH ₃	O	H	OCH ₃	CH ₃
	H	CH ₃	O	H	OC ₂ H ₅	H
	H	CH ₃	O	H	OC ₂ H ₅	OCH ₃
	H	CH ₃	O	H	OC ₂ H ₅	CH ₃
	H	CH ₃	O	H	CH ₃	OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₃
	H	CH ₃	O	H	OC ₂ H ₅	OCH ₃
	S-Cl	CH ₃	O	H	OCH ₃	OCH ₃
	S-CH ₃	CH ₃	O	H	OCH ₃	OCH ₃
	S-Br	CH ₃	O	H	OCH ₃	OCH ₃
	S-C ₂ H ₅	CH ₃	O	H	OCH ₃	OCH ₃
	H	CH(CH ₃) ₂	O	CH	OCH ₃	OCH ₃
	H	CH ₂ CH=CH ₂	O	CH	OCH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
	H	CH ₃	S	H	CH ₃	CH ₃
	H	CH ₃	S	H	OCH ₃	OCH ₃
	H	CH ₃	S	H	H	H
	H	CH(CH ₃) ₂	S	H	CH ₃	CH ₃

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TABLE III-2



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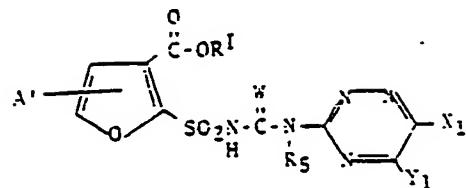
30

	R'	W	R_5	X_1	Y_1
	CH ₃	O	H	H	H
	CH ₃	O	H	H	OCH ₃
	CH ₃	O	H	H	CH ₃
	CH ₃	O	H	Cl	H
	CH ₃	O	H	Cl	OCH ₃
	CH ₃	O	H	Cl	CH ₃
	CH ₃	O	H	OCH ₃	H
	CH ₃	O	H	OCH ₃	OCH ₃
	CH ₃	O	H	OCH ₃	CH ₃
	CH ₃	O	H	OC ₂ H ₅	H
	CH ₃	O	H	OC ₂ H ₅	OCH ₃
	CH ₃	O	H	OC ₂ H ₅	CH ₃
	CH ₃	O	H	CH ₃	H
	CH ₃	O	H	CH ₃	OCH ₃
	CH ₃	O	H	CH ₃	CH ₃
	CH ₃	O	H	OCH ₃	OCH ₃
	S-Cl	CH ₃	O	H	OCH ₃
	S-CH ₃	CH ₃	O	H	OCH ₃
	S-Br	CH ₃	O	H	OCH ₃
	S-C ₂ H ₅	CH ₃	O	H	OCH ₃
	H	CH(CH ₃) ₂	O	CH	OCH ₃
	H	CH ₂ CH=CH ₂	O	CH	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	CH ₃
	H	CH ₃	S	H	CH ₃
	H	CH ₃	S	H	OCH ₃
	H	CH ₃	S	H	H
	H	CH(CH ₃) ₂	S	H	CH ₃

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TABLE II-e



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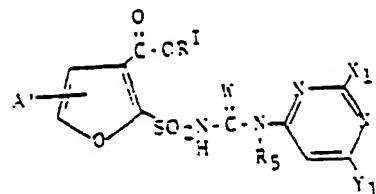
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	<u>A'</u>	<u>R¹</u>	<u>R₅</u>	<u>X₁</u>	<u>Y₁</u>
	H	CH ₃	O	H	H
	H	CH ₃	O	H	OCH ₃
	H	CH ₃	O	H	CH ₃
	H	CH ₃	O	H	Cl
	H	CH ₃	O	H	Cl
	H	CH ₃	O	H	OCH ₃
	H	CH ₃	O	H	CH ₃
	H	CH ₃	O	H	OC ₂ H ₅
	H	CH ₃	O	H	OCH ₃
	H	CH ₃	O	H	CH ₃
	H	CH ₃	O	H	OC ₂ H ₅
	H	CH ₃	O	H	Cl ₃
	H	CH ₃	O	H	CH ₃
	H	CH ₃	O	H	CH ₃
	H	CH ₃	O	H	OCH ₃
	S-Cl	CH ₃	O	H	OCH ₃
	S-CH ₃	CH ₃	O	H	OCH ₃
	S-Br	CH ₃	O	H	OCH ₃
	S-C ₂ H ₅	CH ₃	O	H	OCH ₃
	H	CH(CH ₃) ₂	O	CH	OCH ₃
	H	CH ₂ CH=CH ₂	O	CH	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	S	H	CH ₃
	H	CH ₃	S	H	OCH ₃
	H	CH ₃	S	H	H
	H	CH(CH ₃) ₂	S	H	CH ₃

87 TABLE II-h



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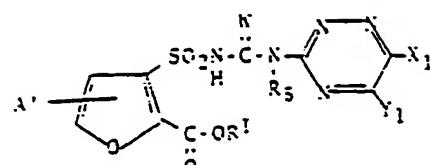
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	Δ'	R^I	R	R_5	X_1	Y_1
	H	CH ₃	O	H	H	H
	H	CH ₃	O	H	H	OCH ₃
	H	CH ₃	O	H	H	CH ₃
	H	CH ₃	O	H	Cl	H
	H	CH ₃	O	H	Cl	OCH ₃
	H	CH ₃	O	H	Cl	CH ₃
	H	CH ₃	O	H	OCH ₃	H
	H	CH ₃	O	H	OCH ₃	OCH ₃
	H	CH ₃	O	H	OCH ₃	CH ₃
	H	CH ₃	O	H	OC ₂ H ₅	H
	H	CH ₃	O	H	OC ₂ H ₅	OCH ₃
	H	CH ₃	O	H	OC ₂ H ₅	CH ₃
	H	CH ₃	O	H	CH ₃	H
	H	CH ₃	O	H	CH ₃	OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₃
	H	CH ₃	O	H	OCH ₃	OCH ₃
	S-Cl	CH ₃	O	H	OCH ₃	OCH ₃
	S-CH ₃	CH ₃	O	H	OCH ₃	OCH ₃
	S-Bz	CH ₃	O	H	OCH ₃	OCH ₃
	S-C ₂ H ₅	CH ₃	O	H	OCH ₃	OCH ₃
	H	CH(CH ₃) ₂	O	CH	OCH ₃	OCH ₃
	H	CH ₂ -CH=CH ₂	O	CH	OCH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃	CH ₃
	H	CH ₃	S	H	CH ₃	CH ₃
	H	CH ₃	S	H	OCH ₃	OCH ₃
	H	CH ₃	S	H	H	H
	H	CH(CH ₃) ₂	S	H	CH ₃	CH ₃

TABLE II-i

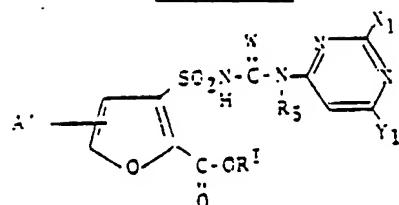


	A'	R^1	R_5	X_1	Y_1
5	H	CH_3	O	H	H
	H	CH_3	O	H	OCH_3
	H	CH_3	O	H	CH_3
10	H	CH_3	O	H	H
	H	CH_3	O	Cl	OCH_3
	H	CH_3	O	Cl	CH_3
	H	CH_3	O	H	H
	H	CH_3	O	OCH_3	OCH_3
	H	CH_3	O	OCH_3	CH_3
15	H	CH_3	O	OC_2H_5	H
	H	CH_3	O	OC_2H_5	OCH_3
	H	CH_3	O	OC_2H_5	CH_3
	H	CH_3	O	CH_3	H
	H	CH_3	O	CH_3	OCH_3
	H	CH_3	O	CH_3	CH_3
	H	CH_3	O	OCH_3	OCH_3
20	S-Cl	CH_3	O	OCH_3	OCH_3
	S-CH_3	CH_3	O	OCH_3	OCH_3
	S-Br	CH_3	O	OCH_3	OCH_3
	$\text{S-C}_2\text{H}_5$	CH_3	O	OCH_3	OCH_3
	H	$\text{CH}(\text{CH}_3)_2$	C	OCH_3	OCH_3
	H	$\text{CH}_2\text{CH}=\text{CH}_2$	C	OCH_3	OCH_3
25	H	CH_3	O	CH_3	OCH_3
	H	CH_3	O	CH_3	OCH_3
	H	CH_3	O	CH_3	CH_3
	H	CH_3	S	H	CH_3
	H	CH_3	S	H	OCH_3
	H	CH_3	S	H	H
	H	$\text{CH}(\text{CH}_3)_2$	S	H	CH_3

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TABLE II-i



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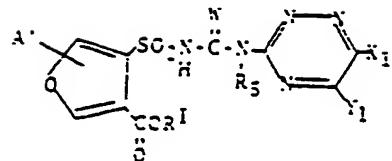
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A'	R ^I	X	R ₅	X ₁	Y ₁
H	CH ₃	O	H	H	H
H	CH ₃	O	H	H	OCH ₃
H	CH ₃	O	H	H	CH ₃
H	CH ₃	O	H	C1	H
H	CH ₃	O	H	C1	OCH ₃
H	CH ₃	O	H	C1	CH ₃
H	CH ₃	O	H	OCH ₃	H
H	CH ₃	O	H	OCH ₃	OCH ₃
H	CH ₃	O	H	OCH ₃	CH ₃
H	CH ₃	O	H	OC ₂ H ₅	H
H	CH ₃	O	H	OC ₂ H ₅	OCH ₃
H	CH ₃	O	H	OC ₂ H ₅	CH ₃
H	CH ₃	O	H	CH ₃	H
H	CH ₃	O	H	CH ₃	OCH ₃
H	CH ₃	O	H	CH ₃	CH ₃
H	CH ₃	O	H	OCH ₃	OCH ₃
S-Cl	CH ₃	O	H	OCH ₃	OCH ₃
S-CH ₃	CH ₃	O	H	OCH ₃	OCH ₃
S-Br	CH ₃	O	H	OCH ₃	OCH ₃
S-C ₂ H ₅	CH ₃	O	H	OCH ₃	OCH ₃
H	CH(CH ₃) ₂	O	CH	OCH ₃	OCH ₃
H	CH ₂ CH=CH ₂	O	CH	OCH ₃	OCH ₃
H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
H	CH ₃	S	H	CH ₃	CH ₃
H	CH ₃	S	H	OCH ₃	OCH ₃
H	CH ₃	S	H	H	H
H	CH(CH ₃) ₂	S	H	CH ₃	CH ₃

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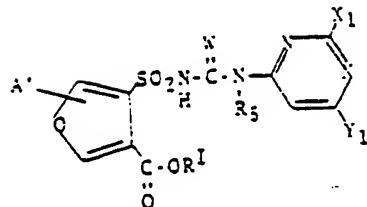
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TABLE II-1



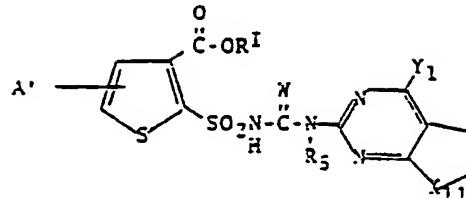
	R_1^I	R_2	R_3	X_1	Y_1
10	CH ₃	O	H	H	H
	CH ₃	O	H	H	OCH ₃
	CH ₃	O	H	Cl	CH ₃
	CH ₃	O	H	Cl	OCH ₃
	CH ₃	O	H	Cl	CH ₃
	CH ₃	O	H	OCH ₃	H
	CH ₃	O	H	OCH ₃	OCH ₃
	CH ₃	O	H	OCH ₃	CH ₃
	CH ₃	O	H	OC ₂ H ₅	H
	CH ₃	O	H	OC ₂ H ₅	OCH ₃
15	CH ₃	O	H	OC ₂ H ₅	Cl ₃
	CH ₃	O	H	CH ₃	H
	CH ₃	O	H	CH ₃	OCH ₃
	CH ₃	O	H	CH ₃	Cl ₃
	H	CH ₃	O	OCH ₃	OCH ₃
	S-Cl	CH ₃	O	OCH ₃	OCH ₃
	S-CH ₃	CH ₃	O	OCH ₃	OCH ₃
	S-Br	CH ₃	O	OCH ₃	OCH ₃
	S-C ₂ H ₅	CH ₃	O	OCH ₃	OCH ₃
	H	CH(CH ₃) ₂	O	CH	OCH ₃
20	H	CH ₂ CH=CH ₂	O	CH	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	CH ₃
	H	CH ₃	S	CH ₃	CH ₃
	H	CH ₃	S	CH ₃	OCH ₃
	H	CH ₃	S	H	H
	H	CH(CH ₃) ₂	S	H	CH ₃
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TABLE III-a



	<u>A'</u>	<u>R^I</u>	<u>X</u>	<u>R₅</u>	<u>X₁₁</u>	<u>Y₁</u>
	H	CH ₃	O	H	CH ₂	H
	H	CH ₃	O	H	CH ₂	OCH ₃
	H	CH ₃	O	H	CH ₂	CH ₃
	H	CH ₃	O	H	O	H
10	H	CH ₃	O	H	O	OCH ₃
	H	CH ₃	O	H	O	CH ₃
	S-CH ₃	CH ₃	O	H	O	CH ₃
	S-CH ₃	CH ₃	O	H	O	CH ₃
	S-Cl	CH ₃	O	H	O	CH ₃
	S-Cl	CH ₃	O	H	O	CH ₃
15	H	CH ₃	S	H	CH ₂	OCH ₃
	H	CH ₃	S	H	O	CH ₃
	H	CH ₃	S	H	O	CH ₃
	H	CH(CH ₃) ₂	S	H	O	CH ₃
	H	CH(CH ₃) ₂	O	H	O	CH ₃
	H	CH ₃	O	CH ₃	O	CH ₃
	H	CH(CH ₃) ₂	O	CH ₃	O	CH ₃

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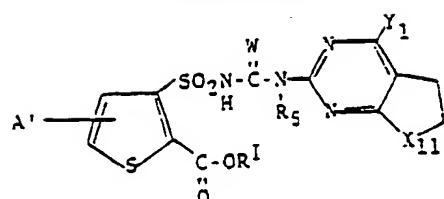
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TABLE III-b

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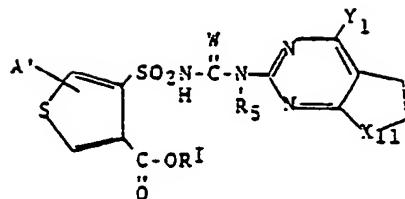
35

	R^I	π	R_5	X_{11}	Y_1
	CH ₃	O	H	CH ₂	H
	CH ₃	O	H	CH ₂	OCH ₃
	CH ₃	O	H	CH ₂	CH ₃
	CH ₃	O	H	O	H
	CH ₃	O	H	O	OCH ₃
	CH ₃	O	H	O	CH ₃
	S-CH ₃	O	H	O	CH ₃
	S-CH ₃	O	H	O	CH ₃
	S-Cl	O	H	O	CH ₃
	S-Cl	O	H	O	CH ₃
	CH ₃	S	H	CH ₂	OCH ₃
	CH ₃	S	H	O	CH ₃
	CH ₃	S	H	O	CH ₃
	CH(CH ₃) ₂	S	H	O	CH ₃
	CH(CH ₃) ₂	O	H	O	CH ₃
	CH ₃	O	CH ₃	O	CH ₃
	CH(CH ₃) ₂	O	CH ₃	O	CH ₃

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94
TABLE III-c

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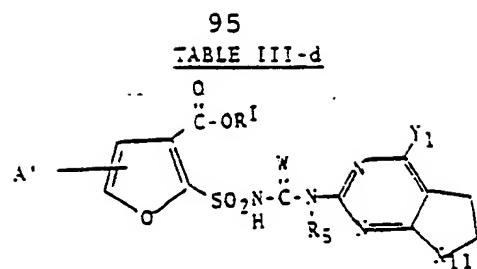
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TABLE III-d

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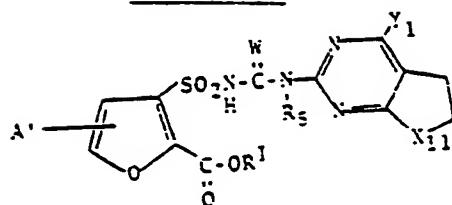
35

<u>A'</u>	<u>R¹</u>	<u>N</u>	<u>R₆</u>	<u>X₁₁</u>	<u>Y₁</u>
H	CH ₃	O	H	CH ₂	H
H	CH ₃	O	H	CH ₂	OCH ₃
H	CH ₃	O	H	CH ₂	CH ₃
H	CH ₃	O	H	O	H
H	CH ₃	O	H	O	OCH ₃
H	CH ₃	O	H	O	CH ₃
S-CH ₃	CH ₃	O	H	O	CH ₃
S-CH ₃	CH ₃	O	H	O	CH ₃
S-Cl	CH ₃	O	H	O	CH ₃
S-Cl	CH ₃	O	H	O	CH ₃
H	CH ₃	S	H	CH ₂	OCH ₃
H	CH ₃	S	H	O	CH ₃
H	CH ₃	S	H	O	CH ₃
H	CH(CH ₃) ₂	S	H	O	CH ₃
H	CH(CH ₃) ₂	O	H	O	CH ₃
H	CH ₃	O	CH ₃	O	CH ₃
H	CH(CH ₃) ₂	O	CH ₃	O	CH ₃

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TABLE III-e



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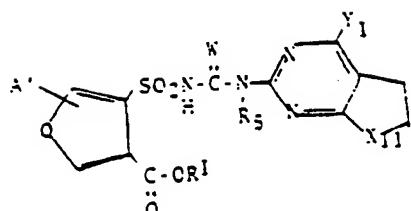
35

<u>A'</u>	<u>R^I</u>	<u>W</u>	<u>R₅</u>	<u>X₁₁</u>	<u>Y₁</u>
H	CH ₃	O	H	CH ₂	H
H	CH ₃	O	H	CH ₂	OCH ₃
H	CH ₃	O	H	CH ₂	CH ₃
H	CH ₃	O	H	C	H
H	CH ₃	O	H	C	OCH ₃
H	CH ₃	O	H	C	CH ₃
S-CH ₃	CH ₃	O	H	O	CH ₃
S-CH ₃	CH ₃	O	H	O	CH ₃
S-Cl	CH ₃	O	H	O	CH ₃
S-Cl	CH ₃	O	H	O	CH ₃
H	CH ₃	S	H	CH ₂	OCH ₃
H	CH ₃	S	H	C	CH ₃
H	CH ₃	S	H	C	CH ₃
H	CH(CH ₃) ₂	S	H	O	CH ₃
H	CH(CH ₃) ₂	O	H	O	CH ₃
H	CH ₃	O	CH ₃	O	CH ₃
H	CH(CH ₃) ₂	O	CH ₃	O	CH ₃

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TABLE III-f

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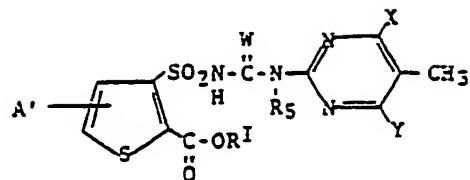
<u>A'</u>	<u>R¹</u>	<u>X</u>	<u>R₅</u>	<u>X₁₁</u>	<u>X₁</u>
H	CH ₃	O	H	CH ₂	H
H	CH ₃	O	H	CH ₂	OCH ₃
H	CH ₃	O	H	CH ₂	CH ₃
H	CH ₃	O	H	O	H
H	CH ₃	O	H	O	OCH ₃
H	CH ₃	O	H	O	CH ₃
S-CH ₃	CH ₃	O	H	O	CH ₃
S-CH ₃	CH ₃	O	H	O	CH ₃
S-C1	CH ₃	O	H	O	CH ₃
S-C1	CH ₃	O	H	O	CH ₃
H	CH ₃	S	H	CH ₂	OCH ₃
H	CH ₃	S	H	O	CH ₃
H	CH ₃	S	H	O	CH ₃
H	CH(CH ₃) ₂	S	H	O	CH ₃
H	CH(CH ₃) ₂	C	H	O	CH ₃
H	CH ₃	O	CH ₃	O	CH ₃
H	CH(CH ₃) ₂	O	CH ₃	O	CH ₃

x

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TABLE IV-a

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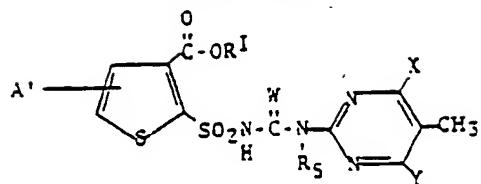
35

	<u>A'</u>	<u>R^I</u>	<u>X</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
	H	CH ₃	O	H	CH ₃	CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₃
	H	CH ₃	O	H	OCH ₃	OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	H	CH ₃	O	H	OC ₂ H ₅	C ₂ H ₅
	H	CH ₃	S	H	OCH ₃	CH ₃
	H	CH ₃	S	H	CH ₃	CH ₃
	H	CH ₃	O	CH ₃	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
	S-CI	CH ₃	O	H	OCH ₃	OCH ₃
	S-CH ₃	CH ₃	O	H	CH ₃	CH ₃
	S-CH ₃	CH ₃	O	H	OCH ₃	OCH ₃
	H	C ₂ H ₅	O	H	OCH ₃	OCH ₃
	H	CH(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	CH ₂ CH=CH ₂	O	H	OCH ₃	OCH ₃

x

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TABLE IV-b



	<u>A'</u>	<u>R^I</u>	<u>X</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
10	H	CH ₃	O	H	CH ₃	CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₃
15	H	CH ₃	O	H	OCH ₃	OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
20	H	CH ₃	O	H	OCH ₂ H ₅	C ₂ H ₅
	H	CH ₃	S	H	OCH ₃	CH ₃
	H	CH ₃	S	H	CH ₃	CH ₃
	H	CH ₃	O	CH ₃	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
	s-Cl	CH ₃	O	H	OCH ₃	OCH ₃
25	s-CH ₃	CH ₃	O	H	CH ₃	CH ₃
	s-CH ₃	CH ₃	O	H	OCH ₃	OCH ₃
	H	C ₂ H ₅	O	H	OCH ₃	OCH ₃
	H	CH(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	CH ₂ CH=CH ₂	O	H	OCH ₃	OCH ₃

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x

100

TABLE IV-c

	A'	R^I	W	R_S	X	Y
5	H	CH ₃	O	H	CH ₃	CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₃
	H	CH ₃	O	H	OCH ₃	OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	H	CH ₃	O	H	OCH ₂ H ₅	C ₂ H ₅
	H	CH ₃	S	H	OCH ₃	CH ₃
	H	CH ₃	S	H	CH ₃	CH ₃
	H	CH ₃	O	CH ₃	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
	10	S-Cl	CH ₃	O	H	OCH ₃
15	S-CH ₃	CH ₃	O	H	CH ₃	CH ₃
	S-CH ₃	CH ₃	O	H	OCH ₃	OCH ₃
	H	C ₂ H ₅	O	H	OCH ₃	OCH ₃
	H	CH(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	CH ₂ CH=CH ₂	O	H	OCH ₃	OCH ₃

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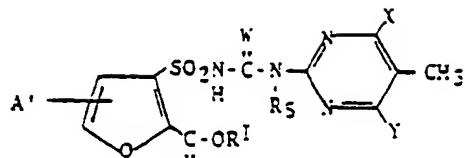
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TABLE IV-d

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<u>A'</u>	<u>R^I</u>	<u>R</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
H	CH ₃	O	H	CH ₃	CH ₃
H	CH ₃	O	H	OCH ₃	CH ₃
H	CH ₃	O	H	OCH ₃	OCH ₃
H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
H	CH ₃	O	H	OC ₂ H ₅	C ₂ H ₅
H	CH ₃	S	H	OCH ₃	CH ₃
H	CH ₃	S	H	CH ₃	CH ₃
H	CH ₃	O	CH ₃	CH ₃	OCH ₃
H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
S-Cl	CH ₃	O	H	OCH ₃	OCH ₃
S-CH ₃	CH ₃	O	H	CH ₃	CH ₃
S-CH ₃	CH ₃	O	H	OCH ₃	OCH ₃
H	C ₂ H ₅	O	H	OCH ₃	OCH ₃
H	CH(CH ₃) ₂	O	H	OCH ₃	OCH ₃
H	CH ₂ CH=CH ₂	O	H	OCH ₃	OCH ₃

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TABLE IV-e

	A'	R^1	R	R_5	X	Y
5		$\text{C}(=\text{O})\text{OR}'$				
10	H	CH_3	O	H	CH_3	CH_3
	H	CH_3	O	H	OCH_3	CH_3
	H	CH_3	O	H	OCH_3	OCH_3
	H	CH_3	O	H	CH_3	CH_2OCH_3
	H	CH_3	O	H	OC_2H_5	C_2H_5
	H	CH_3	S	H	OCH_3	CH_3
	H	CH_3	S	H	CH_3	CH_3
	H	CH_3	O	CH_3	CH_3	OCH_3
15	H	CH_3	O	CH_3	OCH_3	OCH_3
	S-Cl	CH_3	O	H	OCH_3	OCH_3
	S- CH_3	CH_3	O	H	CH_3	CH_3
	S- CH_3	CH_3	O	H	OCH_3	OCH_3
	H	C_2H_5	O	H	OCH_3	OCH_3
	H	$\text{CH}(\text{CH}_3)_2$	O	H	OCH_3	OCH_3
	H	$\text{CH}_2\text{CH}=\text{CH}_2$	O	H	OCH_3	OCH_3

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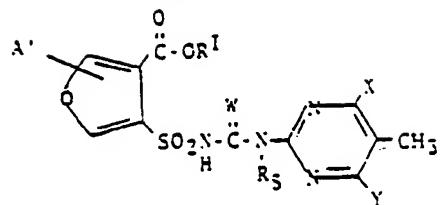
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x

103

TABLE IV-F



	A'	R ^I	W	R ₅	X	Y
	H	CH ₃	O	H	CH ₃	CH ₃
	H	CH ₃	O	H	OCH ₃	CH ₃
10	H	CH ₃	C	H	OCH ₃	OCH ₃
	H	CH ₃	O	H	CH ₃	CH ₂ OCH ₃
	H	CH ₃	O	H	OCH ₂ H ₅	C ₂ H ₅
	H	CH ₃	S	H	OCH ₃	CH ₃
	H	CH ₃	S	H	CH ₃	CH ₃
	H	CH ₃	O	CH ₃	CH ₃	OCH ₃
	H	CH ₃	O	CH ₃	OCH ₃	OCH ₃
15	S-Cl	CH ₃	O	H	OCH ₃	OCH ₃
	S-CH ₃	CH ₃	O	H	CH ₃	CH ₃
	S-CH ₃	CH ₃	C	H	OCH ₃	OCH ₃
	H	C ₂ H ₅	O	H	OCH ₃	OCH ₃
	H	CH(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	CH ₂ CH=CH ₂	O	H	OCH ₃	OCH ₃

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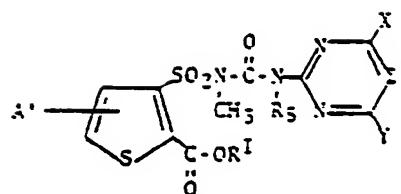
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TABLE V

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A'	R^1	R^2	X	Y	Z
H	CH ₃	H	CH ₃	CH ₃	CH
H	CH ₃	H	CH ₃	OCH ₃	CH
H	CH ₃	H	CH ₃	OC ₂ H ₅	CH
H	CH ₃	H	OCH ₃	OCH ₃	CH
H	CH(CH ₃) ₂	H	OCH ₃	CH ₃	N
H	CH ₂ CH ₂ Cl	H	OCH ₃	OCH ₃	N
15	H	CH ₃	H	CH ₃	N
H	CH ₃	H	CH ₃	CH ₃	CCH ₃
H	CH ₃	H	CH ₃	OCH ₃	CCH ₃

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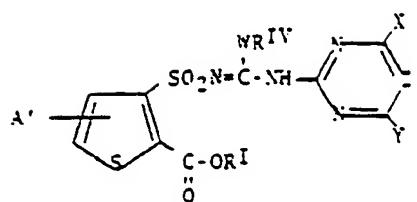
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TABLE VI

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<u>A'</u>	<u>R^I</u>	<u>NR^{IV}</u>	<u>X</u>	<u>Y</u>	<u>Z</u>
H	CH ₃	OCH ₃	CH ₃	CH ₃	X
H	CH ₃	OC ₂ H ₅	OCH ₃	CH ₃	X
H	CH ₃	OCH ₂ CH=CH ₂	OCH ₃	CH ₃	X
H	CH ₃	OCH ₃	OCH ₃	CH ₃	CH
H	CH ₃	OCH ₃	CH ₃	CH ₃	CH
H	CH ₃	OCH(CH ₃) ₂	CH ₃	CH ₃	CH
15	H	CH ₃	OC ₂ H ₅	CH ₃	CH
	H	CH ₃	OCH ₃	CH ₃	CH
	H	CH ₃	O(CH ₂) ₃ CH ₃	CH ₃	CH
	H	CH ₃	OCH ₂ CH=CHCH ₃	CH ₃	CH
	H	CH ₃	OC ₂ H ₅	CH ₃	CCH ₃
	H	CH ₃	SCH ₃	CH ₃	X
20	H	CH ₃	SC ₂ H ₅	CH ₃	X
	H	CH ₃	SCN ₂ CH=CH ₂	CH ₃	CH
	H	CH ₃	S(CH ₂) ₄ CH ₃	CH ₃	CH

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TABLE VII-a

		A'	QRI	R	R5	X	Y
5		H	SCH ₃	O	H	CH ₃	OCH ₃
		H	SCH(CH ₃) ₂	O	H	CH ₃	OCH ₃
		H	SCH-C ₂ H ₅	O	H	CH ₃	OCH ₃
			CH ₃				
10		H	SCH ₂ -CH(CH ₃) ₂	O	H	CH ₃	OCH ₃
			CH ₃				
		H	SCH ₂ -CH-CH ₂	O	H	CH ₃	OCH ₃
		H	SCH ₂ -CH-CHC ₂ H ₅	O	H	CH ₃	OCH ₃
		H	SCH ₂ -C≡C-C ₂ H ₅	O	H	CH ₃	OCH ₃
15		H	S(CH ₂) ₄ Cl	O	H	CH ₃	OCH ₃
		H	SCH ₂ CN	O	H	CH ₃	OCH ₃
		S-Cl	S(CH ₂) ₂ OCH ₃	O	H	CH ₃	OCH ₃
		S-Cl	S(CH ₂) ₄ OCH ₃	O	H	CH ₃	OCH ₃
		S-CH ₃	SCH ₂ -CH=CHCH ₂ Cl	O	H	CH ₃	OCH ₃
		S-C ₂ H ₅	SCH ₂ -C≡CCH ₂ CH ₂ Cl	O	H	CH ₃	OCH ₃
20		H		O	H	CH ₃	OCH ₃
		H		O	H	CH ₃	OCH ₃
		H		O	H	CH ₃	OCH ₃
25		H	S-CH ₂ -	O	H	CH ₃	OCH ₃
		H	SCH ₂ CH ₂ -	O	H	CH ₃	OCH ₃
		H	NH ₂	O	H	CH ₃	OCH ₃
		H	N-CH ₃	O	H	CH ₃	OCH ₃
			CH ₃				
30		H	N(CH ₂ CN) ₂	O	H	CH ₃	OCH ₃
		H	N(CH ₂ CH ₂ CN) ₂	O	H	CH ₃	OCH ₃
		H	N(C ₂ H ₅) ₂	O	H	CH ₃	OCH ₃
		H		O	H	CH ₃	OCH ₃

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TABLE VII-a (cont'd)

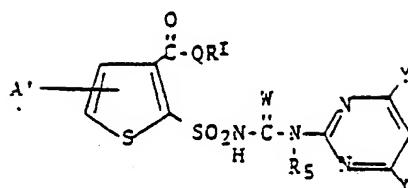
	A'	QR^I	W	R_5	X	Y
	H	$\text{N}(\text{CH}_2\text{CH}=\text{CH}_2)_2$	O	H	CH_3	OCH_3
10	S-Cl		O	H	CH_3	OCH_3
	S-Cl		O	H	CH_3	OCH_3
	S-Br	NHCH_3	O	H	CH_3	CH_3
	S-CH ₃	NHCH_2H_5	O	H	CH_3	CH_3
	S-CH ₃	$\text{NHCH}(\text{CH}_3)_2$	O	H	CH_3	CH_3
15	H	$\text{NHCH} \begin{matrix} \text{CH}_3 \\ \\ \text{C}_2\text{H}_5 \end{matrix}$	O	H	CH_3	CH_3
	H	$\text{NH}(\text{CH}_2)_3\text{CH}_3$	O	H	CH_3	CH_3
	H	$\text{NH}(\text{CH}_2)\text{OCH}_3$	O	H	CH_3	CH_3
	H	$\text{NH}(\text{CH}_2)_3\text{OC}_2\text{H}_5$	O	H	CH_3	CH_3
	H	$\text{NH}(\text{CH}_2)_2\text{OCH}(\text{CH}_3)_2$	O	H	CH_3	CH_3
20	H	$\text{NHCH}_2\text{CH}_2\text{O}-\text{C}_6\text{H}_4-$	O	H	CH_3	CH_3
	H	$\text{NHCH}_2\text{CH}=\text{CH}_2$	O	CH_3	CH_3	CH_3
	H	$\text{NHCH}_2\text{CH}=\text{CHC}_2\text{H}_5$	O	H	CH_3	CH_3
25	H		O	H	CH_3	CH_3
	H		O	CH_3	CH_3	CH_3
	H		O	H	CH_3	CH_3
	H		O	H	CH_3	CH_3
30	H		O	H	CH_3	CH_3
	H		O	H	CH_3	CH_3

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TABLE VII-a (cont'd)

	<u>A</u>	<u>OR^I</u>	<u>X</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
10	H	NH-C ₆ H ₄ -CH ₃	O	H	CH ₃	CH ₃
	H	NH-C ₆ H ₄ -CF ₃	O	H	CH ₃	CH ₃
	H	NH-C ₆ H ₄ -C ₂ H ₅	O	H	CH ₃	CH ₃
	H	N-CH ₂ CN CH ₃	O	H	CH ₃	CH ₃
15	H	N-C(CH ₃) ₂ CN CH ₃	O	H	CH ₃	CH ₃
	H	N-OCH ₃	O	H	CH ₃	CH ₃
20	H	N-C ₆ H ₄ -CH ₃	O	H	CH ₃	OCH ₃
	H	N-C ₆ H ₄ -Cl	O	H	CH ₃	OCH ₃
	H	N-C ₆ H ₄ -Cl	O	H	CH ₃	OCH ₃
	H	N-C ₆ H ₄ -OCH ₃	O	H	CH ₃	OCH ₃
25	H	N-CH ₂ -C ₆ H ₄ -Cl	O	H	CH ₃	OCH ₃
	H	N-CH ₂ -C ₆ H ₄ -CH ₃	O	H	CH ₃	OCH ₃
30	H	N-CH ₂ -C ₆ H ₄ -OCH ₃	O	H	CH ₃	OCH ₃
	H	N-CH ₂ -C ₆ H ₄ -CH ₃	O	H	CH ₃	OCH ₃

TABLE VII-b

					
5					
10	A' <u>QR₁</u> H SCH ₃ H SCH(CH ₃) ₂ H SCH-C ₂ H ₅ H SCH-CH(CH ₃) ₂ H SCH ₂ CH-CH ₃ H SCH ₂ CH-CHC ₂ H ₅ H SCH ₂ -C=C-C ₂ H ₅ H S(CH ₂) ₂ Cl H SCH ₂ CN S-Cl S(CH ₂) ₂ OCH ₃ S-Cl S(CH ₂) ₂ OCH ₃ S-CH ₃ SCH ₂ CH=CHCH ₂ Cl S-C ₂ H ₅ SCH ₂ C≡CCH ₂ CH ₂ Cl	W O O O O O O O O O O O O O O	R ₅ H H H H H H H H H H H H H	Z CH ₃ CH ₃	Y OCH ₃ OCH ₃
15					
20					
25					
30					
35					

x

110

TABLE VII-c

5					
	A'	QR^I	x	R_5	x
	H	SCH_3	O	H	CH_3
	H	$\text{SCH(CH}_3)_2$	O	H	CH_3
	H	$\text{SCH-C}_2\text{H}_5$	O	H	CH_3
		CH_3			
10	H	$\text{SCH-CH(CH}_3)_2$	O	H	CH_3
		CH_3			
	H	$\text{SCH}_2\text{CH-CH}_2$	O	H	CH_3
	H	$\text{SCH}_2\text{CH-CHC}_2\text{H}_5$	O	H	CH_3
	H	$\text{SCH}_2-\text{C=C-C}_2\text{H}_5$	O	H	CH_3
	H	$\text{S(CH}_2)_4\text{Cl}$	O	H	CH_3
	H	SCH_2CN	O	H	CH_3
15	s-Cl	$\text{S(CH}_2)_2\text{OCH}_3$	O	H	CH_3
	s-Cl	$\text{S(CH}_2)_4\text{OCH}_3$	O	H	CH_3
	s-CH_3	$\text{SCH}_2\text{CH=CHCH}_2\text{Cl}$	O	H	CH_3
	$\text{s-C}_2\text{H}_5$	$\text{SCH}_2\text{C}\equiv\text{CCH}_2\text{CH}_2\text{Cl}$	O	H	CH_3
20	H		O	H	CH_3
	H		O	H	CH_3
	H		O	H	CH_3
	H		O	H	CH_3
25	H	$\text{SCHCH}_2-\text{C}_6\text{H}_4-\text{CH}_3$	O	H	CH_3
		CH_3			
	H	NH_2	O	H	CH_3
	H	N-CH_3	O	H	CH_3
		CH_3			
	H	$\text{N(CH}_2\text{CN})_2$	O	H	CH_3
	H	$\text{N(CH}_2\text{CH}_2\text{CN})_2$	O	H	CH_3
	H	$\text{N(C}_2\text{H}_5)_2$	O	H	CH_3
30	H		O	H	CH_3

TABLE VII-d

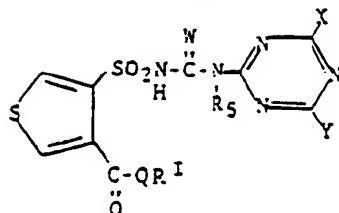
5					
10	H	o	R5	X	Y
	H	o	H	CH3	OCH3
	H	c	H	CH3	OCH3
	H	o	H	CH3	OCH3
	H	o	H	CH3	OCH3
	H	o	H	CH3	OCH3
15	H	c	H	CH3	OCH3
	H	o	H	CH3	OCH3
	H	o	H	CH3	OCH3
	S-Cl	o	H	CH3	OCH3
	S-Cl	o	H	CH3	OCH3
	S-CH3	c	H	CH3	OCH3
	S-CH3	o	H	CH3	OCH3
20	H	o	H	CH3	OCH3
	H	o	H	CH3	OCH3
	H	o	H	CH3	OCH3
25	H	o	H	CH3	OCH3
	H	c	H	CH3	OCH3
	H	o	H	CH3	OCH3
	H	o	H	CH3	OCH3
30	H	o	H	CH3	OCH3
	H	o	H	CH3	OCH3
	H	o	H	CH3	OCH3
	H	o	H	CH3	OCH3

TABLE VII-e

5					
10	A' H SCH ₃ SCH(CH ₃) ₂ SCH-C ₂ H ₅ CH ₃ SCH-CH(CH ₃) ₂ CH ₃ SCH ₂ CH-CH ₂ SCH ₂ CH-CHC ₂ H ₅ SCH ₂ -C=C-C ₂ H ₅ S(CH ₂) ₂ Cl SCH ₂ CN S-Cl S(CH ₂) ₂ OCH ₃ S(CH ₂) ₂ OCH ₃ S-CH ₃ SCH ₂ CH=CHCH ₂ Cl S-C ₂ H ₅ SCH ₂ C≡CCH ₂ CH ₂ Cl	QRI SCH ₃ O O O O O O O O O O O O O O O O O	R ₅ H H H H H H H H H H H H H H H H H H	X CH ₃ CH ₃	Y OCH ₃ OCH ₃
15					
20					
25					
30					
35					

TABLE VII-f

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<u>A'</u>	<u>QR^I</u>	<u>W</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
H	SCH ₃	O	H	CH ₃	OCH ₃
H	SCH(CH ₃) ₂	O	H	CH ₃	OCH ₃
H	SCH-C ₂ H ₅ CH ₃	O	H	CH ₃	OCH ₃
H	SCH-CH(CH ₃) ₂ CH ₃	O	H	CH ₃	OCH ₃

15

H	SCH ₂ CH-CH ₃	O	H	CH ₃	OCH ₃
H	SCH ₂ CH-CHC ₂ H ₅	O	H	CH ₃	OCH ₃
H	SCH ₂ -C(=C-C ₂ H ₅)	O	H	CH ₃	OCH ₃
H	S(CH ₂) ₄ Cl	O	H	CH ₃	OCH ₃
H	SCH ₂ CN	O	H	CH ₃	OCH ₃
S-Cl	S(CH ₂) ₂ OCH ₃	O	H	CH ₃	OCH ₃
S-Cl	S(CH ₂) ₄ OCH ₃	O	H	CH ₃	OCH ₃
S-CH ₃	SCH ₂ CH=CHCH ₂ Cl	O	H	CH ₃	OCH ₃
S-C ₂ H ₅	SCH ₂ C≡CCH ₂ CH ₂ Cl	O	H	CH ₃	OCH ₃

20

H		O	H	CH ₃	OCH ₃
H		O	H	CH ₃	OCH ₃

25

H		O	H	CH ₃	OCH ₃
H		O	H	CH ₃	OCH ₃

30

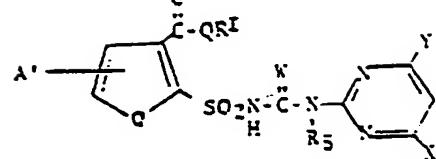
H		O	H	CH ₃	OCH ₃
H		O	H	CH ₃	OCH ₃
H	NH ₂	O	H	CH ₃	OCH ₃
H	N-CH ₃ CH ₃	O	H	CH ₃	OCH ₃
H	N(CH ₂ CN) ₂	O	H	CH ₃	OCH ₃
H	N(CH ₂ CH ₂ CN) ₂	O	H	CH ₃	OCH ₃
H	N(C ₂ H ₅) ₂	O	H	CH ₃	OCH ₃
H		O	H	CH ₃	OCH ₃

35

x

114

TABLE VII-g



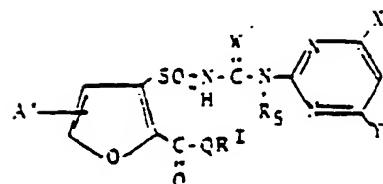
	<u>A'</u>	<u>QR^I</u>	<u>W</u>	<u>R_E</u>	<u>X</u>	<u>Y</u>
-0	H	SCH ₃	O	H	CH ₃	OCH ₃
	H	SCH(CH ₃) ₂	O	H	CH ₃	OCH ₃
	H	SCH-C ₂ H ₅	O	H	CH ₃	OCH ₃
		CH ₃				
	H	SCH-CH(CH ₃) ₂	O	H	CH ₃	OCH ₃
		CH ₃				
	H	SCH ₂ CH-CH ₂	O	H	CH ₃	OCH ₃
	H	SCH ₂ CH-CHC ₂ H ₅	O	H	CH ₃	OCH ₃
	H	SCH ₂ -C≡C-C ₂ H ₅	O	H	CH ₃	OCH ₃
15	H	S(CH ₂) ₄ Cl	O	H	CH ₃	OCH ₃
	H	SCH ₂ CN	O	H	CH ₃	OCH ₃
	S-CI	S(CH ₂) ₂ OCH ₃	O	H	CH ₃	OCH ₃
	S-CI	S(CH ₂) ₂ OCH ₃	O	H	CH ₃	OCH ₃
	S-CH ₃	SCH ₂ CH=CHCH ₂ Cl	O	H	CH ₃	OCH ₃
	S-C ₂ H ₅	SCH ₂ C≡CCH ₂ CH ₂ Cl	O	H	CH ₃	OCH ₃
20	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
25	H		O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃
	H	NH ₂	O	H	CH ₃	OCH ₃
	H	N-CH ₃	O	H	CH ₃	OCH ₃
		CH ₃				
30	H	N(CH ₂ CN) ₂	O	H	CH ₃	OCH ₃
	H	N(CH ₂ CH ₂ CN) ₂	O	H	CH ₃	OCH ₃
	H	N(C ₂ H ₅) ₂	O	H	CH ₃	OCH ₃
	H		O	H	CH ₃	OCH ₃

x

115

TABLE VII-h

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<u>A'</u>	<u>CR^I</u>	<u>X</u>	<u>R₂</u>	<u>T</u>	<u>Y</u>
H	SCH ₃	O	H	CH ₃	OCH ₃
H	SCH(CH ₃) ₂	O	H	CH ₃	OCH ₃
H	SCH-C ₂ H ₅ CH ₃	O	H	CH ₃	OCH ₃

15

	C_2H_5				
H	$\text{SCH}_2\text{CH}-\text{CH}_3$	O	H	CH_3	OCH_3
H	$\text{SCH}_2\text{CH}-\text{CHC}_2\text{H}_5$	O	H	CH_3	OCH_3
H	$\text{SCH}_2-\text{C}=\text{C}-\text{C}_2\text{H}_5$	O	H	CH_3	OCH_3
H	$\text{S}(\text{CH}_2)_4\text{Cl}$	O	H	CH_3	OCH_3
H	SCH_2-CN	O	H	CH_3	OCH_3

20

S-CH_3	$\text{SCH}_2\text{CH}=\text{CHCH}_2\text{Cl}$	C	H	CH_3	OCCH_3
S-CH_3	$\text{SCH}_2\text{CH}=\text{CHCH}_2\text{Cl}$	C	H	CH_3	OCCH_3
S-CH_3	$\text{SCH}_2\text{CH}=\text{CHCH}_2\text{Cl}$	C	H	CH_3	OCCH_3

25

H		O	H	CH ₃	OCH ₃
H		O	H	CH ₃	OCH ₃
H		O	H	CH ₃	OCH ₃
H		O	H	CH ₃	OCH ₃

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x

116

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TABLE VII-a (cont'd)

	<u>A'</u>	<u>QRI</u>	<u>W</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
10	H	SCH(CH ₃) ₂ -C ₆ H ₄ -CH ₃	O	H	CH ₃	OCH ₃
	H	NH ₂	O	H	CH ₃	OCH ₃
	H	N-CH ₃ CH ₃	O	H	CH ₃	OCH ₃
	H	N(CH ₂ CN) ₂	O	H	CH ₃	OCH ₃
	H	N(CH ₂ CH ₂ CN) ₂	O	H	CH ₃	OCH ₃
15	H	N(C ₂ H ₅) ₂	O	H	CH ₃	OCH ₃
	H	N(CH ₃) ₂	O	H	CH ₃	OCH ₃

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TABLE VII-i

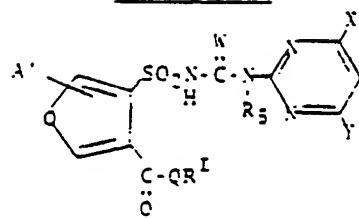
	A'	QR^I	W	R_5	X	Y
5						
10	H	SCH_3	O	H	CH_3	OCH_3
	H	$\text{SCH}(\text{CH}_3)_2$	O	H	CH_3	OCH_3
	H	$\text{SCH}-\text{C}_2\text{H}_5$ CH_3	O	H	CH_3	OCH_3
	H	$\text{SCH}-\text{CH}(\text{CH}_3)_2$ CH_3	O	H	CH_3	OCH_3
	H	$\text{SCH}_2\text{CH}-\text{CH}_2$	O	H	CH_3	OCH_3
	H	$\text{SCH}_2\text{CH}-\text{CHC}_2\text{H}_5$	O	H	CH_3	OCH_3
15	H	$\text{SCH}_2-\text{C}=\text{C}-\text{C}_2\text{H}_5$	O	H	CH_3	OCH_3
	H	$\text{S}(\text{CH}_2)_3\text{Cl}$	O	H	CH_3	OCH_3
	H	SCH_2CN	O	H	CH_3	OCH_3
	S-C1	$\text{S}(\text{CH}_2)_2\text{OCH}_3$	O	H	CH_3	OCH_3
	S-C1	$\text{S}(\text{CH}_2)_4\text{OCH}_3$	O	H	CH_3	OCH_3
	S-CH ₃	$\text{SCH}_2\text{CH}-\text{CHCH}_2\text{Cl}$	O	H	CH_3	OCH_3
	S-C ₂ H ₅	$\text{SCH}_2\text{C}\equiv\text{CCH}_2\text{CH}_2\text{Cl}$	O	H	CH_3	OCH_3
20	H		O	H	CH_3	OCH_3
	H		O	H	CH_3	OCH_3
	H		O	H	CH_3	OCH_3
25	H		O	H	CH_3	OCH_3
	H		O	H	CH_3	OCH_3
	H	$\text{SCHCH}_2-\text{C}_6\text{H}_4-\text{CH}_3$	O	H	CH_3	OCH_3
	H	NH_2	O	H	CH_3	OCH_3
	H	$\text{N}-\text{CH}_3$ CH_3	O	H	CH_3	OCH_3
30	H	$\text{N}(\text{CH}_2\text{CN})_2$	O	H	CH_3	OCH_3
	H	$\text{N}(\text{CH}_2\text{CH}_2\text{CN})_2$	O	H	CH_3	OCH_3
	H	$\text{N}(\text{C}_2\text{H}_5)_2$	O	H	CH_3	OCH_3
	H		O	H	CH_3	OCH_3

TABLE VII-j

5					
10	H SCH ₃ O H CH ₃ OCH ₃	OR ^I	K	R ₅	X Y
	H SCH(CH ₃) ₂ O H CH ₃ OCH ₃				
	H SCH-C ₂ H ₅ O H CH ₃ OCH ₃	CH ₃			
	H SCH-CH(CH ₃) ₂ O H CH ₃ OCH ₃	CH ₃			
	H SCH ₂ CH-CH ₃ O H CH ₃ OCH ₃				
	H SCH ₂ CH-CHC ₂ H ₅ O H CH ₃ OCH ₃				
	H SCH ₂ -C≡C-C ₂ H ₅ O H CH ₃ OCH ₃				
15	H S(CH ₂) ₂ Cl O H CH ₃ OCH ₃				
	H SCH ₂ CN O H CH ₃ OCH ₃				
	S-Cl S(CH ₂) ₂ OCH ₃ O H CH ₃ OCH ₃				
	S-Cl S(CH ₂) ₂ OCH ₃ O H CH ₃ OCH ₃				
	S-CH ₃ SCH ₂ CH=CHCH ₂ Cl O H CH ₃ OCH ₃				
	S-C ₂ H ₅ SCH ₂ C≡CCH ₂ CH ₂ Cl O H CH ₃ OCH ₃				
20	H S-cyclohexyl O H CH ₃ OCH ₃				
	H S-cyclohexyl O H CH ₃ OCH ₃				
	H S-cyclohexyl O H CH ₃ OCH ₃				
25	H S-CH ₂ -cyclopropyl O H CH ₃ OCH ₃				
	H SCH ₂ CH ₂ -cyclohexyl-CH ₃ O H CH ₃ OCH ₃	CH ₃			
	H NH ₂ O H CH ₃ OCH ₃				
	H N-CH ₃ O H CH ₃ OCH ₃	CH ₃			
30	H N(CH ₂ CN) ₂ O H CH ₃ OCH ₃				
	H N(CH ₂ CH ₂ CN) ₂ O H CH ₃ OCH ₃				
	H N(C ₂ H ₅) ₂ O H CH ₃ OCH ₃				
	H N-(CH ₃) ₂ O H CH ₃ OCH ₃				

x

119
TABLE VII-k



	<u>A'</u>	<u>QRI</u>	<u>X</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
	H	SCH ₃	O	H	CH ₃	OCH ₃
	H	SCH(CH ₃) ₂	O	H	CH ₃	OCH ₃
	H	SCH-C ₂ H ₅ CH ₃	O	H	CH ₃	OCH ₃
10	H	SCH-CH(CH ₃) ₂ CH ₃	O	H	CH ₃	OCH ₃
	H	SCH ₂ CH-CH ₂	O	H	CH ₃	OCH ₃
	H	SCH ₂ CH-CHC ₂ H ₅	O	H	CH ₃	OCH ₃
	H	SCH ₂ -C=C-C ₂ H ₅	O	H	CH ₃	OCH ₃
	H	S(CH ₂) ₂ Cl	O	H	CH ₃	OCH ₃
	H	SCH ₂ CN	O	H	CH ₃	OCH ₃
15	s-Cl	S(CH ₂) ₂ OCH ₃	O	H	CH ₃	OCH ₃
	s-Cl	S(CH ₂) ₂ OCH ₃	O	H	CH ₃	OCH ₃
	s-CH ₃	SCH ₂ CH=CHCH ₂ Cl	O	H	CH ₃	OCH ₃
	s-C ₂ H ₅	SCH ₂ C(=O)CH ₂ CH ₂ Cl	O	H	Cl ₃	OCH ₃
20	H	S- 	O	H	CH ₃	OCH ₃
	H	S- 	O	H	CH ₃	OCH ₃
	H	S- 	O	H	CH ₃	OCH ₃
	H	S-CH ₂ - 	O	H	CH ₃	OCH ₃
25	H	SCHCH ₂ - 	O	H	CH ₃	OCH ₃
	H	NH ₂	O	H	CH ₃	OCH ₃
	H	N-CH ₃ CH ₃	O	H	CH ₃	OCH ₃
	H	N(CH ₂ CN) ₂	O	H	CH ₃	OCH ₃
	H	N(CH ₂ CH ₂ CN) ₂	O	H	CH ₃	OCH ₃
	H	N(C ₂ H ₅) ₂	O	H	CH ₃	OCH ₃
30	H	N-  CH(CH ₃) ₂	O	H	CH ₃	OCH ₃

TABLE VII-1

5					
10	A'	<u>QR^I</u>	X	R ₅	Y
	H	SCH ₃	O	H	CH ₃
	H	SCH(CH ₃) ₂	O	H	CH ₃
	H	SCH-C ₂ H ₅	O	H	CH ₃
		CH ₃			
	H	SCH-CH(CH ₃) ₂	O	H	CH ₃
		CH ₃			
	H	SCH ₂ CH-CH ₂	O	H	CH ₃
15					OCH ₃
	H	SCH ₂ CH-CHC ₂ H ₅	O	H	CH ₃
	H	SCH ₂ -C(=C-C ₂ H ₅)	O	H	OCH ₃
	H	S(CH ₂) ₄ Cl	O	H	CH ₃
	H	SCH ₂ CX	O	H	CH ₃
	S-Cl	S(CH ₂) ₂ OCH ₃	O	H	CH ₃
	S-Cl	S(CH ₂) ₄ OCH ₃	O	H	CH ₃
	S-CH ₃	SCH ₂ CH-CHCH ₂ Cl	O	H	CH ₃
20	S-C ₂ H ₅	SCH ₂ C≡CCH ₂ CH ₂ Cl	O	H	OCH ₃
	H		O	H	CH ₃
	H		O	H	CH ₃
25					OCH ₃
	H		O	H	CH ₃
	H	S-CH ₂ -	O	H	CH ₃
	H		O	H	OCH ₃
	H	SCH ₂ CH ₂ -	O	H	CH ₃
30					OCH ₃
	H	NH ₂	O	H	CH ₃
	H	N-CH ₃	O	H	CH ₃
		CH ₃			
	H	N(CH ₂ CN) ₂	O	H	CH ₃
	H	N(CH ₂ CH ₂ CN) ₂	O	H	CH ₃
	H	N(C ₂ H ₅) ₂	O	H	CH ₃
35					OCH ₃
	H		O	H	CH ₃

x

121

TABLE VIII-a

	<u>A'</u>	<u>OR^I</u>	<u>X</u>	<u>R₅</u>	<u>X₁</u>	<u>Y₁</u>
5						
10	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	SCH ₃	O	H	CH ₃	CH ₃
	H	SCH ₃ CH ₃	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NH(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	N(CH ₃) ₂	O	H	OCH ₃	OCH ₃
15	H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
	H	N-OCH ₃	O	H	OCH ₃	OCH ₃
		CH ₃				
20	H		O	H	OCH ₃	OCH ₃

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x

122

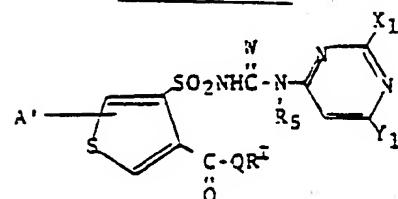
TABLE VIII-6

5						
	A'	QRI	R	R5	X1	Y1
10	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	SCH ₃	O	H	CH ₃	CH ₃
	H	SCH ₃ CH ₃	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₂ CH ₃	O	H	CH ₃	CH ₃
	H	NH(CH ₂) ₂ CH ₃	O	H	CH ₃	CH ₃
	H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	N(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
15	H	N-OCH ₃	O	H	OCH ₃	OCH ₃
	CH ₃					
20	H		O	H	OCH ₃	OCH ₃
25						
30						
35						

X

123

TABLE VIII-c



	<u>A'</u>	<u>QR^I</u>	<u>R</u>	<u>S₅</u>	<u>X₁</u>	<u>Y₁</u>
10	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	SCH ₃	O	H	CH ₃	CH ₃
	H	SCH ₃ CH ₃	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NH(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	N(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
	H	N-OCH ₃	O	H	OCH ₃	OCH ₃
15		CH ₃				
	H		O	H	OCH ₃	OCH ₃

20

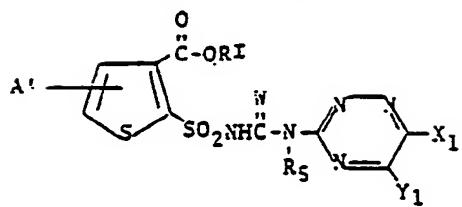
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TABLE VIII-d

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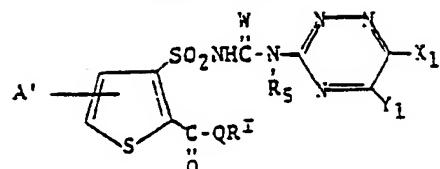
30

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<u>A'</u>	<u>ORI</u>	<u>W</u>	<u>R5</u>	<u>X1</u>	<u>Y1</u>
H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	SCH ₃	O	H	CH ₃	CH ₃
	SCH ₃ CH ₃	O	H	CH ₃	CH ₃
	S(CH ₂) ₅ CH ₃	O	H	CH ₃	CH ₃
	NH(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	N(CH ₃) ₂	O	H	OCH ₃	OCH ₃
H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
	N-OCH ₃ CH ₃	O	H	OCH ₃	OCH ₃
<hr/>					
H		O	H	OCH ₃	OCH ₃

TABLE VIII-e

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	<u>A'</u>	<u>QR^I</u>	<u>W</u>	<u>R₅</u>	<u>X₁</u>	<u>Y₁</u>
	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	SCH ₃	O	H	CH ₃	CH ₃
	H	SCH ₃ CH ₃	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NH(CH ₃) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
15	H	N(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
	H	N-OCH ₃	O	H	OCH ₃	OCH ₃
		CH ₃				
	H		O	H	OCH ₃	OCH ₃

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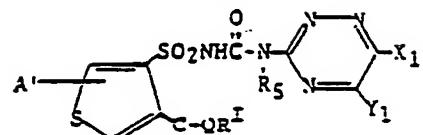
35

x

126

TABLE VIII-*E*

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<u>A'</u>	<u>QR₁</u>	<u>R₅</u>	<u>X₁</u>	<u>X₁</u>	<u>Y₁</u>
H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
H	SC ₂ H ₅	O	H	CH ₃	CH ₃
H	SC ₂ H ₅ CH ₃	O	H	CH ₃	CH ₃
H	S(CH ₃) ₂ CH ₃	O	H	CH ₃	CH ₃
H	NH(CH ₃) ₂ CH ₃	O	H	CH ₃	CH ₃
H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
15	H	N(CH ₃) ₂	O	H	OCH ₃
H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
H	N-OCH ₃	O	H	OCH ₃	OCH ₃
	CH ₃				
20	H		O	H	OCH ₃

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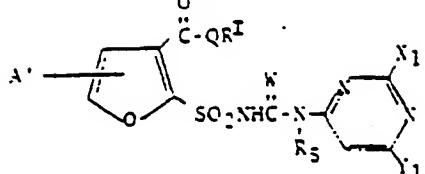
35

x

127

TABLE VIII-q

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	<u>A'</u>	<u>Q R¹</u>	<u>W</u>	<u>R₅</u>	<u>X₁</u>	<u>Y₁</u>
	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	SCH ₃	O	H	CH ₃	CH ₃
	H	SCH ₃ CH ₃	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NH(CH ₂) ₅ CH ₃	O	H	CH ₃	CH ₃
	H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
15	H	N(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
	H	N-OCH ₃ CH ₃	O	H	OCH ₃	OCH ₃
	H		O	H	OCH ₃	OCH ₃

20

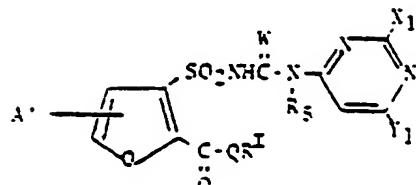
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TABLE VIII-h

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	<u>A'</u>	<u>Q R^I</u>	<u>X</u>	<u>R₅</u>	<u>X₁</u>	<u>Y₁</u>
10	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	SCH ₃	O	H	CH ₃	CH ₃
	H	SCH ₃ CH ₃	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NH(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	N(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
15	H	N-OCH ₃	O	H	OCH ₃	OCH ₃
	CH ₃		O	H	OCH ₃	OCH ₃

TABLE VIII-i

	<u>A'</u>	<u>Q RI</u>	<u>W</u>	<u>R₂</u>	<u>X₁</u>	<u>Y₁</u>
10	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	SCH ₃	O	H	CH ₃	CH ₃
	H	SCH ₃ CH ₃	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NH(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	N(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
	H	N-OCH ₃	O	H	OCH ₃	OCH ₃
	CH ₃					
15	H		O	H	OCH ₃	OCH ₃

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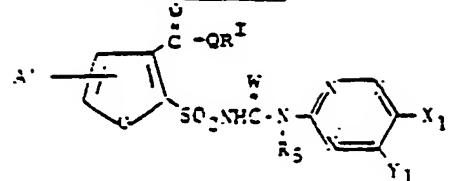
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x

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TABLE VIII-j



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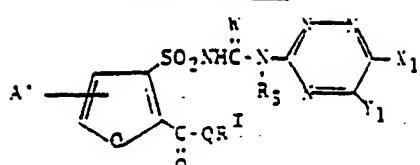
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TABLE VIII-k



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	<u>A'</u>	<u>QR I</u>	<u>K</u>	<u>R5</u>	<u>X1</u>	<u>Y1</u>
15	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	SCH ₃	O	H	CH ₃	CH ₃
	H	SCH ₃ CH ₃	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NH(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	N(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
	H	N-OCH ₃ CH ₃	O	H	OCH ₃	OCH ₃
20	H		O	H	OCH ₃	OCH ₃

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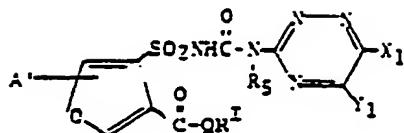
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x

132

TABLE VIII-1

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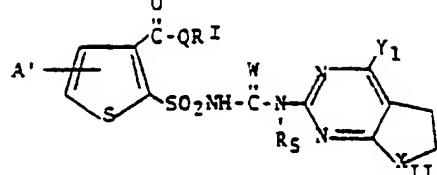
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	<u>A'</u>	<u>OR^I</u>	<u>K</u>	<u>R₅</u>	<u>X₁</u>	<u>Y₁</u>
10	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	SCH ₃	O	H	CH ₃	CH ₃
	H	SCH ₃ CH ₃	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NH(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	N(CH ₃) ₂	O	H	OCH ₃	OCH ₃
	H	N(C ₂ H ₅) ₂	O	H	OCH ₃	OCH ₃
	H	N-OCH ₃ CH ₃	O	H	OCH ₃	OCH ₃
15	H		O	H	OCH ₃	OCH ₃

TABLE IX-a



	<u>A'</u>	<u>OR^I</u>	<u>R</u>	<u>R₂</u>	<u>Y₁</u>	<u>X₁₁</u>
	H	SCH ₃	O	H	CH ₃	CH ₂
10	H	SC ₂ H ₅	O	H	CH ₃	CH ₂
	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₂
	H	SCH ₂ CH=CH ₂	O	H	CH ₃	CH ₂
	H	NHC ₂ H ₅	O	H	CH ₃	CH ₂
	H	NHCH(CH ₃) ₂	O	H	CH ₃	O
	H	NH(CH ₂) ₅ CH ₃	O	H	CH ₃	O
15	H	NH(CH ₂) ₈ CH ₃	O	H	CH ₃	O
	H	N(CH ₃) ₂	O	H	CH ₃	O

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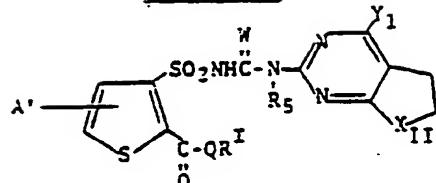
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x

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TABLE IX-b



	<u>A'</u>	<u>QR^I</u>	<u>W</u>	<u>R₅</u>	<u>Y₁</u>	<u>Y_{II}</u>
10	H	SCH ₃	O	H	CH ₃	CH ₂
	H	SC ₂ H ₅	O	H	CH ₃	CH ₂
	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₂
	H	SCH ₂ CH=CH ₂	O	H	CH ₃	CH ₂
	H	NHC ₂ H ₅	O	H	CH ₃	CH ₂
	H	NHCH(CH ₃) ₂	O	H	CH ₃	O
	H	NH(CH ₂) ₅ CH ₃	O	H	CH ₃	O
	H	NH(CH ₂) ₈ CH ₃	O	H	CH ₃	O
15	H	N(CH ₃) ₂	O	H	CH ₃	O

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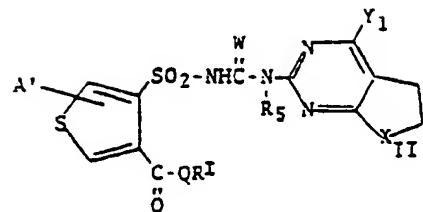
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TABLE IX-C

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<u>A'</u>	<u>QRII</u>	<u>W</u>	<u>R5</u>	<u>Y1</u>	<u>XII</u>
H	SCH ₃	O	H	CH ₃	CH ₂
H	SC ₂ H ₅	O	H	CH ₃	CH ₂
H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₂
H	SCH ₂ CH=CH ₂	O	H	CH ₃	CH ₂
H	NHC ₂ H ₅	O	H	CH ₃	CH ₂
H	NHCH(CH ₃) ₂	O	H	CH ₃	O
H	NH(CH ₂) ₅ CH ₃	O	H	CH ₃	O
15	NH(CH ₂) ₃ CH ₃	O	H	CH ₃	O
H	N(CH ₃) ₂	O	H	CH ₃	O

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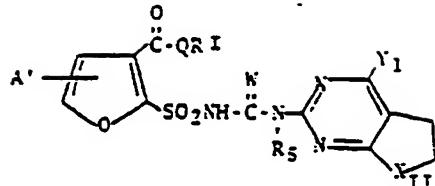
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TABLE IX-d

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	<u>A'</u>	<u>OR^I</u>	<u>W</u>	<u>R₅</u>	<u>Y₁</u>	<u>X₁₁</u>
	H	SCH ₃	O	H	CH ₃	CH ₂
	H	SC ₂ H ₅	O	H	CH ₃	CH ₂
	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₂
	H	SCH ₂ CH=CH ₂	O	H	CH ₃	CH ₂
	H	NHC ₂ H ₅	O	H	CH ₃	CH ₂
	H	NHCH(CH ₃) ₂	O	H	CH ₃	O
	H	NH(CH ₂) ₅ CH ₃	O	H	CH ₃	O
	H	NH(CH ₂) ₈ CH ₃	O	H	CH ₃	O
	H	N(CH ₃) ₂	O	H	CH ₃	O

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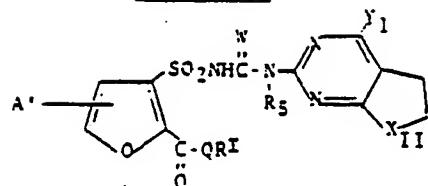
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TABLE IX-e

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<u>A'</u>	<u>Q R<sup>I</sup></u>	<u>X</u>	<u>R<sub>5</sub></u>	<u>Y<sub>1</sub></u>	<u>X<sub>II</sub></u>
H	SCH₃	O	H	CH₃	CH₂
H	SC₂H₅	O	H	CH₃	CH₂
H	SCH(CH₃)₂	O	H	CH₃	CH₂
H	SCH₂CH=CH₂	O	H	CH₃	CH₂
H	NHC₂H₅	O	H	CH₃	CH₂
H	NHCH(CH₃)₂	O	H	CH₃	O
15	H	NH(CH₂)₅CH₃	O	CH₃	O
H	NH(CH₂)₈CH₃	O	H	CH₃	O
H	N(CH₃)₂	O	H	CH₃	O

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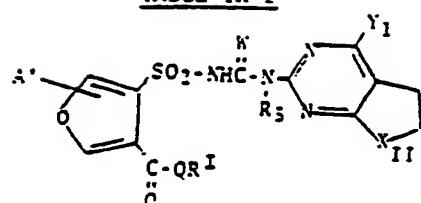
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TABLE IX-*f*

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<u>A'</u>	<u>QR^I</u>	<u>K</u>	<u>R₅</u>	<u>Y₁</u>	<u>X₁₁</u>
H	SCH ₃	O	H	CH ₃	CH ₂
H	SC ₂ H ₅	O	H	CH ₃	CH ₂
H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₂
H	SCH ₂ CH=CH ₂	O	H	CH ₃	CH ₂
H	NHC ₂ H ₅	O	H	CH ₃	CH ₂
15	NHCH(CH ₃) ₂	O	H	CH ₃	O
H	NH(CH ₂) ₅ CH ₃	O	H	CH ₃	O
H	NH(CH ₂) ₈ CH ₃	O	H	CH ₃	O
H	N(CH ₃) ₂	O	H	CH ₃	O

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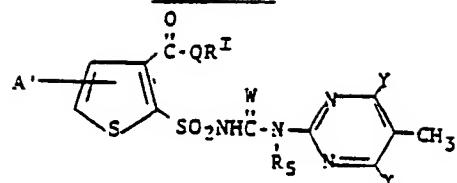
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TABLE X-a

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<u>A'</u>	<u>QR<sup>I</sup></u>	<u>W</u>	<u>Z</u>	<u>X</u>	<u>Y</u>
H	SCH₃	O	H	CH₃	CH₃
H	SC₂H₅	O	H	CH₃	CH₃
H	SCH(CH₃)₂	O	H	CH₃	CH₃
H	S(CH₂)₃CH₃	O	H	CH₃	CH₃
H	SCH₂CH=CH₂	O	H	CH₃	CH₃
H	S(CH₂)-CH₃	O	H	CH₃	CH₃
15	NHCH(CH₃)₂	O	H	CH₃	CH₃
H	NHC₂H₅	O	H	CH₃	CH₃
H	N(CH₃)₂	O	H	CH₃	CH₃
H	N(C₂H₅)₂	O	H	CH₃	CH₃

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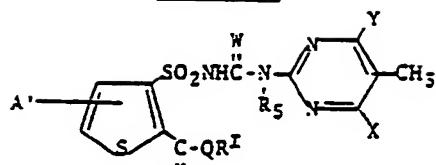
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TABLE X-b



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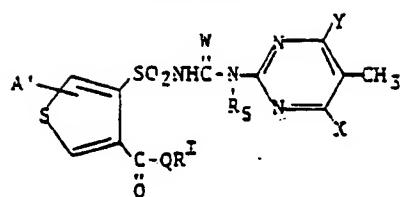
<u>A'</u>	<u>QR^I</u>	<u>W</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
H	SCH ₃	O	H	CH ₃	CH ₃
H	SC ₂ H ₅	O	H	CH ₃	CH ₃
H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
H	SCH ₂ CH=CH ₂	O	H	CH ₃	CH ₃
H	S(CH ₂) ₂ CH ₃	O	H	CH ₃	CH ₃
H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
H	NHC ₂ H ₅	O	H	CH ₃	CH ₃
H	N(CH ₃) ₂	O	H	CH ₃	CH ₃
H	N(C ₂ H ₅) ₂	O	H	CH ₃	CH ₃

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TABLE X-C

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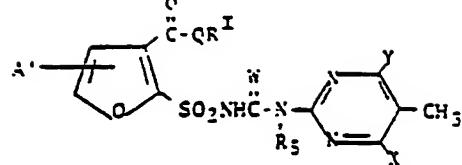
35

A'	<u>QR¹</u>	W	R_5	X	Y
H	SCH_3	O	H	CH_3	CH_3
H	SC_2H_5	O	H	CH_3	CH_3
H	$\text{SCH}(\text{CH}_3)_2$	O	H	CH_3	CH_3
H	$\text{S}(\text{CH}_2)_3\text{CH}_3$	O	H	CH_3	CH_3
H	$\text{SCH}_2\text{CH}=\text{CH}_2$	O	H	CH_3	CH_3
H	$\text{S}(\text{CH}_2)-\text{CH}_3$	O	H	CH_3	CH_3
H	$\text{NHCH}(\text{CH}_3)_2$	O	H	CH_3	CH_3
H	NHC_2H_5	O	H	CH_3	CH_3
H	$\text{N}(\text{CH}_3)_2$	O	H	CH_3	CH_3
H	$\text{N}(\text{C}_2\text{H}_5)_2$	O	H	CH_3	CH_3

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TABLE X-d



	<u>A'</u>	<u>QRI</u>	<u>K</u>	<u>R₃</u>	<u>Z</u>	<u>Y</u>
	H	SCH ₃	O	H	CH ₃	CH ₃
	H	SC ₂ H ₅	O	H	CH ₃	CH ₃
10	H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
	H	SCH ₂ CH=CH ₂	O	H	CH ₃	CH ₃
	H	S(CH ₂) ₂ CH ₃	O	H	CH ₃	CH ₃
	H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	NHC ₂ H ₅	O	H	CH ₃	CH ₃
15	H	N(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	N(C ₂ H ₅) ₂	O	H	CH ₃	CH ₃

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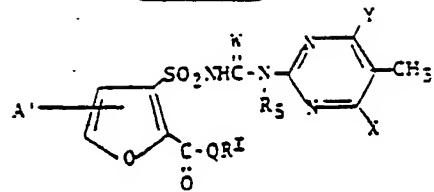
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TABLE X-e



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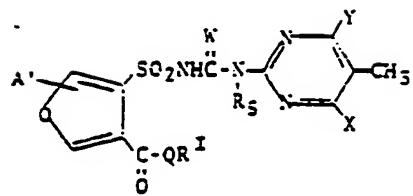
35

<u>A'</u>	<u>QRI</u>	<u>X</u>	<u>R5</u>	<u>X</u>	<u>Y</u>
H	SCH ₃	O	H	CH ₃	CH ₃
H	SC ₂ H ₅	O	H	CH ₃	CH ₃
H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
H	SCH ₂ -CH=CH ₂	O	H	CH ₃	CH ₃
H	S(CH ₂)-CH ₃	O	H	CH ₃	CH ₃
H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
H	NHC ₂ H ₅	O	H	CH ₃	CH ₃
H	N(CH ₃) ₂	O	H	CH ₃	CH ₃
H	N(C ₂ H ₅) ₂	O	H	CH ₃	CH ₃

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TABLE X-E



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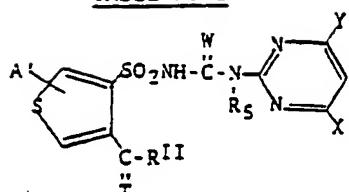
35

<u>A'</u>	<u>Q R^I</u>	<u>K</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
H	SCH ₃	O	H	CH ₃	CH ₃
H	SC ₂ H ₅	O	H	CH ₃	CH ₃
H	SCH(CH ₃) ₂	O	H	CH ₃	CH ₃
H	S(CH ₂) ₃ CH ₃	O	H	CH ₃	CH ₃
H	SCH ₂ -CH=CH ₂	O	H	CH ₃	CH ₃
H	S(CH ₂) ₂ -CH ₃	O	H	CH ₃	CH ₃
H	NHCH(CH ₃) ₂	O	H	CH ₃	CH ₃
H	NHC ₂ H ₅	O	H	CH ₃	CH ₃
H	N(CH ₃) ₂	O	H	CH ₃	CH ₃
H	N(C ₂ H ₅) ₂	O	H	CH ₃	CH ₃

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TABLE XI-a



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	<u>A'</u>	<u>T</u> <u>-C-R-II</u>	<u>R</u>	<u>R₅</u>	<u>X</u>	<u>Y</u>
	H	O -C-CH ₃	O	H	CH ₃	CH ₃
10	H	O -C-(CH ₂) ₅ CH ₃	O	CH ₃	CH ₃	CH ₃
	H	O -CCH(CH ₃) ₂	O	H	CH ₃	CH ₃
	H	O -CCH ₂ CH=CH ₂	O	CH ₃	CH ₃	CH ₃
15	H	O -CCH ₂ CH=CHC ₂ H ₅	O	H	CH ₃	CH ₃
	H	O -C- 	O	CH ₃	CH ₃	CH ₃
	H	O -CCH ₂ - 	O	CH ₃	Cl ₃	Cl ₃
20	H	O -C-  -C ₁	O	CH ₃	CH ₃	Cl ₃
	H	O -C-  -C ₁	O	CH ₃	Cl ₃	CH ₃
	H	O -C-  -OCH ₃	O	CH ₃	CH ₃	Cl ₃
25	H	O -C-  -CH ₃	O	CH ₃	CH ₃	CH ₃
	H	O -C-CH ₂ -  -CH ₃	O	CH ₃	CH ₃	CH ₃
	H	O -C- 	O	CH ₃	CH ₃	CH ₃
30	H	O -C-CH ₂ - 	O	H	CH ₃	CH ₃
	H	O -C-CH ₂ - 	O	H	CH ₃	CH ₃

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TABLE XI-a (cont'd)

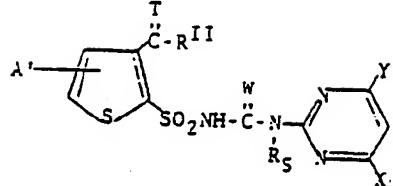
	<u>A'</u>	<u>O</u> <u>-C-R II</u>	<u>R</u>	<u>R</u>	<u>X</u>	<u>Y</u>
10	H	NOH				
	H	C-CH ₃	O	H	CH ₃	CH ₃
	H	NOCH ₃				
	H	C-C ₂ H ₅	O	H	CH ₃	CH ₃
	H	NOCH(CH ₃)				
	H	C-C ₂ H ₅	O	H	CH ₃	CH ₃
15	H	NOCH ₂ CH=CH ₂				
	H	C-CH ₃				
	H	O	O	H	CH ₃	CH ₃
	H	CH	O	H	CH ₃	CH ₃
20	H	O	O	H	CH ₃	OCCH ₃
	H	CH	O	H	CH ₃	CH ₃
	H	CH	O	H	OCCH ₃	OCCH ₃

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TABLE XI-b



	Δ'	$\frac{\text{C}-\text{R}^{\text{II}}}{\text{O}}$	W	R_5	X	Y
10	H	$\text{C}-\text{CH}_3$	O	H	CH ₃	CH ₃
	H	$\text{C}-(\text{CH}_2)_5\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
	H	$\text{CCH}(\text{CH}_3)_2$	O	H	CH ₃	CH ₃
	H	$\text{CCH}_2\text{CH}=\text{CH}_2$	O	CH ₃	CH ₃	CH ₃
15	H	$\text{CCH}_2\text{CH}=\text{CHC}_2\text{H}_5$	O	H	CH ₃	CH ₃
	H	$\text{C}-\text{C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃
20	H	$\text{C}-\text{C}_6\text{H}_4\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}-\text{C}_6\text{H}_4\text{Cl}$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}-\text{C}_6\text{H}_3\text{Cl}_2$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}-\text{C}_6\text{H}_3\text{OC}_2\text{H}_5$	O	CH ₃	CH ₃	CH ₃
25	H	$\text{C}-\text{C}_6\text{H}_3\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}-\text{CH}_2-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}-\text{CH}_2-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
30	H	$\text{C}-\text{C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}-\text{CH}_2-\text{C}_6\text{H}_3\text{CH}_3$	O	H	CH ₃	CH ₃
	H	$\text{C}-\text{CH}_2-\text{C}_6\text{H}_3\text{CH}_3$	O	H	CH ₃	CH ₃
35	H	$\text{C}-\text{C}_6\text{H}_3\text{CH}_3$	O	H	CH ₃	OC ₂ H ₅

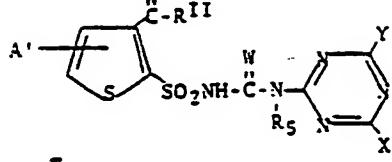
TABLE XI-c

	A'	$\overset{\text{W}}{\underset{\text{T}}{\text{C}}} \text{-NH-SO}_2\text{-R}^{\text{II}}$	$\overset{\text{Y}}{\underset{\text{X}}{\text{N}}} \text{-C}_6\text{H}_4 \text{-R}_5$	R_6	R_7	R_8	R_9
5							
10	H	$\overset{\text{T}}{\underset{\text{O}}{\text{C}}} \text{-CH}_3$	O	H	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{O}}{\text{C}}} \text{-}(\text{CH}_2)_5\text{CH}_3$	O	CH ₃	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{O}}{\text{C}}} \text{CH}(\text{CH}_3)_2$	O	H	CH ₃	CH ₃	
15	H	$\overset{\text{O}}{\underset{\text{O}}{\text{C}}} \text{CH}_2\text{CH=CH}_2$	O	CH ₃	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{O}}{\text{C}}} \text{CH}_2\text{CH=CHC}_2\text{H}_5$	O	H	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{C}}{\text{C}}} \text{C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃	
20	H	$\overset{\text{O}}{\underset{\text{C}}{\text{C}}} \text{CH}_2\text{-C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{C-CI}}{\text{C}}} \text{C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{C-CI}}{\text{C}}} \text{C}_6\text{H}_4\text{-C}_6\text{H}_4\text{-C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃	
25	H	$\overset{\text{O}}{\underset{\text{C-CI}}{\text{C}}} \text{C}_6\text{H}_4\text{-C}_6\text{H}_4\text{-C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{C-CI}}{\text{C}}} \text{C}_6\text{H}_4\text{-C}_6\text{H}_4\text{-OCH}_3$	O	CH ₃	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{C-CI}}{\text{C}}} \text{C}_6\text{H}_4\text{-OCH}_3$	O	CH ₃	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{C-CI}}{\text{C}}} \text{C}_6\text{H}_4\text{-CH}_3$	O	CH ₃	CH ₃	CH ₃	
30	H	$\overset{\text{O}}{\underset{\text{C-CI}}{\text{C}}} \text{C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{C-CI}}{\text{C}}} \text{CH}_2\text{-C}_6\text{H}_5$	O	H	CH ₃	CH ₃	
	H	$\overset{\text{O}}{\underset{\text{C-CI}}{\text{C}}} \text{CH}_2\text{-C}_6\text{H}_4\text{-C}_6\text{H}_5$	O	H	CH ₃	CH ₃	
35	H	$\overset{\text{O}}{\underset{\text{C-CI}}{\text{C}}} \text{CH}_2\text{-C}_6\text{H}_4\text{-CH}_3$	O	H	CH ₃	CH ₃	

TABLE XI-d

		Δ'	T	R5	X	Y
5			$\text{C}-\text{R}^{\text{II}}$			
10	H		$\text{C}-\text{CH}_3$	O	H	CH_3
	H		$\text{C}-(\text{CH}_2)_5\text{CH}_3$	O	CH_3	CH_3
	H		$\text{CCH}(\text{CH}_3)_2$	O	H	CH_3
	H		$\text{CCH}_2\text{CH}=\text{CH}_2$	O	CH_3	CH_3
15	H		$\text{CCH}_2\text{CH}=\text{CHC}_2\text{H}_5$	O	H	CH_3
	H		$\text{C}-\text{C}_6\text{H}_5$	O	CH_3	CH_3
	H		$\text{CCH}_2-\text{C}_6\text{H}_5$	O	CH_3	CH_3
	H		$\text{C}-\text{C}_6\text{H}_5-\text{Cl}$	O	CH_3	CH_3
	H		$\text{C}-\text{C}_6\text{Cl}_5$	O	CH_3	CH_3
20	H		$\text{C}-\text{C}_6\text{Cl}_5-\text{Cl}$	O	CH_3	CH_3
	H		$\text{C}-\text{C}_6\text{Cl}_5-\text{OCH}_3$	O	CH_3	CH_3
	H		$\text{C}-\text{C}_6\text{Cl}_5-\text{CH}_3$	O	CH_3	CH_3
25	H		$\text{C}-\text{CH}_2-\text{C}_6\text{H}_5-\text{CH}_3$	O	CH_3	CH_3
	H		$\text{C}-\text{CH}_2-\text{C}_6\text{H}_5$	O	CH_3	CH_3
	H		$\text{C}-\text{CH}_2-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH_3	CH_3
30	H		$\text{C}-\text{CH}_2-\text{C}_6\text{H}_4$	O	CH_3	CH_3
	H		$\text{C}-\text{CH}_2-\text{C}_6\text{H}_3-\text{CH}_3$	O	CH_3	CH_3
	H		$\text{C}-\text{CH}_2-\text{C}_6\text{H}_3$	O	CH_3	CH_3
35	H		$\text{C}-\text{CH}_2-\text{C}_6\text{H}_2-\text{CH}_3$	O	CH_3	CH_3

TABLE XI-e

	5					
		T C-RII	W	R5	Z	Y
10	H	-C(=O)-CH3	O	H	CH3	CH3
	H	-C(=O)-(CH2)5CH3	O	CH3	CH3	CH3
	H	-C(=O)CH(CH3)2	O	H	CH3	CH3
15	H	-C(=O)CH2-CH=CH2	O	CH3	CH3	CH3
	H	-C(=O)CH2-CH=CHC2H5	O	H	CH3	CH3
	H	-C(=O)-C6H5	O	CH3	CH3	CH3
20	H	-C(=O)CH2-C6H5	O	CH3	CH3	CH3
	H	-C(=O)-C6H4-Cl	O	CH3	CH3	CH3
	H	-C(=O)-C6H4-Cl	O	CH3	CH3	CH3
25	H	-C(=O)-C6H4-OCH3	O	CH3	CH3	CH3
	H	-C(=O)-C6H4-CH3	O	CH3	CH3	CH3
	H	-C(=O)-CH2-C6H4-CH3	O	CH3	CH3	CH3
30	H	-C(=O)-C6H5	O	CH3	CH3	CH3
	H	-C(=O)-CH2-C(CH3)3	O	H	CH3	CH3
	H	-C(=O)-CH2-C(CH3)2	O	H	CH3	CH3
35	H	CO	O	z	CH3	OCCH3

x

151

TABLE XI-F

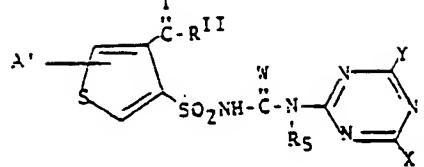
	A'	$\frac{\text{T}}{\text{C}-\text{R}^{\text{II}}}$	x	R_5	Σ	Y
5						
10	H	$\frac{\text{T}}{\text{C}-\text{CH}_3}$	O	H	CH ₃	CH ₃
	H	$\frac{\text{T}}{-\text{C}-(\text{CH}_2)_5\text{CH}_3}$	O	CH ₃	CH ₃	CH ₃
	H	$\frac{\text{T}}{-\text{CCH}(\text{CH}_3)_2}$	O	H	CH ₃	CH ₃
	H	$\frac{\text{T}}{-\text{CCH}_2\text{CH}=\text{CH}_2}$	O	CH ₃	CH ₃	CH ₃
15	H	$\frac{\text{T}}{-\text{CCH}_2\text{CH}=\text{CHC}_2\text{H}_5}$	O	H	CH ₃	CH ₃
	H	$\frac{\text{T}}{-\text{C}-\text{C}_6\text{H}_5}$	O	CH ₃	CH ₃	CH ₃
	H	$\frac{\text{T}}{-\text{CCH}_2-\text{C}_6\text{H}_5}$	O	CH ₃	CH ₃	CH ₃
20	H	$\frac{\text{T}}{-\text{C}-\text{C}_6\text{H}_4-\text{Cl}}$	O	CH ₃	CH ₃	CH ₃
	H	$\frac{\text{T}}{-\text{C}-\text{C}_6\text{H}_4-\text{Cl}}$	O	CH ₃	CH ₃	CH ₃
	H	$\frac{\text{T}}{-\text{C}-\text{C}_6\text{H}_4-\text{Cl}}$	O	CH ₃	CH ₃	CH ₃
25	H	$\frac{\text{T}}{-\text{C}-\text{C}_6\text{H}_4-\text{CH}_3}$	O	CH ₃	CH ₃	CH ₃
	H	$\frac{\text{T}}{-\text{C}-\text{C}_6\text{H}_4-\text{CH}_3}$	O	CH ₃	CH ₃	CH ₃
	H	$\frac{\text{T}}{-\text{C}-\text{CH}_2-\text{C}_6\text{H}_4-\text{CH}_3}$	O	CH ₃	CH ₃	CH ₃
30	H	$\frac{\text{T}}{-\text{C}-\text{C}_6\text{H}_5}$	O	CH ₃	CH ₃	CH ₃
	H	$\frac{\text{T}}{\text{C}-\text{CH}_2-\text{CH}_3}$	O	H	CH ₃	CH ₃
	H	$\frac{\text{T}}{\text{C}-\text{CH}_2-\text{C}_6\text{H}_5}$	O	H	CH ₃	CH ₃
35	H	$\frac{\text{T}}{\text{CH}_3}$	O	H	CH ₃	CC ₂ H ₅

TABLE XI-q

	A'	T $\text{C}-\text{R}^{\text{II}}$	K	R_5	Σ	Υ
5						
10	H	$\text{C}(=\text{O})-\text{CH}_3$	O	H	CH ₃	CH ₃
	H	$\text{C}(=\text{O})-(\text{CH}_2)_5\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}(=\text{O})-\text{CH}(\text{CH}_3)_2$	O	H	CH ₃	CH ₃
15	H	$\text{C}(=\text{O})-\text{CH}_2\text{CH}=\text{CH}_2$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}(=\text{O})-\text{CH}_2\text{CH}=\text{CHC}_2\text{H}_5$	O	H	CH ₃	CH ₃
	H	$\text{C}(=\text{O})-\text{C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃
20	H	$\text{C}(=\text{O})-\text{CH}_2-\text{C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{Cl}$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{Cl}$	O	CH ₃	CH ₃	CH ₃
25	H	$\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
30	H	$\text{C}(=\text{O})-\text{CH}_2-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}(=\text{O})-\text{C}_6\text{H}_5$	O	CH ₃	CH ₃	CH ₃
	H	$\text{C}(=\text{O})-\text{CH}_2-\text{C}_6\text{H}_4-\text{CH}_3$	O	H	CH ₃	CH ₃
35	H	$\text{C}(=\text{O})-\text{C}_6\text{H}_4-\text{CH}_3$	O	H	CH ₃	CH ₃

TABLE XI-h

5					
10	H	$\begin{array}{c} \text{C}-\text{R}^{\text{II}} \\ \\ \text{O} \end{array}$	K	R ₅	X
		$-\ddot{\text{C}}-\text{CH}_3$	O	H	CH ₃
	H	$-\ddot{\text{C}}-(\text{CH}_2)_5\text{CH}_3$	O	CH ₃	CH ₃
	H	$-\ddot{\text{C}}\text{H}(\text{CH}_3)_2$	O	H	CH ₃
	H	$-\ddot{\text{C}}\text{H}_2\text{CH}=\text{CH}_2$	O	CH ₃	CH ₃
15	H	$-\ddot{\text{C}}\text{H}_2\text{CH}=\text{CHC}_2\text{H}_5$	O	H	CH ₃
	H	$-\ddot{\text{C}}-\text{C}_6\text{H}_5$	O	CH ₃	CH ₃
	H	$-\ddot{\text{C}}\text{H}_2-\text{C}_6\text{H}_5$	O	CH ₃	CH ₃
	H	$-\ddot{\text{C}}-\text{C}_6\text{H}_4-\text{Cl}$	O	CH ₃	CH ₃
	H	$-\ddot{\text{C}}-\text{C}_6\text{H}_4-\text{Cl}$	O	CH ₃	CH ₃
20	H	$-\ddot{\text{C}}-\text{C}_6\text{H}_4-\text{OCH}_3$	O	CH ₃	CH ₃
	H	$-\ddot{\text{C}}-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH ₃	CH ₃
	H	$-\ddot{\text{C}}-\text{CH}_2-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH ₃	CH ₃
25	H	$-\ddot{\text{C}}-\text{C}_6\text{H}_11$	O	CH ₃	CH ₃
	H	$-\ddot{\text{C}}-\text{CH}_2-\text{C}_6\text{H}_11$	O	CH ₃	CH ₃
	H	$-\ddot{\text{C}}-\text{CH}_2-\text{C}_6\text{H}_11$	O	H	CH ₃
30	H	$-\ddot{\text{C}}-\text{C}_6\text{H}_11$	O	CH ₃	CH ₃
	H	$-\ddot{\text{C}}-\text{CH}_2-\text{C}_6\text{H}_11$	O	H	CH ₃
	H	$-\ddot{\text{C}}-\text{CH}_2-\text{C}_6\text{H}_11$	O	H	CH ₃
35	H	$-\ddot{\text{C}}-\text{C}_6\text{H}_11$	O	H	CH ₃

TABLE XI-i

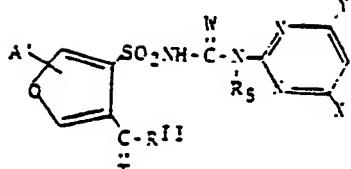
						
	A'	C-RII	R	R ₅	X	Y
5	H	-C(=O)-CH ₃	O	H	CH ₃	CH ₃
	H	-C(CH ₃) ₂	O	CH ₃	CH ₃	CH ₃
	H	-C(CH ₂)CH=CH ₂	O	H	CH ₃	CH ₃
10	H	-CCH ₂ CH=CHC ₂ H ₅	O	H	CH ₃	CH ₃
	H	-C-C(=O)-C ₆ H ₅	O	CH ₃	CH ₃	CH ₃
	H	-CCH ₂ -C(=O)-C ₆ H ₅	O	CH ₃	CH ₃	CH ₃
15	H	-C(=O)-C ₆ H ₅	O	CH ₃	CH ₃	CH ₃
	H	-CCH ₂ -C(=O)-C ₆ H ₅	O	CH ₃	CH ₃	CH ₃
	H	-C(=O)-C ₆ H ₄ Cl	O	CH ₃	CH ₃	CH ₃
20	H	-C(=O)-C ₆ H ₄ Cl	O	CH ₃	CH ₃	CH ₃
	H	-C(=O)-C ₆ H ₄ Cl	O	CH ₃	CH ₃	CH ₃
	H	-C(=O)-C ₆ H ₄ OC ₂ H ₅	O	CH ₃	CH ₃	CH ₃
25	H	-C(=O)-C ₆ H ₄ OC ₂ H ₅	O	CH ₃	CH ₃	CH ₃
	H	-C(=O)-CH ₂ -C ₆ H ₄ -CH ₃	O	CH ₃	CH ₃	CH ₃
	H	-C(=O)-CH ₂ -C ₆ H ₄ -CH ₃	O	CH ₃	CH ₃	CH ₃
30	H	-C(=O)-C ₆ H ₅	O	CH ₃	CH ₃	CH ₃
	H	-C(=O)-CH(C ₂ H ₅) ₂	O	H	CH ₃	CH ₃
	H	-C(=O)-CH ₂ -C ₆ H ₅	O	H	CH ₃	CH ₃
35	H	-C(=O)-CH ₃	O	H	CH ₃	OC ₂ H ₅

TABLE XI-i

5					
10	H	$\begin{array}{c} \text{C}-\text{R}^{\text{II}} \\ \\ \text{O} \end{array}$	W	R ₆	X
	H	$\begin{array}{c} \text{C}-\text{CH}_3 \\ \\ \text{O} \end{array}$	O	H	CH ₃
	H	$\begin{array}{c} \text{C}-\text{(CH}_2)_5\text{CH}_3 \\ \\ \text{O} \end{array}$	O	CH ₃	CH ₃
	H	$\begin{array}{c} \text{C}-\text{CH}(\text{CH}_3)_2 \\ \\ \text{O} \end{array}$	O	H	CH ₃
15	H	$\begin{array}{c} \text{C}-\text{CH}_2\text{CH}=\text{CH}_2 \\ \\ \text{O} \end{array}$	O	CH ₃	CH ₃
	H	$\begin{array}{c} \text{C}-\text{CH}_2\text{CH}=\text{CHC}_2\text{H}_5 \\ \\ \text{O} \end{array}$	O	H	CH ₃
	H	$\begin{array}{c} \text{C}-\text{C}_6\text{H}_5 \\ \\ \text{O} \end{array}$	O	CH ₃	CH ₃
20	H	$\begin{array}{c} \text{C}-\text{CH}_2-\text{C}_6\text{H}_5 \\ \\ \text{O} \end{array}$	O	CH ₃	CH ₃
	H	$\begin{array}{c} \text{C}-\text{C}_6\text{H}_4-\text{Cl} \\ \\ \text{O} \end{array}$	O	CH ₃	CH ₃
	H	$\begin{array}{c} \text{C}-\text{C}_6\text{H}_3-\text{Cl}_2 \\ \\ \text{O} \end{array}$	O	CH ₃	CH ₃
25	H	$\begin{array}{c} \text{C}-\text{C}_6\text{H}_3-\text{Cl} \\ \\ \text{OCH}_3 \end{array}$	O	CH ₃	CH ₃
	H	$\begin{array}{c} \text{C}-\text{C}_6\text{H}_3-\text{CH}_3 \\ \\ \text{O} \end{array}$	O	CH ₃	CH ₃
	H	$\begin{array}{c} \text{C}-\text{CH}_2-\text{C}_6\text{H}_3-\text{CH}_3 \\ \\ \text{O} \end{array}$	O	CH ₃	CH ₃
30	H	$\begin{array}{c} \text{C}-\text{C}_6\text{H}_5 \\ \\ \text{O} \end{array}$	O	CH ₃	CH ₃
	H	$\begin{array}{c} \text{C}-\text{CH}_2-\text{C}(=\text{O})_2\text{C}_2\text{H}_5 \\ \\ \text{O} \end{array}$	O	CH ₃	CH ₃
	H	$\begin{array}{c} \text{C}-\text{CH}_2-\text{C}_6\text{H}_5 \\ \\ \text{O} \end{array}$	O	H	CH ₃
35	H	$\begin{array}{c} \text{C}-\text{CH}_2-\text{C}_6\text{H}_5 \\ \\ \text{OC}_2\text{H}_5 \end{array}$	O	H	OC ₂ H ₅

TABLE XI-k

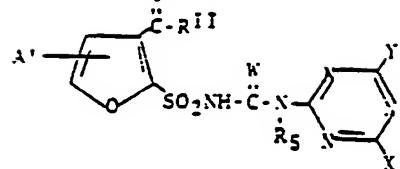
	A'	$\text{T}-\ddot{\text{C}}-\text{R}^{\text{II}}$	W	R_5	X	Y
5						
10	H	$\text{O}-\ddot{\text{C}}-\text{CH}_3$	O	H	CH_3	CH_3
	H	$\text{O}-\ddot{\text{C}}-(\text{CH}_2)_5\text{CH}_3$	O	CH_3	CH_3	CH_3
	H	$\text{O}-\ddot{\text{C}}\text{CH}(\text{CH}_3)_2$	O	H	CH_3	CH_3
15	H	$\text{O}-\ddot{\text{C}}\text{CH}_2\text{CH}=\text{CH}_2$	O	CH_3	CH_3	CH_3
	H	$\text{O}-\ddot{\text{C}}\text{CH}_2\text{CH}=\text{CHC}_2\text{H}_5$	O	H	CH_3	CH_3
	H	$\text{O}-\ddot{\text{C}}-\text{C}_6\text{H}_5$	O	CH_3	CH_3	CH_3
20	H	$\text{O}-\ddot{\text{C}}\text{CH}_2-\text{C}_6\text{H}_5$	O	CH_3	CH_3	CH_3
	H	$\text{O}-\ddot{\text{C}}-\text{C}_6\text{H}_4-\text{Cl}$	O	CH_3	CH_3	CH_3
	H	$\text{O}-\ddot{\text{C}}-\text{C}_6\text{H}_4-\text{Cl}$	O	CH_3	CH_3	CH_3
25	H	$\text{O}-\ddot{\text{C}}-\text{C}_6\text{H}_4-\text{OCH}_3$	O	CH_3	CH_3	CH_3
	H	$\text{O}-\ddot{\text{C}}-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH_3	CH_3	CH_3
	H	$\text{O}-\ddot{\text{C}}-\text{CH}_2-\text{C}_6\text{H}_4-\text{CH}_3$	O	CH_3	CH_3	CH_3
30	H	$\text{O}-\ddot{\text{C}}-\text{C}_6\text{H}_5$	O	CH_3	CH_3	CH_3
	H	$\text{O}-\ddot{\text{C}}-\text{CH}_2-\text{C}_6\text{H}_4-\text{CH}_3$	O	H	CH_3	CH_3
	H	$\text{O}-\ddot{\text{C}}-\text{CH}_2-\text{C}_6\text{H}_5$	O	H	CH_3	CH_3
35	S	$\text{O}-\ddot{\text{C}}-\text{CH}_2-\text{C}_6\text{H}_5$	O	H	CH_3	CCl_3

TABLE XI-1

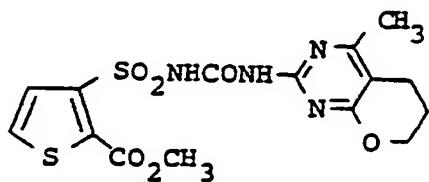
		A'	$\overset{\text{I}}{\underset{\text{O}}{\text{C}-\text{R}^{\text{II}}}}$	K	R_3	X	Σ
5							
10		H	$\overset{\text{I}}{\underset{\text{O}}{\text{C}-\text{CH}_3}}$	O	H	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{C}-\text{(CH}_2)_5\text{CH}_3}$	O	CH ₃	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{CCH(CH}_3)_2}$	O	H	CH ₃	CH ₃
15		H	$\overset{\text{O}}{\text{CCH}_2\text{CH=CH}_2}$	O	CH ₃	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{CCH}_2\text{CH=CHC}_2\text{H}_5}$	O	H	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{C-C}_6\text{H}_5}$	O	CH ₃	CH ₃	CH ₃
20		H	$\overset{\text{O}}{\text{CCH}_2-\text{C}_6\text{H}_5}$	O	CH ₃	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{C-C}_6\text{H}_4\text{-Cl}}$	O	CH ₃	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{C-C}_6\text{H}_3\text{Cl}_2}$	O	CH ₃	CH ₃	CH ₃
25		H	$\overset{\text{O}}{\text{C-C}_6\text{H}_3\text{Cl}_2}$	O	CH ₃	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{C-C}_6\text{H}_3(\text{OCH}_3)_2}$	O	CH ₃	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{C-C}_6\text{H}_5}$	O	CH ₃	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{C-CH}_2-\text{C}_6\text{H}_4-\text{CH}_3}$	O	CH ₃	CH ₃	CH ₃
30		H	$\overset{\text{O}}{\text{C-C}_6\text{H}_5}$	O	CH ₃	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{C-CH}_2-\text{C}_6\text{H}_11}$	O	H	CH ₃	CH ₃
		H	$\overset{\text{O}}{\text{C-CH}_2-\text{C}_6\text{H}_5}$	O	H	CH ₃	CH ₃
35		H	$\overset{\text{O}}{\text{C-C}_6\text{H}_5}$	O	H	CH ₃	CH ₃

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Table XII

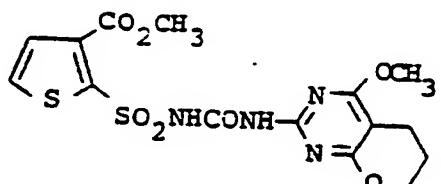
Other compounds within the scope of this
invention include:

5

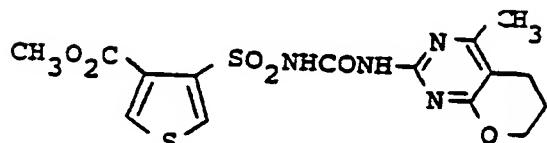


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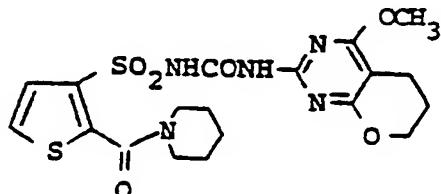
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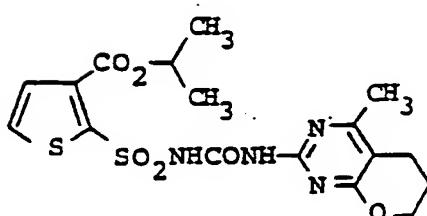


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30

35

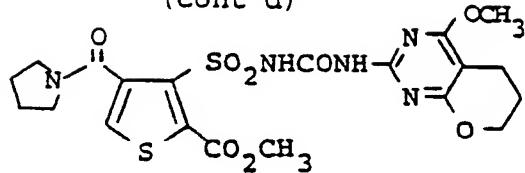


159

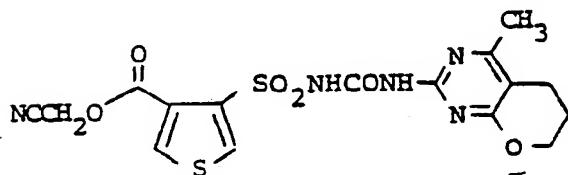
x

Table XII
(cont'd)

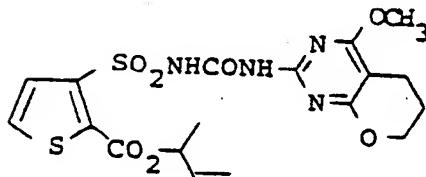
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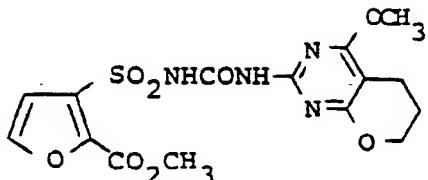
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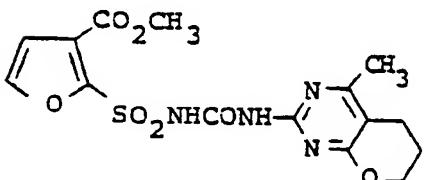
15



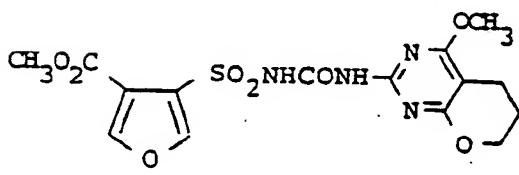
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25



30

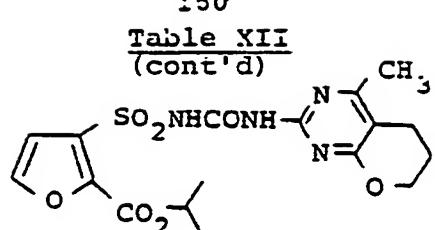


35

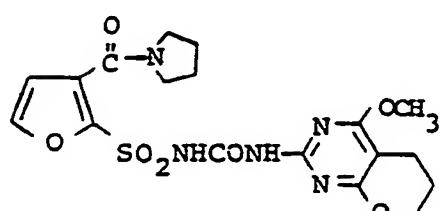
x

150
Table XII
(cont'd)

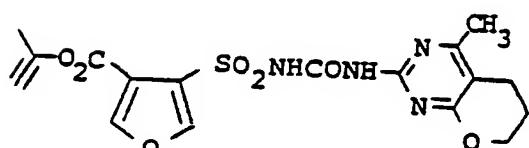
5



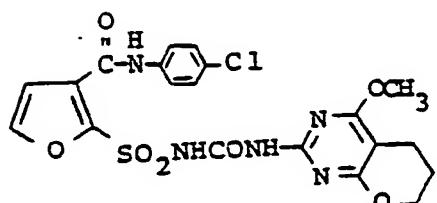
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15

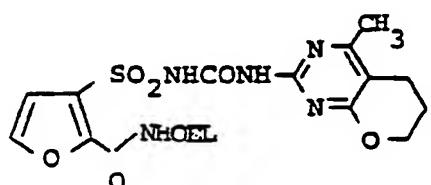


20

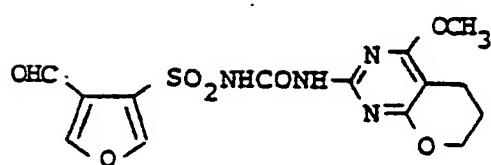


25

30



35

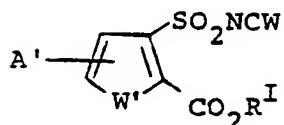


x

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Table XII-a

5

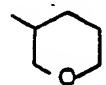
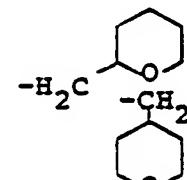
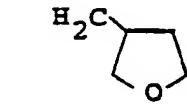
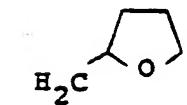
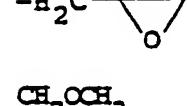
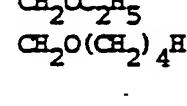


	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R^I</u>
10	H	S	O	C ₂ H ₅
	H	S	O	CH(CH ₃) ₂
	H	S	O	CH ₂ CH ₂ Cl
	H	S	O	(CH ₂) ₆ H
	H	S	O	CH-CH ₂ CH ₃
15				CH ₃
	H	S	O	CH ₂ -CH=CH ₂
	H	S	O	CH ₂ -CH=CH-CH ₃
	H	S	O	CH ₂ CH=CH-CH ₂ CH ₃
				CH ₂
20	H	S	O	CH ₂ -C-CH ₃
	H	S	O	CH ₂ CH(CH ₃) ₂
	H	S	O	CH ₂ CH(CH ₂ CH ₃) ₂
	H	S	O	CH ₂ CH ₂ Cl
	H	S	O	CH ₂ CH(CH ₂ Cl) ₂
25	H	S	O	CH ₂ OCl ₃
	H	S	O	(CH ₂) ₆ Cl
	H	S	O	(CH ₂) ₆ Br
	H	S	O	CH ₂ CH ₂ Br
	H	S	O	(CH ₂) ₆ F
30	H	S	O	(CH ₂) ₄ F
	H	S	O	CH ₂ CN
	H	S	O	CH ₂ CH ₂ CN
	H	S	O	CH ₂ CH ₂ OCH ₃
	H	S	O	(CH ₂) ₆ OCH ₃
35	H	S	O	(CH ₂) ₃ OCH ₃
				CH-CH ₂ OCH ₃
				CH ₃

x

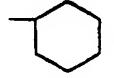
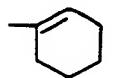
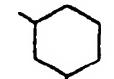
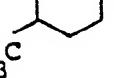
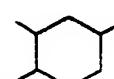
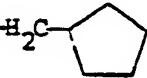
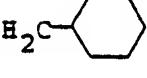
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Table XII-a
(cont'd)

	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R^I</u>
5	H	S	O	<chem>CHCH2OCH3</chem>
				<chem>CH3</chem>
				<chem>CH-CH2OCH(CH3)2</chem>
10	H	S	O	<chem>CH3</chem>
				<chem>CH-CH2OCH2CCl3</chem>
15	H	S	O	<chem>CH3</chem>
				<chem>CH2CN</chem>
				
20	H	S	O	
				
25	H	S	O	
				
				
30	H	S	O	
				
35	H	S	O	<chem>CH2OCH3</chem>
				<chem>CH2OC(=O)C2H5</chem>
				<chem>CH2O(CH2)4H</chem>

x

163
Table XII-a
(cont'd)

	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R^I</u>
5	H	S	O	$\text{CH}_2\text{CH}=\text{CHCl}$
	H	S	O	$\text{CH}_2\text{CH}=\text{CH}-\text{CH}_2\text{Cl}$
	H	S	O	$\text{CH}_2\text{CH}=\text{CH}(\text{CH}_2)_3\text{Cl}$
	H	S	O	$\text{CH}_2\text{C}\equiv\text{C}-\text{CH}_3$
	H	S	O	$\text{CH}_2\text{C}\equiv\text{C}-\text{CH}_2\text{Cl}$
	H	S	O	$\text{CH}_2\text{C}\equiv\text{C}-\text{CH}_2\text{CH}_2-\text{Cl}$
10	H	S	O	
	H	S	O	
15	H	S	O	
	H	S	O	
20	H	S	O	
	H	S	O	
25	H	S	O	
	H	S	O	
30	H	S	O	
	H	S	O	
35	H	S	O	

x

164

Table XIII-a
(cont'd)

	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R</u> I
5	H	S	O	<chem>CH2-c1ccccc1</chem>
	H	S	O	<chem>CH2-CH2-c1ccccc1</chem>
10	H	S	O	<chem>CH(CH3)-c1ccccc1</chem>
	H	S	O	<chem>H2C-c1ccccc1Cl</chem>
15	H	S	O	<chem>H2C-c1ccccc1-CH3</chem>
	H	S	O	<chem>H2C-c1ccccc1-OCH3</chem>
20	H	S	O	<chem>CH2CH2OCH2CH3</chem> <chem>CH2CH2OCH(CH3)2</chem>
	H	S	O	<chem>CH2CH2O</chem>
25	H	S	O	<chem>CH2CH2OCH2CH2Cl</chem> <chem>CH2CH2OCH2OC13</chem> <chem>CH2CH2OC2H5</chem> <chem>CH3</chem>
	H	S	O	<chem>CH2CH2OCH(CH3)2</chem> <chem>CH3</chem>
30	H	S	O	<chem>(CH2)3OCH(CH3)2</chem> <chem>(CH2)3OCH2CH3</chem> <chem>CH2CH2OCH2CH2OCH3</chem>
	H	S	O	<chem>CH2CH2(OCH2CH2)2OC2H5</chem>
35				

x

165
Table XII-a
(cont'd)

	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R^I</u>
5	5-CH ₃	S	O	CH ₃
	H	O	O	CH ₃
	H	S	S	CH ₃
	4-CH ₃	S	O	CH ₃
10	4-Cl	S	O	CH ₃
	4-Br	S	O	CH ₃
	5-Br	S	O	CH ₃
	4-NO ₂	S	O	CH ₃
15	4-C ₂ H ₅	S	O	CH ₃
	5-C ₂ H ₅	S	O	CH ₃
	5-n-C ₇ H ₁₆	S	O	CH ₃
	5-CH(CH ₃) ₂	S	O	CH ₃
20	4-CF ₃	S	O	CH ₃
	5-OCH ₃	S	O	CH ₃

20

25

30

35

Table XII-b

5				
	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R^I</u>
10	H	S	O	C ₂ H ₅
	H	S	O	CH(CH ₃) ₂
	H	S	O	CH ₂ CH ₂ Cl
	H	S	O	(CH ₂) ₆ H
	H	S	O	CH-CH ₂ CH ₃ CH ₃
15	H	S	O	CH ₂ -CH=CH ₂
	H	S	O	CH ₂ -CH=CH-CH ₃
	H	S	O	CH ₂ CH=CH-CH ₂ CH ₃
20	H	S	O	CH ₂ CH ₂ -C-CH ₃
	H	S	O	CH ₂ CH(CH ₃) ₂
	H	S	O	CH ₂ CH(CH ₂ CH ₃) ₂
	H	S	O	CH ₂ CH ₂ Cl
	H	S	O	CH ₂ CH(CH ₂ Cl) ₂
25	H	S	O	CH ₂ OCl ₃
	H	S	O	(CH ₂) ₆ Cl
	H	S	O	(CH ₂) ₆ Br
	H	S	O	CH ₂ CH ₂ Br
	H	S	O	(CH ₂) ₆ F
30	H	S	O	(CH ₂) ₄ F
	H	S	O	CH ₂ CN
	H	S	O	CH ₂ CH ₂ CN
	H	S	O	CH ₂ CH ₂ OCH ₃
	H	S	O	(CH ₂) ₆ OCH ₃
35	H	S	O	(CH ₂) ₃ OCH ₃
	H	S	O	CH-CH ₂ OCH ₃ CH ₃

x

167

Table XII-b
(cont'd)

	<u>A'</u>	<u>w'</u>	<u>w</u>	<u>R</u> ^I
5	H	S	O	$\text{CHCH}_2\text{OCH}_3$
	H	S	O	CH_3
	H	S	O	$\text{CH}-\text{CH}_2\text{OCH}(\text{CH}_3)_2$
10	H	S	O	CH_3
	H	S	O	$\text{CH}-\text{CH}_2\text{OCH}_2\text{OCH}_3$
15	H	S	O	CH_3
	H	S	O	CH_2CN
	H	S	O	
20	H	S	O	
	H	S	O	
25	H	S	O	
	H	S	O	
30	H	S	O	
	H	S	O	
35	H	S	O	CH_2OCH_3
	H	S	O	$\text{CH}_2\text{OC}_2\text{H}_5$
	H	S	O	$\text{CH}_2\text{O}(\text{CH}_2)_4\text{H}$

x

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Table XII-b
(cont'd)

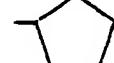
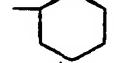
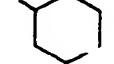
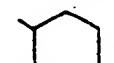
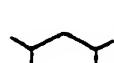
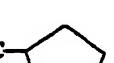
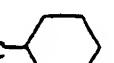
	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R</u> ^I
5	H	S	O	$\text{CH}_2\text{CH}=\text{CHCl}$
	H	S	O	$\text{CH}_2\text{CH}=\text{CH}-\text{CH}_2\text{Cl}$
	H	S	O	$\text{CH}_2\text{CH}=\text{CH}(\text{CH}_2)_3\text{Cl}$
	H	S	O	$\text{CH}_2\text{C}\equiv\text{C}-\text{CH}_3$
	H	S	O	$\text{CH}_2\text{C}\equiv\text{C}-\text{CH}_2\text{Cl}$
	H	S	O	$\text{CH}_2\text{C}\equiv\text{C}-\text{CH}_2\text{CH}_2-\text{Cl}$
10	H	S	O	
	H	S	O	
15	H	S	O	
	H	S	O	
20	H	S	O	
	H	S	O	
25	H	S	O	
	H	S	O	
30	H	S	O	
	H	S	O	
35	H	S	O	

Table XIII-b
(cont'd)

	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R^I</u>
5	H	S	O	<chem>CH2-c1ccccc1</chem>
	H	S	O	<chem>CH2CH2-c1ccccc1</chem>
10	H	S	O	<chem>CH(c1ccccc1)CH3</chem>
	H	S	O	<chem>H2C-c1ccccc1Cl</chem>
15	H	S	O	<chem>H2C-c1ccccc1CH3</chem>
	H	S	O	<chem>H2C-c1ccccc1OCH3</chem>
20	H	S	O	<chem>CH2CH2OCH2CH3</chem>
	H	S	O	<chem>CH2CH2OCH(CH3)2</chem>
25	H	S	O	<chem>CH2CH2Oc1ccccc1</chem>
	H	S	O	<chem>CH2CH2OCH2CH2Cl</chem>
	H	S	O	<chem>CH2CH2OCH2CCl3</chem>
	H	S	O	<chem>CH2CH2OC(=O)c2ccccc2</chem>
30	H	S	O	<chem>CH2CH2OCH(CH3)2</chem>
	H	S	O	<chem>(CH2)3OCH(CH3)2</chem>
	H	S	O	<chem>(CH2)3OCH2CH3</chem>
	H	S	O	<chem>CH2CH2OCH2CH2OCH3</chem>
35	H	S	O	<chem>CH2CH2(OCH2CH2)2OC2H5</chem>

x

170

Table XII-b
(cont'd)

	<u>A'</u>	<u>w'</u>	<u>w</u>	<u>R^I</u>
5	5-CH ₃	s	o	CH ₃
	H	o	o	CH ₃
	H	s	s	CH ₃
10	4-CH ₃	s	o	CH ₃
	4-Cl	s	o	CH ₃
	4-Br	s	o	CH ₃
15	5-Br	s	o	CH ₃
	4-NO ₂	s	o	CH ₃
	4-C ₂ H ₅	s	o	CH ₃
20	5-C ₂ H ₅	s	o	CH ₃
	5-n-C ₇ H ₉	s	o	CH ₃
	5-CH(CH ₃) ₂	s	o	CH ₃
25	4-CF ₃	s	o	CH ₃
	5-OCH ₃	s	o	CH ₃

20

25

30

35

x

Table XII-C

	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R^I</u>
10	H	S	O	C ₂ H ₅
	H	S	O	CH(CH ₃) ₂
	H	S	O	CH ₂ CH ₂ Cl
	H	S	O	(CH ₂) ₆ H
	H	S	O	CH-CH ₂ CH ₃
15				CH ₃
	H	S	O	CH ₂ -CH=CH ₂
	H	S	O	CH ₂ -CH=CH-CH ₃
	H	S	O	CH ₂ CH=CH-CH ₂ CH ₃
20	H	S	O	CH ₂ "
	H	S	O	CH ₂ -C-CH ₃
	H	S	O	CH ₂ CH(CH ₃) ₂
	H	S	O	CH ₂ CH(CH ₂ CH ₃) ₂
	H	S	O	CH ₂ CH ₂ Cl
25	H	S	O	CH ₂ CH(CH ₂ Cl) ₂
	H	S	O	CH ₂ CCl ₃
	H	S	O	(CH ₂) ₆ Cl
	H	S	O	(CH ₂) ₆ Br
	H	S	O	CH ₂ CH ₂ Br
30	H	S	O	(CH ₂) ₆ F
	H	S	O	(CH ₂) ₄ F
	H	S	O	CH ₂ CN
	H	S	O	CH ₂ CH ₂ CN
	H	S	O	CH ₂ CH ₂ OCH ₃
35	H	S	O	(CH ₂) ₆ OCH ₃
	H	S	O	(CH ₂) ₃ OCH ₃
	H	S	O	CH-CH ₂ OCH ₃
				CH ₃

x

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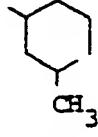
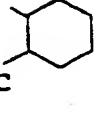
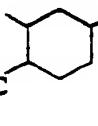
Table XII-C
(cont'd)

	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R</u> ^I
	H	S	O	<chem>CC(O)C(C)C</chem>
5	H	S	O	<chem>CH3</chem>
	H	S	O	<chem>CH2OC(CH3)2</chem>
	H	S	O	<chem>CH2OC(=O)C(CH3)3</chem>
10	H	S	O	<chem>CH2CN</chem>
	H	S	O	
15	H	S	O	
	H	S	O	
	H	S	O	
20	H	S	O	
	H	S	O	
	H	S	O	
25	H	S	O	
	H	S	O	
30	H	S	O	
	H	S	O	
35	H	S	O	<chem>CH2OC(C)C</chem>
	H	S	O	<chem>CH2OC(C)C(C)C</chem>
	H	S	O	<chem>CH2O(CH2)4H</chem>

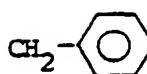
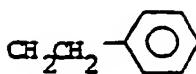
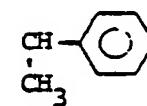
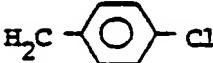
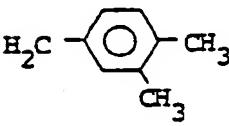
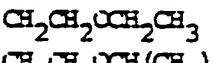
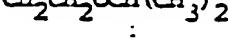
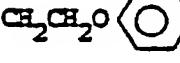
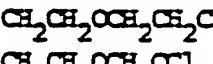
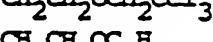
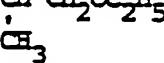
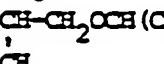
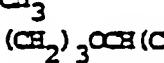
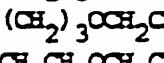
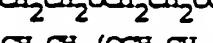
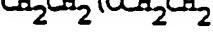
x

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Table XII-e
(cont'd)

	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R</u> ^I
5	H	S	O	CH ₂ CH=CHCl
	H	S	O	CH ₂ CH=CH-CH ₂ Cl
	H	S	O	CH ₂ CH=CH(CH ₂) ₃ Cl
	H	S	O	CH ₂ C≡C-CH ₃
	H	S	O	CH ₂ C≡C-CH ₂ Cl
	H	S	O	CH ₂ C≡C-CH ₂ CH ₂ -Cl
10	H	S	O	
	H	S	O	
15	H	S	O	
	H	S	O	
20	H	S	O	
	H	S	O	
25	H	S	O	
	H	S	O	
30	H	S	O	
	H	S	O	
35	H	S	O	

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Table XII-c
(cont'd)

x	<u>A'</u>	<u>w'</u>	<u>w</u>	<u>R^I</u>
5	H	S	O	
	H	S	O	
10	H	S	O	
	H	S	O	
15	H	S	O	
	H	S	O	
20	H	S	O	 
	H	S	O	
25	H	S	O	   
	H	S	O	
30	H	S	O	   
	H	S	O	
35	H	S	O	

x

175

Table XII-c
(cont'd)

	<u>A'</u>	<u>W'</u>	<u>W</u>	<u>R^I</u>
5	5-CH ₃	S	O	CH ₃
	H	O	O	CH ₃
	H	S	S	CH ₃
	4-CH ₃	S	O	CH ₃
10	4-Cl	S	O	CH ₃
	4-Bz	S	O	CH ₃
	5-Br	S	O	CH ₃
	4-NO ₂	S	O	CH ₃
15	4-C ₂ H ₅	S	O	CH ₃
	5-C ₂ H ₅	S	O	CH ₃
	5-n-C ₇ H ₁₅	S	O	CH ₃
	5-OH(CH ₃) ₂	S	O	CH ₃
20	4-CF ₃	S	O	CH ₃
	5-OCH ₃	S	O	CH ₃

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Formulations

Useful formulations of the compounds of Formulas I, II or III can be prepared in conventional ways. They include dusts, granules, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates and the like. Many of these may be applied directly. Sprayable formulations can be extended in suitable media and used at spray volumes of from a few liters to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations, broadly, contain about 0.1% to 99% by weight of active ingredient(s) and at least one of (a) about 0.1% to 20% surfactant(s) and (b) about 1% to 99.9% solid or liquid diluent(s). More specifically, they will contain these ingredients in the following approximate proportions set forth in Table XVIII.

20

TABLE XVIII

Weight Percent*

	<u>Active Ingre- dient</u>	<u>Diluent(s)</u>	<u>Surfac- tant(s)</u>
	Wettable Powders	20-90	0-74
25	Oil Suspensions, Emulsions, Solu- tions (including Emulsifiable Concentrates)	3-50	40-95
	Aqueous Suspension	10-50	40-84
	Dusts	1-25	70-99
30	Granules and Pellets	0.1-95	5-99.9
	High Strength Compositions	90-99	0-10

*Active ingredient plus at least one of a Surfactant or a Diluent equals 100 weight percent.

Lower or higher levels of active ingredient can, of course, be present depending on the intended use and the physical properties of the compound. Higher ratios of surfactant to active ingredient are sometimes desirable, 5 and are achieved by incorporation into the formulation or by tank mixing.

Typical solid diluents are described in Watkins, et al., "Handbook of Insecticide Dust Diluents and Carriers," 2nd Ed., Dorland Books, Caldwell, New Jersey, 10 but other solids, either mined or manufactured, may be used. The more absorptive diluents are preferred for wettable powders and the denser ones for dusts. Typical liquid diluents and solvents are described in Marsden, "Solvents Guide," 2nd Ed., Interscience, New York, 1950. 15 Solubility under 0.1% is preferred for suspension concentrates; solution concentrates are preferably stable against phase separation at 0°C. "McCutcheon's Detergents and Emulsifiers Annual," MC Publishing Corp., Ridgewood, New Jersey, as well as Sisely and Wood, "Encyclopedia 20 of Surface Active Agents," Chemical Publishing Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foaming, caking, corrosion, microbiological growth, etc.

25 The methods of making such compositions are well known. Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer or fluid energy mill. Suspensions are prepared by wet milling (see, for example, 30 Littler, U.S. Patent 3,060,084). Granules and pellets may be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See J. E. Browning, "Agglomeration," Chemical Engineering, December 4, 1967, pp. 147ff. and "Perry's Chemical 35 Engineer's Handbook," 4th Ed., McGraw-Hill,

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New York, 1963, pp. 8-59ff.

For further information regarding the art of formulation, see for example:

5 H. M. Loux, U.S. Patent 3,235,361, February 15, 1966, Col. 6, line 16 through Col. 7, line 19 and Examples 10 through 41.

10 R. W. Luckenbaugh, U.S. Patent 3,309,192, March 14, 1967, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167, 169-182.

H. Gysin and E. Knusli, U.S. Patent 2,891,855, June 23, 1959, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4.

15 G. C. Klingman, "Weed Control as a Science", John Wiley & Sons, Inc., New York, 1961, pp. 81-96.

J. D. Fryer and S. A. Evans, "Weed Control Handbook", 5th Ed., Blackwell Scientific Publications, Oxford, 1968, pp. 101-103.

20 In the following examples, all parts are by weight unless otherwise indicated.

Example 9

Wettable Powder

25	methyl 3 - [{(4,6-dimethylpyrimidin-2-yl)aminocarbonyl}- 80%	
	aminosulfonyl]-2-thiophencarboxylate	
	sodium alkynaphthalenesulfonate	2%
	sodium ligninsulfonate	2%
	synthetic amorphous silica	3%
30	kaolinite	13%

The ingredients are blended, hammer-milled until all the solids are essentially under 50 microns, reblended, and packaged.

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Example 10Wettable Powder

methyl 3-[[(4,6-dimethoxypyrimidin-2-yl)-aminocarbonyl]aminosulfonyl]-2-thiophene-	50%
5 carboxylate	
sodium alkynaphthalenesulfonate	2%
low viscosity methyl cellulose	2%
diatomaceous earth	46%

The ingredients are blended, coarsely hammer-milled and then air-milled to produce particles essentially all below 10 microns in diameter. The product is reblended before packaging.

Example 11Granule

15 wettable powder of Example 10	5%
attapulgite granules	95%

(U.S.S. 20-40 mesh; 0.84-0.42 mm)

A slurry of wettable powder containing ~25% solids is sprayed on the surface of attapulgite granules in a double-cone blender. The granules are dried and packaged.

Example 12Extruded Pellet

25 methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)-aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate	25%
anhydrous sodium sulfate	10%
crude calcium ligninsulfonate	5%
sodium alkynaphthalenesulfonate	1%
calcium/magnesium bentonite	59%

30 The ingredients are blended, hammer-milled and then moistened with about 12% water. The mixture is extruded as cylinders about 3 mm diameter which are cut to produce pellets about 3 mm long. These may be used directly after drying, or the dried pellets 35 may be crushed to pass a U.S.S. No. 20 sieve (0.84 mm

x

180

openings). The granules held on a U.S.S. No. 40 sieve (0.42 mm openings) may be packaged for use and the fines recycled.

Example 13

5 Oil Suspension

methyl 3-[[(4,6-dimethylpyrimidin-2-yl)amino-	.25%
carbonyl]aminosulfonyl]-2-thiophenecarboxylate	
polyoxyethylene sorbitol hexaoleate	5%
highly aliphatic hydrocarbon oil	70%

10 The ingredients are ground together in a sand mill until the solid particles have been reduced to under about 5 microns. The resulting suspension may be applied directly, but preferably after being extended with oils or emulsified in water.

15

Example 14

Wettable Powder

methyl 3-[[(4,6-dimethoxypyrimidin-2-yl)amino-	20%
carbonyl]aminosulfonyl]-2-thiophenecarboxylate	
sodium alkynaphthalenesulfonate	4%
20 sodium ligninsulfonate	4%
low viscosity methyl cellulose	3%
attapulgite	69%

25 The ingredients are thoroughly blended. After grinding in a hammer mill to produce particles essentially all below 100 microns, the material is reblended and sifted through a U.S.S. No. 50 sieve (0.3 mm opening) and packaged.

Example 15

Oil Suspension

methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)-	35%
aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate	
blend of polyalcohol carboxylic	6%
esters and oil soluble petroleum	
sulfonates	
35 xylene	59%

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x The ingredients are combined and ground together in a sand mill to produce particles essentially all below 3 microns. The product can be used directly, extended with oils, or emulsified in water.

5 Example 16High Strength Concentrate

methy1 3-[[(4,6-dimethylpyrimidin-2-yl)amino-	99%
carbonyl]aminosulfonyl]-2-thiophenecarboxylate	
silica aerogel	0.5%
10 synthetic amorphous silica	0.5%

The ingredients are blended and ground in a hammer mill to produce a material essentially all passing a U.S.S. No. 50 screen (0.3 mm opening). The concentrate may be formulated further if necessary.

15 Example 17Low Strength Granule

methyl 3-[[(4,6-dimethoxyxypyrimidin-2-yl)amino-	1%
carbonyl]aminosulfonyl]-2-thiophenecarboxylate	
N,N-dimethylformamide	9%
20 attapulgite granules	90%

(U.S.S. 20-40 mesh).

The active ingredient is dissolved in the solvent and the solution is sprayed upon dedusted granules in a rotating blender. After spraying of the solution has 25 been completed, the blender is allowed to run for a short period and then the granules are packaged.

Example 18Aqueous Suspension

methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)-	40%
30 aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate	
polyacrylic acid thickener	0.3%
dodecylophenol polyethylene glycol ether	0.5%
disodium phosphate	1%
monosodium phosphate	0.5%
35 polyvinyl alcohol	1.0%
water	56.7%

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x

The ingredients are blended and ground together in a sand mill to produce particles essentially all under 5 microns in size.

Example 19

5 Solution

methyl 3-[[(4,6-dimethylpyrimidin-2-yl)amino-	5%
carbonyl]aminosulfonyl]-2-thiophenecarboxylate	
water	95%

10 The salt is added directly to the water with stirring to produce the solution, which may then be packaged for use.

Example 20

Granule

methyl 3-[[(4,6-dimethoxy)pyrimidin-2-yl)amino-	80%
15 carbonyl]aminosulfonyl]-2-thiophenecarboxylate	
wetting agent	1%
crude ligninsulfonate salt (containing 5-20% of the natural sugars)	10%
attapulgite clay	9%

20 The ingredients are blended and milled to pass through a 100 mesh screen. This material is then added to a fluid bed granulator, the air flow is adjusted to gently fluidize the material, and a fine spray of water is sprayed onto the fluidized material. The fluidization 25 and spraying are continued until granules of the desired size range are made. The spraying is stopped, but fluidization is continued, optionally with heat, until the water content is reduced to the desired level, generally less than 1%. The material is then discharged, 30 screened to the desired size range, generally 14-100 mesh (1410-149 microns), and packaged for use.

x

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Example 21Low Strength Granule

methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)- 0.1%
aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate

5 attapulgite granules 99.9%

(U.S.S. 20-40 mesh)

The active ingredient is dissolved in a solvent
and the solution is sprayed upon dedusted granules in a
double cone blender. After spraying of the solution
10 has been completed, the material is warmed to evaporate
the solvent. The material is allowed to cool and then
packaged.

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UTILITY

The compounds of the present invention are powerful herbicides. They have utility for broad-spectrum pre- and/or post-emergence weed control in areas where complete control of all vegetation is desired, such as around fuel storage tanks, ammunition depots, industrial storage areas, parking lots, drive-in theaters, around billboards, highway and railroad structures. Alternatively, the subject compounds are useful for the selective pre- or post-emergence weed control in crops, such as wheat and soybeans.

The rates of application for the compounds of the invention are determined by a number of factors, including their use as selective or general herbicides, the crop species involved, the types of weeds to be controlled, weather and climate, formulations selected, mode of application, amount of foliage present, etc. In general terms, the subject compounds should be applied at levels of around 0.06 to 10 kg/ha, the lower rates being suggested for use on lighter soils and/or those having a low organic matter content, for selective weed control or for situations where only short-term persistence is required.

The compounds of the invention may be used in combination with any other commercial herbicide examples of which are those of the triazine, triazole, uracil, urea, amide, diphenylether, carbamate and bipyridylium types.

For use as a plant growth regulator, the compound will be used in such manner and amount as to be effective but substantially non-phytotoxic to the desirable plant species whose growth is to be regulated.

The herbicidal properties of the subject compounds were discovered in a number of greenhouse tests. The test procedures and results follow.

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TEST A

Seeds of crabgrass (Digitaria spp.), barn-yardgrass (Echinochloa crusgalli), wild oats (Avena fatua), Cassia tora, morningglory (Ipomoea spp.), 5 cocklebur (Xanthium spp.), sorghum, corn, soybean, rice, wheat as well as nutsedge tubers were planted in a growth medium and treated preemergence with the chemicals dissolved in a non-phytotoxic solvent. At the same time, cotton having five leaves (including 10 cotyledonary ones), bush beans with the third trifoliate leaf expanding, crabgrass, barnyardgrass and wild oats with two leaves, cassia with three leaves (including cotyledonary ones), morningglory and cocklebur with four leaves (including the cotyledonary ones), sorghum 15 and corn with four leaves, soybean with two cotyledonary leaves, rice with three leaves, wheat with one leaf, and nutsedge with three-five leaves were sprayed. Treated plants and controls were maintained in a greenhouse for sixteen days, whereupon all species 20 were compared to controls and visually rated for response to treatment. The ratings are based on a numerical scale extending from 0 = no injury, to 10 = complete kill. The accompanying descriptive symbols have the following meanings: B = burn; G = growth 25 retardation; C = chlorosis/necrosis; D = defoliation; 6Y=abscised buds or flowers; 6F=delayed flowering; U=unusual pigmentation; S=albinism; E=emergence inhibition; and H= formative effects. The ratings for the compounds tested by this procedure are presented in Table A. 30 It will be seen that certain of the compounds tested have utility for selective pre- and post-emergence weed control in soybeans and wheat.

Table A

5

	<chem>CC(=O)N(c1ccsc1)c2cc(C(=O)N(c3ccsc3)C(=O)OC)nc2</chem>	<chem>CC(=O)N(c1ccsc1)c2cc(C(=O)N(c3ccsc3)C(=O)OC)nc2</chem>	<chem>CC(=O)N(c1ccsc1)c2cc(C(=O)N(c3ccsc3)C(=O)OC)nc2</chem>
10			
kg/ha	0.1	0.1	0.1
15			
POST-EMERGENCE			
BUSHBEAN	6C, 9G, 6Y	5C, 8G, 6Y	3D, 9G, 6Y
COTTON	3U, 9G	7C, 9G	7C, 9G
MORNINGGLORY	9C	10C	10C
COCKLEBUR	5C, 9G	9C	3C, 9G
CASSIA	0	2C	1C, 3G
NUTSEDGE	5C, 9G	1C, 9G	4C, 9G
CRABGRASS	5C, 9G	3C, 8G	6C, 9G
BARNYARDGRASS	6C, 9G	9C	9C
WILD OATS	2G	1C, 7G	2C, 8G
WHEAT	1C, 9G	2C, 8G	3C, 7G
CORN	1C, 9H	2U, 9G	1C, 9G
SOYBEAN	3G	5C, 9G	2C, 8G
RICE	2C, 9G	5C, 9G	3C, 9G
SORGHUM	1C, 9G	5U, 9G	3C, 9G
20			
PRE-EMERGENCE			
MORNINGGLORY	9G	9G	9G
COCKLEBUR	9G	9H	9H
CASSIA	6G	8G	8G
NUTSEDGE	10E	10E	10E
CRABGRASS	1C, 7G	1C, 7G	1C, 8G
BARNYARDGRASS	1C, 9H	1C, 9H	1C, 9H
WILD OATS	1C, 6G	1C, 8G	1C, 8G
WHEAT	1C, 9G	1C, 9G	1C, 9H
CORN	1C, 9H	9G	9G
SOYBEAN	0	6H	6H
RICE	10E	10E	10E
SORGHUM	10H	10E	1C, 9H
25			
30			
35			

Table A
(cont'd)

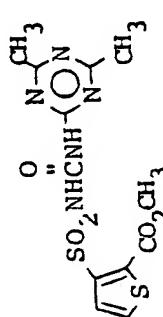
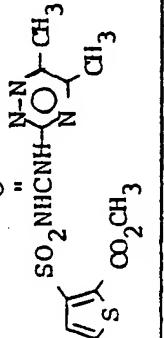
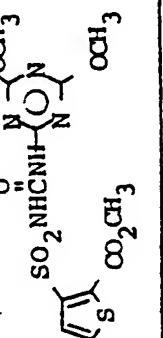
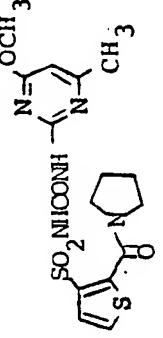
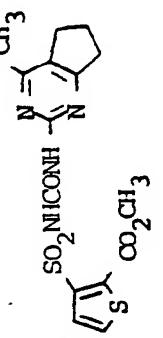
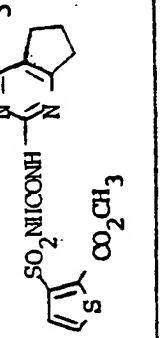
			
kg/ha	0.1	0.4	0.1
POST-EMERGENCE			
BUSHBEAN	9C	6C, 9G	2C, 8G, 6Y
COTTON	3C, 7G	3C, 3H	9C
SORGHUM	1C, 9G	2C, 9H	2C, 7H
CORN	2C, 8H	2C, 7H	9H
SOYBEAN	1C, 2H	2C, 3G	5C, 9G
WHEAT	0	0	1H
WILD OATS	0	0	1H
RICE	-	1C, 5G	2C, 8G
BARNYARDGRASS	2C, 8H	2C, 5H	2C, 9H
CRABGRASS	1C, 5G	4G	1C
MORNINGGLORY	5C, 8G	1C, 3H	10C
COCKLEBUR	10C	1C, 5G	10C
CASSIA	1C	2C, 2H	2C, 7H
NUTSEDGE	0	1C	1C
PRE-EMERGENCE			
SORGHUM	1C, 9H	3C, 9H	1C, 7H
CORN	1C, 4G	1C, 5H	5G
SOYBEAN	0	1C, 1H	2C, 5H
WHEAT	0	0	0
WILD OATS	0	0	0
RICE	10E	10E	10E
BARNYARDGRASS	1C, 5G	3C	2C, 7G
CRABGRASS	0	3C	5G
MORNINGGLORY	9G	5G	9H
COCKLEBUR	8H	9G	9H
CASSIA	2C	2G	6G
NUTSEDGE	0	10E	7G

Table A
(cont'd)

		<chem>O=C1C=CC=C1N(C)C(=O)S(=O)(=O)C2=CSC=C2</chem>	<chem>CN1C=CC=C1N(C)C(=O)S(=O)(=O)C2=CSC=C2</chem>	<chem>CN1C=CC=C1N(C)C(=O)S(=O)(=O)C2=CSC=C2</chem>
5				
10				
15	kg/ha	0.1	0.4	0.05
15	POST-EMERGENCE			
	BUSHBEAN	9C	3C, 4G, 6F	3C
	COTTON	9C	1C, 7G	4C, 8G
	SORGHUM	9G	3C, 4G	1C, 5H
	CORN	7C	3U, 5G	1C, 2G
	SOYBEAN	2C	4G	1H
	WHEAT	0	3C, 5G	2C
20	WILD OATS	0	2C, 3G	1C
	RICE	5C	3C, 4G	1C, 2G
	BARNYARDGRASS	10C	10C	1C
	CRABGRASS	3C	10C	0
	MORNINGGLORY	10C	10C	4C, 9H
	COCKLEBUR	6C	10C	2C, 9G
	CASSIA	1C	0	2C
25	NUTSEDGE	1C	5C, 5G	0
25	PRE-EMERGENCE			
	SORGHUM	1C, 9H	7C, 8G	0
	CORN	1C, 8G		0
	SOYBEAN	2C, 4G	1C, 3G	0
	WHEAT	3G	10E	0
	WILD OATS	0	3C, 4G	0
30	RICE	9H	10E	0
	BARNYARDGRASS	2C, 8G	5C, 8G	0
	CRABGRASS	2C	4C, 7G	0
	MORNINGGLORY	9G	5G, 3C	0
	COCKLEBUR	8H	6C, 5G	0
	CASSIA	8G	4G	0
	NUTSEDGE	3G	10E	0

Table A
(cont'd)

				
5	kg/ha	0.05	0.05	0.05
10	POST-EMERGENCE			
15	BUSHBEAN	6Y, 7C, 9G	2B	1B
	COTTON	6C, 9G	0	3G
	SORGHUM	2C, 9H	2C, 8H	0
	CORN	2C, 8H	0	0
	SOYBEAN	2C, 8G	1H	0
	WHEAT	2C, 9G	0	0
20	WILD OATS	2C	0	0
	RICE	2C, 9G	5G	0
	BARNYARDGRASS	3C, 7H	0	0
	CRABGRASS	2C	0	0
	MORNINGGLORY	1C	1C, 3G	0
	COCKLEBUR	6C, 9G	1C	0
	CASSIA	1C, 4G	1C	0
25	NUTSEDGE	2C, 8G	0	0
30	PRE-EMERGENCE			
	SORGHUM	2C, 8H	6H	0
	CORN	1C, 9H	1C, 5G	0
	SOYBEAN	1C, 3H	0	0
	WHEAT	9G	0	0
	WILD OATS	2C, 7G		0
	RICE	4C, 9H	2C	0
	BARNYARDGRASS	9H, 3C	1C	0
	CRABGRASS	1C	0	0
	MORNINGGLORY	9H	0	0
	COCKLEBUR	9H	9H	9H
	CASSIA	5G	2C	0
	NUTSEDGE	1C	0	0

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Table A
(cont'd)

		<chem>CC1=C(NC(=O)N2C=C(C=C2)S(=O)(=O)N3C=C(C=C3)C(=O)N(C)C4=C(C=C4)OC(=O)c5ccccc5)C1</chem>	<chem>CC1=C(NC(=O)N2C=C(C=C2)S(=O)(=O)N3C=C(C=C3)C(=O)N(C)C4=C(C=C4)OC(=O)c5ccccc5)C1</chem>	<chem>CC1=C(NC(=O)N2C=C(C=C2)S(=O)(=O)N3C=C(C=C3)C(=O)N(C)C4=C(C=C4)ClO</chem>
5				
10				
15	kg/ha	0.05	0.05	0.05
	POST-EMERGENCE			
	BUSHBEAN	6Y, 1B, 2H	0	3D, 6Y
	COTTON	4C, 9G	0	0
	SORGHUM	1C, 9G	0	2C, 9G
	CORN	2C, 8H	0	2C, 7H
	SOYBEAN	2C, 3G	0	1H
20	WHEAT	0	0	0
	WILD OATS	0	0	0
	RICE	1C, 3G	0	8G
	BARNYARDGRASS	2C, 6H	0	2G
	CRABGRASS	1C	0	0
	MORNINGGLORY	3C, 9G	0	0
	COCKLEBUR	3C, 9H	0	0
25	CASSIA	2C	0	0
	NUTSEDGE	2C, 5G	0	2G
	PRE-EMERGENCE			
	SORGHUM	2C	0	6H
	CORN	1C, 5C	0	2G
	SOYBEAN	2C, 4H	0	3H
	WHEAT	0	0	0
30	WILD OATS	0	0	0
	RICE	1C, 6G	0	5G
	BARNYARDGRASS	5H	0	1H
	CRABGRASS	0	0	0
	MORNINGGLORY	9G	0	0
	COCKLEBUR	5G	0	3C, 8H
	CASSIA	0	0	1H
35	NUTSEDGE	0	0	0

x

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Table A
(cont'd)

		<chem>CC(=O)S(=O)(=O)c1ccsc1N2C(Cl)(Cl)N(C(=O)N(c3ccsc3)S(=O)(=O)C)C2</chem>	<chem>CC(=O)S(=O)(=O)c1ccsc1N2C(Cl)(Cl)N(c3cc(O)nc4c(NC(=O)N(c5ccsc5)S(=O)(=O)C)nc4)C2</chem>	<chem>CC(=O)S(=O)(=O)c1ccsc1N2C(Cl)(Cl)N(c3cc(O)nc4c(NC(=O)N(c5cc(O)nc6c(NC(=O)N(c7ccsc7)S(=O)(=O)C)nc6)C)nc4)C2</chem>
5				
10				
15	kg/ha	0.4	0.4	0.4
	POST-EMERGENCE			
	BUSHBEAN	9C	9C	9C
	COTTON	10C	9C	9C
	SORGHUM	9C	10C	6C, 9G
	CORN	70, 9C	10C	5U, 10C
	SOYBEAN	9C	9C	6C, 9G
	WHEAT	9C	9C	4C, 8G
20	WILD OATS	9C	9C	8C
	RICE	8C	8C	5C, 9G
	BARNYARDGRASS	9C	9C	9C
	CRABGRASS	9C	9C	9C
	MORNINGGLORY	10C	10C	10C
	COCKLEBUR	10C	10C	9C
	CASSIA	9C	9C	9C
25	NUTSEDGE	7C, 9G	9C	6C, 9G
	PRE-EMERGENCE			
	SORGHUM	10E	10E	6C, 9H
	CORN	10E	10E	10E
	SOYBEAN	9H	9H	9H
	WHEAT	10E	10E	3C, 9G
30	WILD OATS	5C, 9H	5C, 9H	3C, 9G
	RICE	10E	10E	10E
	BARNYARDGRASS	9H	5C, 9H	5C, 9H
	CRABGRASS	10E	10E	6C, 9G
	MORNINGGLORY	9H	9H	9G
	COCKLEBUR	9H	9H	9H
	CASSIA	10C	9H	9G
	NUTSEDGE	10E	10E	9G

Table A
(cont'd)

		<chem>O=C(Oc1ccc(cc1)N(c2ccccc2)S(=O)(=O)NC(=O)c3ccccc3)C(=O)OC</chem>	<chem>O=C(Oc1ccc(cc1)N(c2ccccc2)S(=O)(=O)NC(=O)c3ccccc3)C(=O)OC</chem>	<chem>O=C(Oc1ccc(cc1)N(c2ccccc2)S(=O)(=O)NC(=O)c3ccccc3)C(=O)OC</chem>
5				
10				
15	kg/ha	0.4	0.1	0.05
15	POST-EMERGENCE			
	BUSHBEAN	9C	9C	7C, 9G, 6Y
	COTTON	9C	9C	6C, 9G
	SORGHUM	2C, 9G	2C	1C, 9G
	CORN	8J, 9C	3C	5C, 9G
	SOYBEAN	5C, 9G	9C	6H
20	WHEAT	1C, 5G	0	1C, 6G
	WILD OATS	2C, 8G	0	2G
	RICE	9C	5C	8G
	BARNYARDGRASS	9C	3C	4C, 9H
	CRABGRASS	8C	1C	5G
	MORNINGGLORY	10C	10C	10C
	COCKLEBUR	9C	10C	5C, 9G
	CASSIA	9C	2C	1C
25	NUTSEDGE	9C	1C	9G
	PRE-EMERGENCE			
	SORGHUM	6C, 9H	4C, 9G	3C, 9G
	CORN	3C, 9H	3C, 9G	1C, 9G
	SOYBEAN	9H	9H	1C, 3G
	WHEAT	1C, 8H	1C, 3G	1C, 9G
30	WILD OATS	3C, 9G	2C, 7G	1C, 8G
	RICE	10E	9H	10E
	BARNYARDGRASS	5C, 9H	3C, 9H	2C, 9H
	CRABGRASS	3C, 9H	2G	1C
	MORNINGGLORY	9H	9G	9G
	COCKLEBUR	9H	9H	9H
	CASSIA	3C, 9G	6G	8G
35	NUTSEDGE	10E	10E	10E

Table A
(cont'd)

		<chem>CC(=O)c1ccsc1S(=O)(=O)Nc2nc(OCCCl3)nc(OCCCl3)n2</chem>	<chem>CC(=O)c1ccsc1S(=O)(=O)Nc2nc(CCl3)nc(CCl3)n2</chem>	<chem>CC(=O)c1ccsc1S(=O)(=O)Nc2nc(OCCCl3)nc(OCCCl3)n2</chem>
5				
10				
15	kg/ha	0.4	0.4	0.1
	POST-EMERGENCE			
	BUSHBEAN	9C	9C	9C
	COTTON	9C	9C	9C
	SORGHUM	9C	9C	5C
	CORN	6U, 9C	5U, 9C	5C
	SOYBEAN	9C	9C	9C
	WHEAT	9C	8C	1C
	WILD OATS	9C	9C	2C
	RICE	6C, 9G	6C, 9G	9C
	BARNYARDGRASS	9C	9C	9C
	CRABGRASS	9C	9C	1C
	MORNINGGLORY	10C	10C	9C
	COCKLEBUR	9C	9C	3C
	CASSIA	9C	6C, 9G	1C
	NUTSEDGE	9C	9C	2C
	PRE-EMERGENCE			
	SORGHUM	2C, 9G	10E	5C, 9H
	CORN	10E	10E	5C, 9G
	SOYBEAN	9H	9H	9H
	WHEAT	10E	1C, 9G	9G
	WILD OATS	2C, 9H	5C, 9H	3C, 9G
	RICE	10E	10E	10E
	BARNYARDGRASS	3C, 9H	5C, 9H	5C, 9H
	CRABGRASS	10E	5C, 9G	2C, 7G
	MORNINGGLORY	9G	9G	9H
	COCKLEBUR	9H	9H	9H
	CASSIA	6C, 9G	9G	9G
	NUTSEDGE	10E	9G	9G

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Table A
(cont'd)

5

10			
15	kg/ha	1.0	
	POST-EMERGENCE		
	BUSHBEAN	0	
	COTTON	0	
	SORGHUM	0	
20	CORN	0	
	SOYBEAN	0	
	WHEAT	0	
	WILD OATS	0	
	RICE	0	
	BARNYARDGRASS	0	
	CRABGRASS	0	
	MORNINGGLORY	0	
25	COCKLEBUR	0	
	CASSIA	0	
	NUTSEDGE	0	
	PRE-EMERGENCE		
	SORGHUM	0	
	CORN	0	
	SOYBEAN	0	
30	WHEAT	0	
	WILD OATS	0	
	RICE	0	
	BARNYARDGRASS	0	
	CRABGRASS	0	
	MORNINGGLORY	0	
	COCKLEBUR	0	
	CASSIA	0	
35	NUTSEDGE	0	

x

195

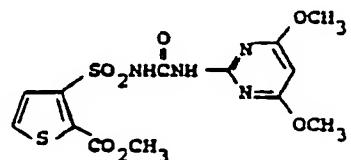
Test B

Two plastic bulb pans were filled with fertilized and limed Fallsington silt loam soil. One pan was planted with corn, sorghum, Kentucky bluegrass and several grassy weeds. The other pan was planted with cotton, soybeans, purple nutsedge (Cyperus rotundus), and several broadleaf weeds. The following grassy and broadleaf weeds were planted: crabgrass (Digitaria sanguinalis), barnyardgrass (Echinochloa crusgalli), wild oats (Avena fatua), johnsongrass (Sorghum halepense), dallisgrass (Paspalum dilatatum), giant foxtail (Setaria faberii), cheatgrass (Bromus secalinum), mustard (Brassica arvensis), cocklebur (Xanthium pensylvanicum), pigweed (Amaranthus retroflexus), morningglory (Ipomoea hederacea), cassia (Cassia tora), teaweed (Sida spinosa), velvetleaf (Abutilon theophrasti), and jimsonweed (Datura stramonium). A 12.5 cm diameter plastic pot was also filled with prepared oil and planted with rice and wheat. Another 12.5 pot was planted with sugarbeets. The above four containers were treated preemergence with certain test compounds within the scope of the invention.

Twenty-eight days after treatment, the plants were evaluated and visually rated for response to the chemical treatments utilizing the rating system described previously for Test A. The data are summarized in Table B. Note that certain compounds are useful as pre-emergence treatments for weed control in crops such as soybeans and wheat.

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PRE-EMERGENCE ON FALLSINGTON SILT LOAM



	<u>Rate kg/ha</u>	<u>0 . 03</u>	<u>0 . 12</u>
15	Crabgrass	8G, 7C	10E
	Barnyardgrass	7G, 3F	8G, 9C
	Sorghum	10E	10E
	Wild Oats	2G	5G
	Johnsongrass	7G, 3H	8G, 5H
20	Dallisgrass	3G	4G
	Giant foxtail	8G, 8C	9G, 9C
	Kv. bluegrass	-	-
	Cheatgrass	8G	7G
	Sugarbeets	8G, 6C	8G, 7C
	Corn	5G, 5H	7G, 5H
	Mustard	8G, 8C	9G, 9C
25	Cocklebur	6G, 3H	6G, 3H
	Pigweed	10C	10C
	Nutsedge	7G	8G
	Cotton	8G	8G
	Morningglory	7G	8G
	Cassia	3G	3G
	Teaweed	5G	6G
	Velverleaf	6G, 3H	7G, 5C
30	Jimsonweed	6G, 6C	6G, 6C
	Soybean	0	4G
	Rice	10F	10E
	Wheat	3G, 3C	4G, 3C
35			

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Table B
(cont'd)

PRE-EMERGENCE ON FALLSINGTON SILT LOAM		
5		
10		
15	Rate kg/ha	0.03 0.12
	Crabgrass	7G, 5C
	Barnyardgrass	7G, 6C
	Sorghum	10E
	Wild Oats	5G
	Johnsongrass	6G, 5H
	Dallisgrass	7G
	Giant foxtail	6G, 3C
	Ky. bluegrass	-
	Cheatgrass	8G
	Sugarbeets	8G, 7C
	Corn	5G, 5H
	Mustard	9 G, 9C
	Cocklebur	7G, 4C
	Pigweed	9G, 9C
	Nutsedge	7G
	Cotton	8G
	Morningglory	7G
	Cassia	3G
	Teaweed	4G
	Velvetleaf	7G, 7C
	Jimsonweed	5G
	Soybean	0
	Rice	10E
	Wheat	7G, 4C
30		
35		

Table B
(cont'd)

199

Table B
(cont'd)

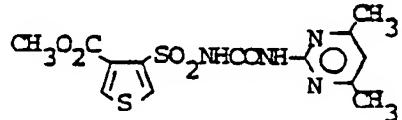
PRE-EMERGENCE ON FALLSINGTON SILT LOAM			
5			
10			
15	Rate kg/ha	0.03	0.12
	Crabgrass	8G	9G, 8C
	Barnyardgrass	9G, 7C	9G, 7C
	Sorghum	10E	10E
	Wild Oats	6G, 3H	8G, 8C
	Johnsongrass	8G, 3C	9G, 9C
	Dallisgrass	-	-
	Giant foxtail	6G, 3H	9G, 8C
	Kv. bluegrass	7G, 7C	8G, 9C
	Cheatgrass	10E	10E
	Sugarbeets	9G, 9C	9G, 9C
	Corn	7G, 5H	9G, 9C
	Mustard	9G, 9C	9G, 9C
	Cocklebur	6G, 3H	7G, 5H
	Pigweed	9G, 8C	10E
	Nutsedge	7G	6G
	Cotton	7G	8G, 3C
	Morning glory	8G, 3C	9G, 8C
	Cassia	7G	7G
	Teaweed	6G	7G
	Velvetleaf	8G, 3C	10C
	Jimsonweed	7G	8G, 4C
	Soybean	4G	7G, 5H
	Rice	10E	10E
	Wheat	6G, 3H	8G, 8C
20			
25			
30			
35			

Table B
(cont'd)

PRE-EMERGENCE ON FALLSINGTON SILT LOAM			
5			
10			
15	Rate kg/ha	0.03	0.12
	Crabgrass	8G	9G, 8C
	Barnyardgrass	9G, 8C	9G, 9C
	Sorghum	10E	10E
	Wild Oats	7G, 3H	8G, 6C
	Johnsongrass	8G, 3C	10C
	Dallisgrass	-	-
	Giant foxtail	9G, 5H	10C
	Kv. bluegrass	9G, 9C	10C
	Cheatgrass	10E	10E
	Sugarbeets	9G, 9C	9G, 9C
	Corn	7G, 5H	9G, 9C
	Mustard	9G, 9C	10C
	Cocklebur	6G	8G, 5H
	Pigweed	10E	10E
	Nutsedge	5G	7G
	Cotton	7G, 3H	9G, 8C
	Morning glory	8G, 3C	9G, 6C
	Cassia	7G	7G
	Teaweed	7G	7G, 5C
	Velvetleaf	8G, 6C	10C
	Jimsonweed	7G, 5C	9G, 9C
	Soybean	7G, 5H	8G, 5H
	Rice	10E	10E
	Wheat	6G	8G, 8C
30			
35			

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Table B

PRE-EMERGENCE ON FALLSINGTON SILT LOAM

5

10

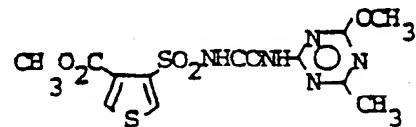
15

20

25

30

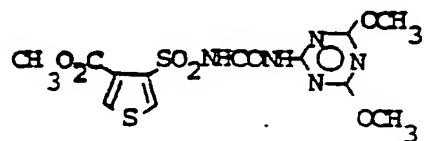
35



Rate kg/ha	0.03	0.12	0.007
Crabgrass	7G, 3C	9G, 9C	2G
Barnyardgrass	6G, 3C	7G, 3C	4G
Sorghum	8G, 3C	10C	8G, 3H
Wild Oats	4G	6G	2G
Johnsongrass	8G, 4C	8G, 4C	4G
Dallisgrass	0	5G	0
Giant foxtail	0	7G, 3H	0
Kv. bluegrass	8G, 8C	8G, 8C	0
Cheatgrass	5G	7G, 3H	3G
Sugarbeets	9G, 9C	10C	10C
Corn	9G, 7C	9G, 9C	7G, 3H
Mustard	10C	10C	10C
Cocklebur	8G, 5H	9G, 5H	6G
Pigweed	9G, 9C	10C	9G, 9C
Nutsedge	6G	6G	3G
Cotton	8G, 5H	8G, 5H	6G, 3H
Morning glory	8G, 3H	9G, 5H	9G, 8C
Cassia	9G, 8C	-	7G
Teaweed	7G, 3C	7G, 3C	4G
Velverleaf	9G, 9C	10C	8G
Jimsonweed	6G, 5C	7G, 7C	5C
Soybean	9G, 5H	9G, 5H	6G, 3H
Rice	10E	10E	6G
Wheat	4G	4G	0

Table B
(cont'd)

PRE-EMERGENCE ON FALLSINGTON SILT LOAM



Rate kg/ha	0.03	0.12	-0.007
Crabgrass	3G	6G, 3C	0
Barnyardgrass	5G	7G, 4C	4G
Sorghum	8G, 3H	9G, 9C	7G, 3H
Wild Oats	2G	4G	0
Johnsongrass	5G, 3H	7G, 3H	5G
Dallisgrass	0	6G	2G
Giant foxtail	0	3G	0
Kv. bluegrass	6G	8G, 8C	3G
Cheatgrass	0	7G	0
Sugarbeets	10C	9G, 9C	9G, 9C
Corn	6G, 3H	6G, 5H	2G, 1U
Mustard	10C	10C	10E
Cocklebur	6G	7G, 5H	6G
Pigweed	8G, 8C	10C	7G, 5E
Nutsedge	2G	7G	6G
Cotton	6G, 5H	9G, 5H	6G, 3H
Morningglory	8G, 3H	8G, 3H	9G, 8C
Cassia	6G	-	4G
Teaweed	6G, 2C	7G, 3C	3G
Velverleaf	8G, 5H	8G, 8C	6G
Jimsonweed	5G	6G, 3C	5G
Soybean	5G	9G, 5H	4G, 3H
Rice	7G	10E	5G
Wheat	2G	2G	0

Table B
(cont'd)

PRE-EMERGENCE ON FALLSINGTON SILT LOAM		
5		
10		
15	Rate kg/ha	0.03 0.12
	Crabgrass	0 0
	Barnyardgrass	3G 3G
	Sorghum	0 6G, 3H
	Wild Oats	0 0
	Johnsongrass	0 5G, 3H
20	Dallisgrass	0 3G
	Giant foxtail	0 2H
	Kv. bluegrass	4G 6G
	Cheatgrass	0 10E
	Sugarbeets	3G 3G
	Corn	0 0
	Mustard	8G, 5C 10C
	Cocklebur	0 0
25	Pigweed	4G 8G, 8C
	Nutsedge	0 7G
	Cotton	0 3G
	Morningglory	3G 4G
	Cassia	0 0
	Seaweed	0 3G
30	Velvetleaf	5G, 3H 6G, 3H
	Jimsonweed	3G 5G
	Soybean	0 2G
	Rice	4G 5G, 3H
	Wheat	0 0
35		

Table B
(cont'd)

PRE-EMERGENCE ON FALLSINGTON SILT LOAM

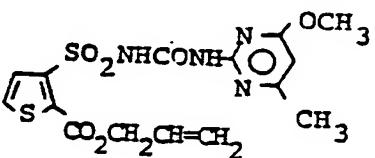
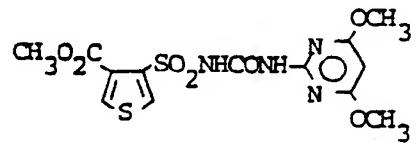
			
		10	
15	Rate kg/ha	0.03	0.12
	Crabgrass	0	0
	Barnyardgrass	6G	6G, 5H
	Sorghum	7G, 3H	10C
	Wild Oats	3G	3G
	Johnsongrass	6G, 3H	8G, 5E
20	Dallisgrass	0	4G
	Giant foxtail	0	3G
	Kv. bluegrass	7G, 4C	7G, 4C
	Cheatgrass	7G, 5C	9G, 9C
	Sugarbeets	6G, 3H	10C
	Corn	4G, 2U	6G, 5H
	Mustard	10C	10C
25	Cocklebur	2G	3G
	Pigweed	8G, 8C	10C
	Nutsedge	7G	7G
	Cotton	5G	5G, 2H
	Morningglory	5G	4G
	Cassia	5G	5G
	Teaweed	2G	4G
	Velverleaf	8G, 5H	9G, 5H
30	Jimsonweed	8G	8G
	Soybean	4G	4G
	Rice	7G	8G
	Wheat	4G	6G
35			

Table B
(cont'd)

PRE-EMERGENCE ON FALLSINGTON SILT LOAM		
5		
10		
15	Rate kg/ha	0.03 0.12
	Crabgrass	8G, 5C 9G, 7C
	Barnyardgrass	9G, 7C 9G, 7C
	Sorghum	10E 10E
	Wild Oats	7G, 3C 8G, 5E
	Johnsongrass	9G, 7C 9G, 9C
	Dallisgrass	7G 8G
	Giant foxtail	7G, 3H 9G, 5H
	Kv. bluegrass	10C 9G, 9C
	Cheatgrass	10C 10E
	Sugarbeets	9G, 9C 9G, 9C
	Corn	7G, 5E 8G, 3C
	Mustard	10C 10E
	Cocklebur	6G 7G
	Pigweed	10E 10E
	Nutsedge	8G 10E
	Cotton	3G 7G, 5H
	Morningglory	6G 8G, 3H
	Cassia	5G 7G
	Teaweed	6G 8G, 4C
	Velverleaf	8G, 7C 10C
	Jimsonweed	6G 7G, 7C
	Soybean	3G 6G, 3H
	Rice	10E 10E
	Wheat	7G, 3C 7G, 5C
30		
35		

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 Table B
 (cont'd)

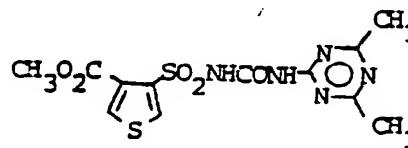
PRE-EMERGENCE ON FALLSINGTON SILT LOAM				
5				
10				
15	Rate kg/ha	0.03	0.12	0.007
	Crabgrass	7G, 3H	8G, 5C	0
	Barnyardgrass	6G, 3H	8G, 4C	0
	Sorghum	9G, 9C	10E	5G, 3H
	Wild Oats	2G	7G, 3H	0
	Johnsongrass	8G, 5H	8G, 5C	0
20	Dallisgrass	6G	0	0
	Giant foxtail	5G, 2H	7G, 5H	0
	Kv. bluegrass	7G, 7C	7G, 5C	0
	Cheatgrass	7G, 3C	10C	0
	Sugarbeets	9G, 9C	9G, 9C	5G, 3H
	Corn	10C	10E	3G
	Mustard	10C	10C	7G, 3C
	Cocklebur	7G, 5H	8G, 5H	2G
25	Pigweed	10C	10C	5G
	Nutsedge	6G	7G	0
	Cotton	7G	8G, 5H	0
	Morningglory	8G, 3H	8G, 3H	6G, 5H
	Cassia	6G	-	C
	Teaweed	6G	7G, 4C	0
	Velvetleaf	8G, 8C	8G, 8C	3G
30	Jimsonweed	6G, 4C	6G, 5C	0
	Soybean	2H	7G, 5H	0
	Rice	10E	10E	7G, 3H
	Wheat	5G	6G	0
35				

Table B
(cont'd)

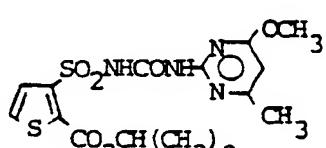
PRE-EMERGENCE ON FALLSINGTON SILT LOAM			
5			
10			
15	Rate kg/ha	0.03	0.12
	Crabgrass	0	5G
	Barnyardgrass	5G, 3H	4G, 2H
	Sorghum	8G, 5H	10C
	Wild Oats	0	3C
	Johnsongrass	7G, 3H	8G, 5H
20	Dallisgrass	0	4G
	Giant foxtail	0	4H
	Kv. bluegrass	7G	8G, 8C
	Cheatgrass	10E	10E
	Sugarbeets	6G, 3H	10C
	Corn	5G, 3H	6G, 5H
	Mustard	8G, 5C	10C
	Cocklebur	0	5G
25	Pigweed	5G	10E
	Nutsedge	0	5G
	Cotton	3G	6G, 3H
	Morningglory	4G	5G
	Cassia	3G	3G
	Teaweed	3G	4G, 2C
	Velvetleaf	3H	6G, 3H
30	Jimsonweed	3G	7G, 3C
	Soybean	0	4G, 3H
	Rice	6G	7G, 5H
	Wheat	3G	3G
35			

Table B
(cont'd)

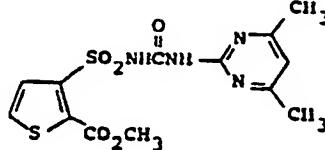
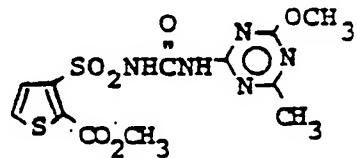
PRE-EMERGENCE ON FALLSINGTON SILT LOAM			
5			
10			
15	<u>Rate kg/ha</u>	0.12	0.5
20	Crabgrass	0	0
	Barnyardgrass	0	0
	Sorghum	0	7G, 5H
	Wild Oats	0	4G
	Johnsongrass	0	5G
	Dallisgrass	0	3G
	Giant foxtail	0	2G
	Kv. bluegrass	-	-
	Cheatgrass	0	5G
	Sugarbeets	2G	6G
	Corn	0	4G
	Mustard	8G, 5C	10C
	Cocklebur	6G, 3C	6G, 2C
	Pigweed	4G, 4C	7G, 7C
	Nutsedge	0	5G
	Cotton	3G	7G
	Morning glory	0	6G
	Cassia	0	3G
	Teaweed	0	0
	Velverleaf	4G, 3C	5G
	Jimsonweed	0	0
	Soybean	0	4G, 2H
	Rice	0	2G
	Wheat	0	3G
30			
35			

Table B
(cont'd)

PRE-EMERGENCE ON FALLSINGTON SILT LOAM				
5				
10				
15				
	Rate kg/ha	0.06	0.12	0.5
	Crabgrass	6G, 7C	4G	7G
	Barnyardgrass	4G	6G	8G, 4C
	Sorghum	9G, 5C	9G, 8C	10C
	Wild Oats	0	0	0
	Johnsongrass	4G, 3H	4G	7G, 3H
	Dallisgrass	0	0	3G
20	Giant foxtail	3G	3G	6G, 3C
	Kv. bluegrass	3G	3G	5G
	Cheatgrass	3G	-	-
	Sugarbeets	9G, 9C	10C	10C
	Corn	5G	6G, 3H	9G, 5H
	Mustard	10C	8G	10C
	Cocklebur	6G, 3H	7G, 3H	8G, 5H
25	Pigweed	8G, 8C	10C	10E
	Nutsedge	3G	7G	8G
	Cotton	8G, 3H	9G, 5C	9G, 5C
	Morningglory	8G, 3H	8G, 3H	9G, 9C
	Cassia	6G	5G	7G
	Teaweed	5G, 3H	4G	8G, 5C
	Velvetleaf	8G, 7C	10C	10C
30	Jimsonweed	9G, 9C	7G	10C
	Soybean	0	3G	5G, 3H
	Rice	10E	8G, 6C	10E
35	Wheat	0	0	0



TEST PROCEDURE CWheat and Barley Herbicide Screen

Two ten-inch in diameter plastic pans lined with polyethylene liners were filled with prepared soil. One pan was planted with seeds of wheat (Triticum aestivum), barley (Hordeum vulgare), wild oats (Avena fatua), downy brome (Bromus tectorum), cheatgrass (Bromus secalinus), blackgrass (Alopecurus myosuroides), annual bluegrass (Poa annua), green foxtail (Setaria viridis), quackgrass (Agropyron repens), Italian ryegrass (Lolium multiflorum) and ripgut brome (Bromus rigidus). The other pan was planted with seeds of Russian thistle (Salsola kali), tansy mustard (Descuraina pinnata), smartweed (Polygonum pensylvanicum), tumble mustard (Sisymbrium altissimum), kochia (Kochia scoparia), shepherd's purse (Capsella bursa-pastoris), Matricaria indora, black nightshade (Solanum nigrum), yellow rocket (Barbarea vulgaris), wild mustard (Brassica kaber) and wild buckwheat (Polygonum convolvulus). The above two pans were treated pre-emergence. At the same time two pans in which the above plant species were growing were treated post-emergence. Plant height at the time of treatment ranged from 1-15 cm depending on plant species.

The compounds applied were diluted with a non-pytotoxic solvent and sprayed over-the-top of the pans. An untreated control and a solvent alone control were included for comparison. All treatments were maintained in the greenhouse for 20 days at which time the treatments were compared to the controls and the effects visually rated. The recorded data are presented in Table C. It will be seen that the compounds have utility for selective weed control in cereal crops.

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Table C

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	Post-emergence	Pre-emergence
Rate kg/ha	0.008	0.008
wheat	1C, 1G	0
barley	1G	1G
wild oats	1C, 1G	0
downy brome	2G	2G
cheatgrass	1C, 4G	7G
blackgrass	3C, 3G	5G
annual bluegrass	8C, 8G	3C, 6G
green foxtail	1C, 3G	1C, 2G
quackgrass	2C, 3G	3G
Italian ryegrass	6C, 7G	1C, 5G
ripgut brome	1C, 2G	0
Russian thistle	10C	3G
tansy mustard	10C	10C
smartweed	-	-
jimhill mustard	10C	10C
Kochia	10C	10C
shepherd's purse	10C	10C
false chamomile	10C	6C, 7G
black nightshade	10C	7G
yellow rocket	10C	10C
wild mustard	10C	10C
wild buckwheat	10C	7C, 6G

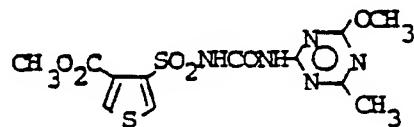


Table C
(cont'd)

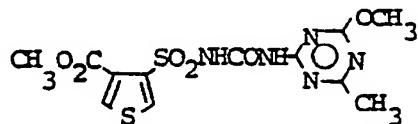


Table C
(cont'd)

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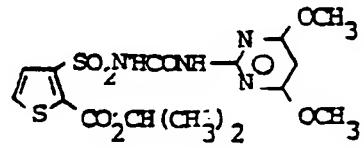
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Post-emergence Pre-emergence

<u>Rate kg/ha</u>	<u>0.015</u>	<u>0.015</u>
wheat	0	0
barley	0	0
wild oats	0	2C, 2G
downy brome	0	1C, 3G
cheatgrass	0	1C, 4G
blackgrass	0	3G
annual bluegrass	0	2G
green foxtail	0	0
quackgrass	0	0
Italian ryegrass	0	0
ripgut brome	0	0
Russian thistle	10C	0
tansy mustard	10C	10C
smartweed	-	-
jimhill mustard	10C	10C
Kochia	10C	2C, 5G
shepherd's purse	10C	10C
false chamomile	10C	4C, 6G
black nightshade	0	2C, 6G
yellow rocket	10C	3C, 8G
wild mustard	10C	9C, 9G
wild buckwheat	3C, 2G	4G

Table C
(cont'd)

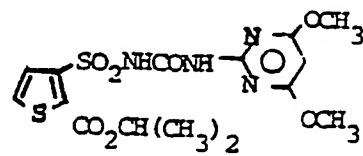


Table C
(cont'd)

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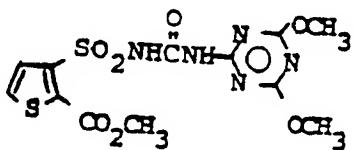
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		Post-emergence	Pre-emergence
	Rate kg/ha	0.015	0.015
wheat		0	0
barley		0	0
wild oats		0	0
downy brome		0	2G
cheatgrass		0	1G
blackgrass		0	3G
annual bluegrass		0	2G
green foxtail		0	0
quackgrass		0	0
Italian ryegrass		0	0
ripgut brome		0	0
Russian thistle		10C	2C, 2G
tansy mustard		10C	10C
smartweed		-	-
jimhill mustard		10C	10C
Kochia		2C, 4G	3C, 5G
shepherd's purse		10C	10C
false chamomile		10C	7C, 8G
black nightshade		1C, 3G	1C, 8G
yellow rocket		2C, 3G	5C, 6G
wild mustard		10C	9C, 9G
wild buckwheat		9C, 8G	4C, 7G

Table C
(cont'd)

		Post-emergence	Pre-emergence
	Rate kg/ha	0.06	0.06
5	wheat	0	0
10	barley	0	0
	wild oats	0	0
	downy brome	2C, 3G	2C, 3G
	cheatgrass	2C, 5G	1C, 5G
20	blackgrass	1C, 3G	2C, 7G
	annual bluegrass	1C, 4G	5G
	green foxtail	2G	2C, 3G
	quackgrass	0	1C, 2G
	Italian ryegrass	3G	3G
	ripgut brome	0	0
	Russian thistle	10C	7C, 8G
	tansy mustard	10C	10C
25	smartweed	-	-
	jimhill mustard	10C	10C
	Kochia	7C, 7G	7C, 7G
	shepherd's purse	10C	10C
	false chamomile	10C	9C, 9G
	black nightshade	3C, 6G	2C, 8G
	yellow rocket	10C	6C, 8G
30	wild mustard	10C	9C, 9G
	wild buckwheat	10C	7C, 7G
35			

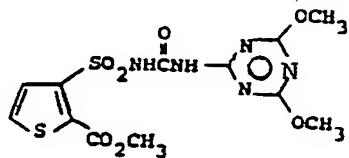


Table C
(cont'd)

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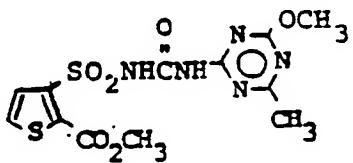
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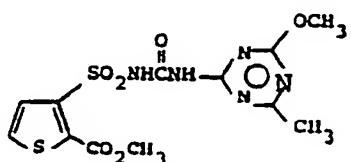
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Post-emergence Pre-emergence

Rate kg/ha	0.008	0.008
wheat	0	0
barley	0	0
wild oats	0	0
downy brome	0	1C. 2G
cheatgrass	0	3G
blackgrass	1G	1C. 3G
annual bluegrass	1G	5C. 6G
green foxtail	2G	0
quackgrass	0	2G
Italian ryegrass	0	4G
ripgut brome	0	0
Russian thistle	10C	4C. 5G
tansy mustard	10C	10C
smartweed	-	-
jimhill mustard	10C	10C
Kochia	8C. 8G	7C. 8G
shepherd's purse	9C. 8G	10C
false chamomile	10C	7C. 8G
black nightshade	1G	2C. 5G
yellow rocket	10C	10C
wild mustard	10C	10C
wild buckwheat	8C. 7G	4C. 7G

Table C
(cont'd)

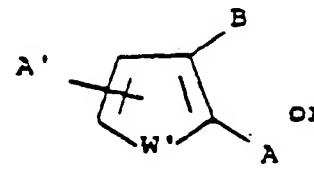
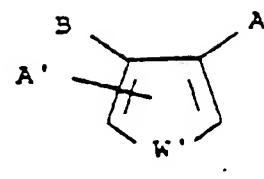


x

Claims:-

- 1) A compound selected from:

5

IIIIII

wherein

10

W' is O or S;

A' is H, Cl, Br, C₁-C₄ alkyl, OCH₃, NO₂ or CF₃;A is -C=O-R^I or -C(=T)-R^{II} where

Q is O, S or -N-;

15

R₆OR^{III}

T is C or =N where

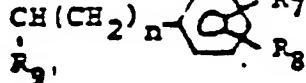
R^{III} is H, C₁-C₄ alkyl or C₃-C₄ alkenyl;

when Q is O or S then

20

R^I is C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆alkynyl; C₂-C₆ alkyl substituted with 1-3 Cl,F or Br, or one of CN or OCH₃; C₃-C₆ alkenyl substituted with

25

1-3 Cl; C₃-C₆ alkynyl substituted with Cl;C₅-C₆ cycloalkyl; cyclohexenyl; cyclohexylsubstituted with 1-3 CH₃; C₄-C₇ cycloalkyl-alkyl or CH(CH₃)_n-

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where R_7 and R_8 are independently H,

Cl, CH_3 or OCH_3 ;

n is 0 or 1; and

R_9 is H or CH_3 ;

when Q is O then R^I can be $\text{CH}_2\text{CH}_2\text{OR}_{15}$,

$\text{CH}_2\text{CH}_2\text{CH}_2\text{OR}_{15}$; $\text{CH}-\text{CH}_2\text{OR}_{15}$ where R_{15} is

CH_3

C_2H_5 , $\text{CH}(\text{CH}_3)_2$, phenyl, $\text{CH}_2\text{CH}_2\text{Cl}$, CH_2CCl_3 ;

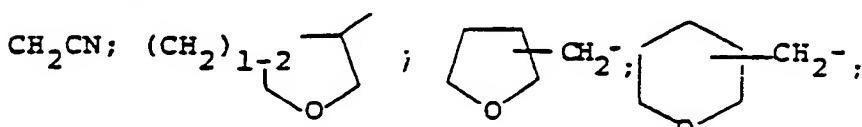
$\{\text{CH}_2\text{CH}_2\text{O}\}_n\text{R}_{16}$, $\{\text{CH}-\text{CH}_2\text{O}\}_n\text{R}_{16}$; where R_{16} is

CH_3

CH_3 , C_2H_5 , $\text{CH}(\text{CH}_3)_2$, phenyl, $\text{CH}_2\text{CH}_2\text{Cl}$,

CH_2CCl_3 , and n' is 2 or 3;

CH_2CN ; $(\text{CH}_2)_{1-2}$



$\text{CH}_2\text{OR}'$; where R' is $\text{C}_6\text{H}_4\text{C}_1\text{H}_4$

alkyl;

provided R^I has a total of ≤ 13 carbon atoms;

when Q is -N- then:

$\overset{\cdot}{R}_6$

R^I is H; C_1H_6 alkyl; $-\text{CH}_2\text{CH}_2\text{OR}_{10}$; $-\text{CH}_2\text{CH}_2\text{CH}_2\text{OR}_{10}$;

where R_{10} is CH_3 , CH_3CH_2 , $\text{CH}(\text{CH}_3)_2$, or phenyl;

C_3H_6 alkenyl; C_3H_6 cycloalkyl; C_5H_6 cyclo-

alkenyl; C_6 cycloalkyl substituted with any

one of 1-2 OCH_3 , 1-3 CH_3 , $-\text{CH}_2\text{CH}_3$ or CF_3 ;

C_4H_7 cycloalkylalkyl; $-\text{CH}_2\text{CN}$; $-\text{CH}_2\text{CH}_2\text{CN}$;

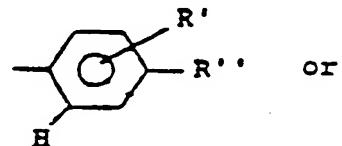
CH_3

$-\text{C}-\text{CN}$

OCH_3

OCH_2CH_3

CH_3



x where

R' is H, C₁-C₄ alkyl, OCH₃, F, Cl, Br, CN, NO₂ or CF₃;

R'' is H, CH₃, Cl, F or Br;

R₇, R₈ and R₉ are as previously defined:

R₆ is H, C₁-C₃ alkyl: CH₂CN: CH₂CH₂-CN or -CH₂-CH=CH₂ and R₆ and R^I may be taken together to form -(CH₂)₄⁻, -(CH₂)₅⁻ or -CH₂CH₂O-CH₂CH₂⁻:

10 with the proviso that when R₆ is CH₂CH₂CN or CH₂CN, then R^I is CH₂CH₂CN or CH₂CN; and R^I and R₆ have a total carbon atom count of < 13; and when R^I is OCH₃ or OCH₂CH₃ then R₆ is CH₃ or H;

15 T
when A is $\begin{array}{c} \text{H} \\ | \\ \text{R}^{\text{II}} \end{array}$ then

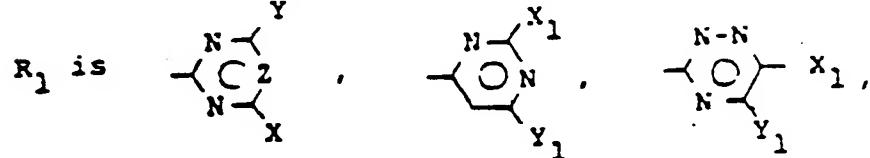
R^{II} is H, C₁-C₆ alkyl; C₃-C₆ alkenyl; phenyl; benzyl; benzyl or phenyl substituted with 1-2 Cl, 1-2 OCH₃, 1-2 CH₃; C₅-C₆ cycloalkyl; C₄-C₇ cycloalkylalkyl; with the proviso that when T is =N-OR^{III}, then R^{II} must be C₁-C₆ alkyl or C₃-C₆ alkenyl;

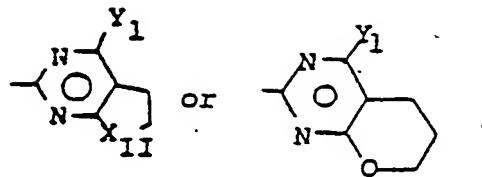
20 25 B is $\begin{array}{c} \text{W} \\ || \\ \text{SO}_2\text{N}-\text{C}-\text{N}-\text{R}_1 \end{array}$ or $\begin{array}{c} \text{WR}^{\text{IV}} \\ \diagdown \\ \text{NH}-\text{R}_1 \end{array}$;

where R₄ is H or CH₃; W is O or S;

R₅ is H, CH₃ or CH₃O; with the further proviso that either R₄ or R₅ must be H;

30 R^{IV} is C₁-C₆ alkyl or C₃-C₄ alkenyl:





5 where Z is N, CH or C-F;

X = H, Cl, -CH₃, -OCH₃ or -OCH₂CH₃;

Y = H; Cl; C₁-C₄ alkyl; C₁-C₄ alkyl substituted with -OCH₃, -OC₂H₅, -CN, -CO₂CH₃, -CO₂C₂H₅, O

10 C-L or 1-3 atoms of F, Cl, Br; C₃-C₄ alkenyl; -O-(CH₂)_n-O-(C₁-C₃ alkyl) where

n' is 2 or 3;

15 -OCH₂^oC-L; -OCHC^o-L; -OCH₂CH₂^oC-L where
CH₃

L is OH, -NH₂, -NCH₃, -NH(C₁-C₄ alkyl), OCH₃

-N(C₁-C₄ alkyl)₂ or C₁-C₆ alkoxy; SCN;

20 -N₃; NR₁₁R₁₂ where R₁₁ is H or CH₃ and

R₁₂ is H, -OCH₃, C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₃-C₄ alkenyl, C₂-C₃ alkyl substituted with OCH₃ or OC₂H₅, C₁-C₂ alkyl substituted with -CN, CO₂H, CO₂CH₃ or

25 CO₂C₂H₅, and R₁₁ and R₁₂ can be taken together to form -CH₂CH₂CH₂CH₂- or CH₂CH₂OCH₂CH₂-;

-O-R₉ where R₉ is C₁-C₄ alkyl, C₂-C₄ alkyl substituted with 1-3 atoms of F, Cl or Br,

C₁-C₂ alkyl substituted with cyano, C₃-C₄ alkenyl, -CH₂C≡CR₁₃,

30



35

R₁₃ is H, CH₃ or CH₂Cl;

SR₁₄:

x

223.

where R_{14} is C_1-C_4 alkyl, allyl, propargyl or C_1-C_2 alkyl substituted with CN; with the proviso that when X and Y are both H, then R^I and R^{II} are less than 5 carbons;

5

$X_1 = H, Cl, OCH_3, OCH_2CH_3$ or CH_3 :

$Y_1 = H, OCH_3$ or CH_3 ; and

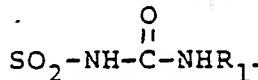
$X_{II} = O$ or CH_2

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and further provided that when A contains greater than 5 carbon atoms, then Y must contain ≤ 4 carbon atoms,

and their agriculturally suitable salts.

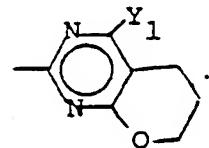
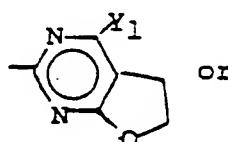
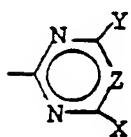
- 2) A compound of Claim 1 in which B is



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- 3) A compound of Claim 2 in which T is oxygen.

- 4) A compound of Claim 3 in which R_1 is



20

- 5) A compound of Claim 4 where Q is O or S and R^I is C_1-C_4 alkyl; C_3-C_4 alkenyl; C_3-C_4 alkynyl; C_2-C_3 alkyl substituted with CN, OCH_3 or 1-3F, Cl or Br; C_3-C_4 alkenyl substituted with 1-3 Cl or C_3-C_4 alkynyl substituted with Cl.

- 6) A compound of Claim 4 in which Q is oxygen and R^I is $\text{CH}_2\text{CH}_2\text{OR}_{15}$; $\text{CH}_2\text{CH}_2\text{CH}_2\text{OR}_{15}$; $\text{CH}_2\text{OR}_{15}$ where R_{15} is CH_3

CH_2CH_3 ;

- 30 CH_2CN ; $\text{CH}_2\text{OR}'_6$ where R'_6 is CH_3 or CH_3CH_2 ; and



7) A compound of claim 4 in which Q is $-N-$ and
 R_6

5 R^I is H, C_1-C_4 alkyl, $CH_2CH_2OR_{10}$, $CH_2CH_2CH_2OR_{10}$
where R_{10} is CH_3 or CH_3CH_2 ; C_3-C_4 alkenyl; CH_2CN ;
 CH_2CH_2CN ; OCH_3 or OCH_2CH_3 ;
10 R^I is H, C_1-C_2 alkyl, CH_2CN or CH_2CH_2CN and R_6
and R^I can be taken together to form $\{CH_2\}_4$.

8) A compound of claim 4 in which R^{II} is H or
10 C_1-C_3 alkyl.

9) A compound of any of claims 5-8 in which
Z is CH or N;

X is CH_3 or CH_3O ; and

Y is C_1-C_2 alkyl; C_1-C_2 alkyl substituted with OCH_3 ;
15 OCH_2CH_3 , CN or 1-3 atoms of F, Cl or Br;

O O
 OCH_2-C-L or OCH_2-C-L where L is NH_2 , OH, $N(CH_3)_2$,
 CH_3 OCH_3

20 $N(CH_3)_2$, $NHCH_3$, C_1-C_2 alkoxy; SCN; N_3 ; $NR_{11}R_{12}$
where R_{11} is H or CH_3 ; R_{12} is H, CH_3 , CH_3CH_2 ,
 OCH_3 ; OR_9 where R_9 is CH_3 , CH_3CH_2 , $CH_2CH=CH_2$
 $CH_2=C$; or C_2 alkyl substituted with 1-3 F, Cl
or Br; CH_3S .

25 10) A compound of claim 4 in which A' is H, Cl
or Br.

11) A compound of claim 10 in which Q is O or S
and R^I is C_1-C_4 alkyl, $CH_2CH=CH_2$ or CH_2CH_2Cl .

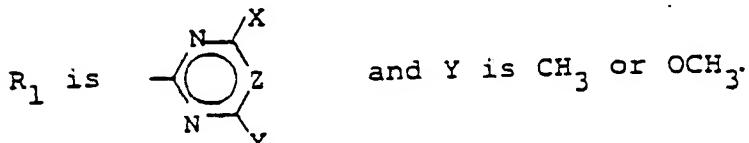
12) A compound of claim 10 in which Q is O and R^I
30 is $CH_2CH_2OCH_3$, CH_2-OCH_3 , CH_2OCH_3 or $CH_2OCH_2CH_3$.
 CH_3

13) A compound of claim 10 in which Q is $-N-$ and R^I
 R_6
is H; C_1-C_3 alkyl, OCH_3 or OCH_2CH_3 and R_6 is H or C_1-C_2 alkyl.

x

- 14) A compound of claim 10 in which R^{II} is H or CH₃.
- 15) A compound of any of claims 11-14 in which A' is H; Y is CH₃, OCH₃, OCH₂CH₃, OCH₂CF₃, OCH₂CH=CH₂O or
5 OCH₂C≡CH.
- 16) A compound of claim 9 in which A is  and Q is oxygen or sulfur and R^I is CH₃ or CH₂CH₃; Q is -N- and R^I is H, CH₃ or OCH₃ and R₆ is CH₃;

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- 17) A compound of claim 16 in which Formula I is utilized.

- 18) A compound of claim 16 in which Formula II is utilized.

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- 19) A compound of claim 16 in which Formula III is utilized.

- 20) A compound of any of claims 1 to 19 in which W' is sulfur.

- 21) A compound of any of claims 1 to 19 in which W' is oxygen.

- 22) A compound of claim 1 or an agriculturally suitable salt thereof selected from

methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-aminosulfonyl]-2-thiophenecarboxylate
methyl 3-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-aminosulfonyl]-2-thiophenecarboxylate
methyl 3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-aminosulfonyl]-2-thiophenecarboxylate
methyl 3-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate

x

-226-

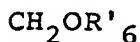
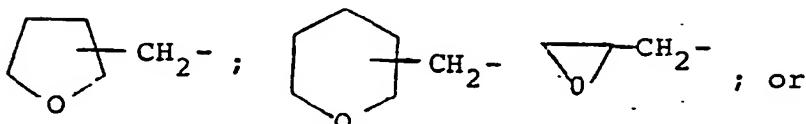
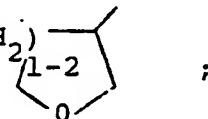
methyl 3-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-furancarboxylate
methyl 3-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-furancarboxylate
methyl 3-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-furancarboxylate
methyl 3-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-furancarboxylate
methyl 3-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
10 aminosulfonyl]-2-furancarboxylate
methyl 3-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
aminocarbonyl]aminosulfonyl]-2-furancarboxylate
methyl 2-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
15methyl 2-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 2-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 2-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
20 aminosulfonyl]-3-thiophenecarboxylate
methyl 2-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 2-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
aminocarbonyl]aminosulfonyl]-3-thiophenecarboxylate
25methyl 2-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
methyl 2-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
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methyl 2-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
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aminosulfonyl]-3-furancarboxylate
35methyl 2-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
aminocarbonyl]aminosulfonyl]-3-furancarboxylate

x 227
methyl 4-[[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 4-[[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
5 methyl 4-[[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 4-[[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylate
methyl 4-[[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
10 aminosulfonyl]-3-thiophenecarboxylate
methyl 4-[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
aminocarbonyl]aminosulfonyl]-3-thiophenecarboxylate
methyl 4-[[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
15 methyl 4-[[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
methyl 4-[[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
methyl 4-[[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
20 aminosulfonyl]-3-furancarboxylate
methyl 4-[[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylate
methyl 4-[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
aminocarbonyl]aminosulfonyl]-3-furancarboxylate
N-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-2-
25 (1-pyrrolidinylcarbonyl)-3-thiophenesulfonamide
1-methylethyl 3-[[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-thiophenecarboxylate
methyl 3-[[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
30 aminosulfonyl]-2-thiophenecarboxylate or
methyl 3-[[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-2-thiophenecarboxylate.

23) A compound of claim 1 wherein at least one of the following applies:

- (a) A' is not OCH_3 , NO_2 or CF_3
- (b) R^I is not OCH_2CH_3 or C_2-C_6 alkyl substituted with F or Br

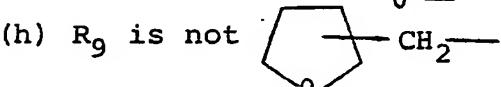
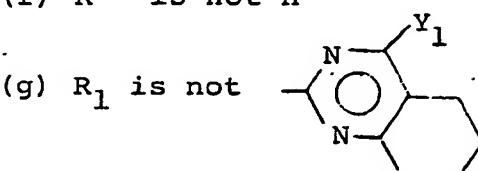
- (c) R^I is not CH_2CN , $(CH_2)_L-2$



- (d) R' is not CN, NO_2 or CF_3

- (e) when R^I is OCH_3 , R_6 is not H

- (f) R^{II} is not H



- (i) Z is not C-F.

24) A composition suitable for controlling the growth of undesired vegetation comprising an effective amount of a herbicidal compound and at least one of the following: surfactant, solid or liquid diluent, characterised in

that said herbicidal compound comprises a compound of any of claims 1 to 23.

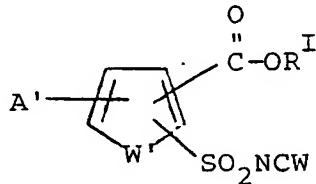
25) A method for controlling the growth of undesired vegetation by applying to the locus to be protected an effective amount of a herbicidal compound, characterised in

that said herbicidal compound comprises a compound of any of claims 1 to 23.

26) A method for regulating the growth of plants by applying to the locus of said plants an effective but substantially non-phytotoxic amount of a plant growth regulant, characterised in

that said plant growth regulant comprises a compound of any of claims 1 to 23.

27) A process for preparing a compound of claim 1 which comprises (a) reacting an appropriate isocyanate or isothiocyanate of formula



with an amine of formula HNR₁R₅,
wherein W, W', R₁ and R₅ are as defined in claim 1,

A' is H, Cl, Br, C₁-C₄ alkyl, OCH₃, NO₂ or CF₃;

R^I is C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆

alkynyl; C₂-C₆ alkyl substituted with Cl,

CN or OCH₃; C₃-C₆ alkenyl substituted with

1-3 Cl; C₃-C₆ alkynyl substituted with Cl;

C₅-C₆ cycloalkyl; cyclohexenyl; cyclohexyl

substituted with 1-3 CH₃; C₄-C₇ cycloalkyl-

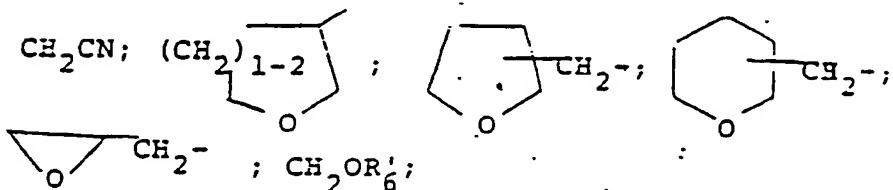
alkyl or CH(CH₂)_n-

R₉

where R₇ and R₈ are independently H,
Cl, CH₃ or OCH₃;

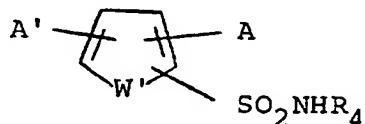
C_2H_5 , $CH(CH_3)_2$, phenyl, CH_2CH_2Cl , CH_2CCl_3 ;
 $\{CH_2CH_2O\}_nR_{16}$, $\{CH-CH_2O\}_nR_{16}$ where R_{16} is
 CH_3

CH_3 , C_2H_5 , $CH(CH_3)_2$, phenyl, CH_2CH_2Cl ,
 CH_2CCl_3 , and n' is 2 or 3;

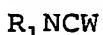


where R'_6 is C_1-C_4 alkyl;
provided R^I has a total of ≤ 13 carbon atoms;

(b) reacting an appropriate sulfonamide of formula



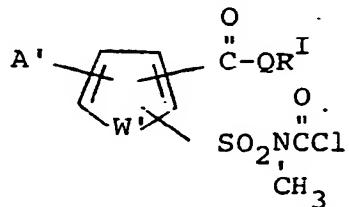
with an isocyanate or isothiocyanate of formula



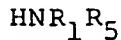
wherein A , A' , W , W' , R_1 and R_4 are as defined in claim 1; to prepare a compound of claim 1 wherein R_5 is H;

(c) methylating a compound of claim 1 wherein R_4 is H and W is O to obtain a compound of claim 1 wherein R_4 is methyl;

(d) reacting a carbamyl chloride of formula



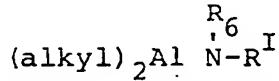
wherein A', W, W', Q and R^I are as defined in claim 1, with an amine of formula



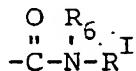
wherein R₁ and R₅ are as defined in claim 1;

(e) esterifying a corresponding starting compound wherein A is COOH to obtain a compound of claim 1 wherein A is COOR^I;

(f) reacting a compound of claim 1 wherein A is CO₂R^I, where R^I has 1-4 carbon atoms, with a compound of formula

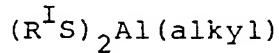


to obtain a compound of claim 1 wherein A is



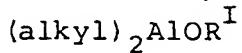
wherein R^I and R₆ are as defined in claim 1;

(g) reacting a compound of claim 1 wherein QR^I is O(C₁-C₄ alkyl) with a compound of formula



to obtain a corresponding compound of claim 1 wherein QR^I is SR^I, R^I being as defined in claim 1;

(h) reacting an ester of claim 1 wherein R^I is a lower primary alkyl group with a compound of formula



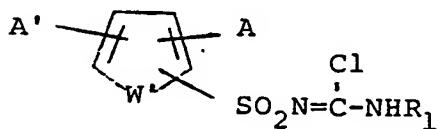
where R^I is a secondary alkyl group within the definition of R^I in claim 1, to replace said lower primary alkyl group by R^I;

(i) reacting a compound of claim 1 wherein A is CO_2H with $\text{R}^{\text{II}}\text{Li}$ to obtain a compound of claim 1 wherein A is COR^{II} , R^{II} being as defined in claim 1; whereafter if desired said ketone is reacted with a hydroxylamine of formula

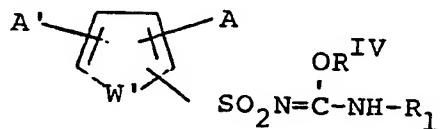


to obtain a compound of claim 1 wherein A is
 NOR^{III}
 "-C-R^{II} ;

(j) reacting an imide halide of formula

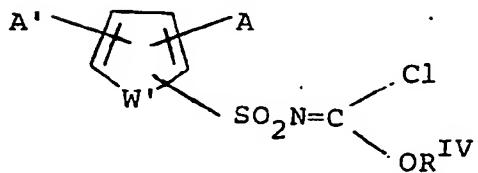


with a metal alkoxide to obtain a compound of formula



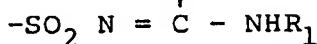
wherein A, A', W, R_1 and R^{IV} are as defined in claim 1;

(k) reacting a compound of formula



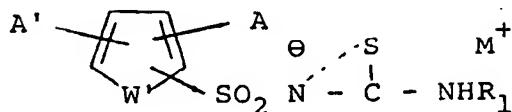
with R_1 NHLi to obtain a compound of claim 1 wherein B is

OR^{IV}



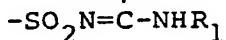
wherein A, A', W', R₁ and R^{IV} are as defined in claim 1;

(l) reacting a compound of formula



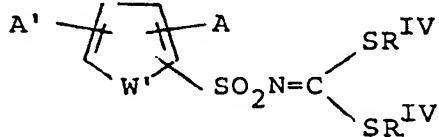
with an alkylating agent to obtain a compound of claim 1 wherein B is

SR^{IV}

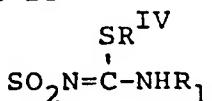


wherein A, A', W', R₁ and R^{IV} are as defined in claim 1 and M is an alkali or alkaline earth metal;

(m) reacting a compound of formula

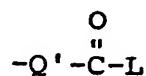


with M'HNR₁, to obtain a compound of claim 1 wherein B is



where A, A', W', R₁ and R^{IV} are as defined in claim 1 and M' is alkali metal;

(n) hydrolyzing a compound of claim 1 wherein Y is



where Q' is $\text{C}_1\text{-C}_4$ alkylene, $-\text{OCH}_2-$, $-\text{OCH}_2\text{CH}_2-$,
 $-\text{OCH}-$, CH_3 , $-\text{N}-$, or $-\text{NCH}_2-$
 R_{11} R_{11}

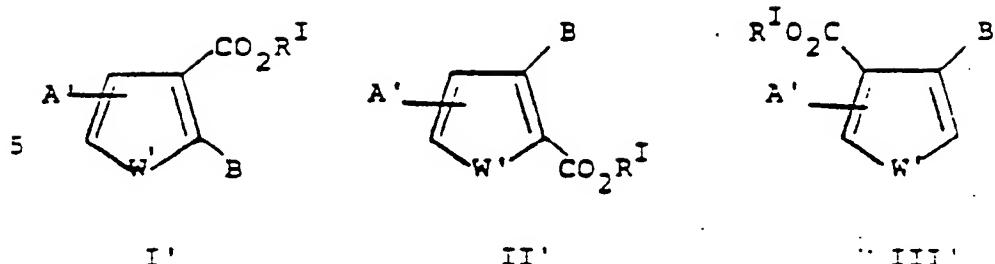
where R_{11} is as defined in claim 1 and L is other than OH, to obtain a compound of claim 1 wherein L is OH, or an agriculturally suitable salt thereof; or

(o) reacting a compound of claim 1 wherein A is CO_2Me and A' is other than NO_2 with sodium bis-(2-methoxyethoxy)aluminum hydride or with diisobutylaluminum hydride to obtain a compound of claim 1 wherein A is $-\text{CHO}$.

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28. A compound selected from



10 wherein R^I is H or M;

M is a cation of an alkali metal or of
a tertiary amine of up to 12 carbon atoms;

W' is O or S;

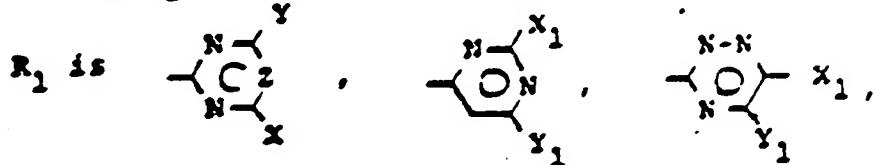
A' is H, Cl, Br, C₁-C₄ alkyl, OC₂H₅, NO₂ or CF₃;

15 B is -SO₂^WN(R₄)C(R₅)-N-R₁ or -SO₂-N(R^{IV})NH-R₁;

where R₄ is H or CH₃; W is O or S;

20 R₅ is H, CH₃ or CH₃O; with the proviso
that either R₄ or R₅ must be H;

R^{IV} is C₁-C₆ alkyl or C₃-C₄ alkenyl;



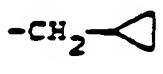
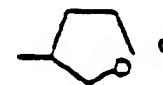
35

x 236

where Z is N, CH or C-F;

X = H, Cl, -CH₃, -OCH₃ or -OCH₂CH₃;Y = H; Cl; C₁-C₄ alkyl; C₁-C₄ alkyl substituted
with -OCH₃, -OC₂H₅, -CN, -CO₂CH₃, -CO₂C₂H₅.

5 O

C-L or 1-3 atoms of F, Cl, Br; C₃-C₄ alkenyl;-O-(CH₂)_nO-(C₁-C₃ alkyl) where
n' is 2 or 3;10 $\begin{array}{c} \text{O} \\ | \\ -\text{OCH}_2\overset{\text{O}}{\underset{\text{CH}_3}{\text{C}}}\text{-L}; -\text{OCH}(\text{C})\text{-L}; -\text{OCH}_2\overset{\text{O}}{\underset{\text{CH}_3}{\text{CH}_2}}\overset{\text{O}}{\underset{\text{CH}_3}{\text{C}}}\text{-L} \end{array}$ whereL is OH, -NH₂, -NCH₃, -NH(C₁-C₄ alkyl),
OCH₃15 -N(C₁-C₄ alkyl)₂ or C₁-C₆ alkoxy; SCN;-N₃; NR₁₁R₁₂ where R₁₁ is H or CH₃ and
R₁₂ is H, -OCH₃, C₁-C₄ alkyl, C₃-C₆
cycloalkyl, C₃-C₄ alkenyl, C₂-C₃ alkyl
substituted with OCH₃ or OC₂H₅, C₁-C₂20 alkyl substituted with -CN, CO₂H, CO₂CH₃ or
CO₂C₂H₅, and R₁₁ and R₁₂ can be taken together
to form -CH₂CH₂CH₂CH₂- or CH₂CH₂OCH₂CH₂-;-O-R₉ where R₉ is C₁-C₄ alkyl, C₂-C₄ alkyl
substituted with 1-3 atoms of F, Cl or Br,25 C₁-C₂ alkyl substituted with cyano, C₃-C₄
alkenyl, -CH₂C≡CR₁₃,30 -CH₂  .  or ;R₁₃ is H, CH₃ or CH₂Cl;SR₁₄;

x where R_{14} is C_1-C_4 alkyl, allyl, propargyl or C_1-C_2 alkyl substituted with CN;

5 $X_1 = H, Cl, OCH_3, OCH_2CH_3$ or CH_3 ;

$Y_1 = H, OCH_3$ or CH_3 ; and

$X_{II} = O$ or CH_2 .

29. A compound of Claim 28 selected from

3-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-sulfonyl]-2-thiophenecarboxylic acid

3-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-10 sulfonyl]-2-thiophenecarboxylic acid

3-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-aminosulfonyl]-2-thiophenecarboxylic acid

3-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-sulfonyl]-2-thiophenecarboxylic acid

15 3-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-sulfonyl]-2-thiophenecarboxylic acid

3-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylic acid

3-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-20 sulfonyl]-2-furancarboxylic acid

3-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]aminosulfonyl]-2-furancarboxylic acid

3-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]amino-sulfonyl]-2-furancarboxylic acid

25 3-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-sulfonyl]-2-furancarboxylic acid

3-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-sulfonyl]-2-furancarboxylic acid.

3-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-

30 carbonyl]aminosulfonyl]-2-furancarboxylic acid

2-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-sulfonyl]-3-thiophenecarboxylic acid

2-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-sulfonyl]-3-thiophenecarboxylic acid

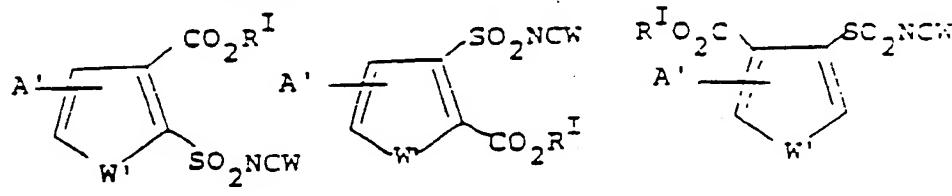
- * 238
x 2-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylic acid
2-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-thiophenecarboxylic acid
5 2-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-thiophenecarboxylic acid
2-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
carbonyl]aminosulfonyl]-3-thiophenecarboxylic acid
2-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-furancarboxylic acid
10 2-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-furancarboxylic acid
2-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylic acid
15 2-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylic acid
2-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylic acid
2-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
carbonyl]aminosulfonyl]-3-furancarboxylic acid
20 20 4-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
sulfonyl]-3-thiophenecarboxylic acid
4-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylic acid
4-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
25 aminosulfonyl]-3-thiophenecarboxylic acid (B5854)
4-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylic acid
4-[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-thiophenecarboxylic acid
30 30 4-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
carbonyl]aminosulfonyl]-3-thiophenecarboxylic acid
4-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
aminosulfonyl]-3-furancarboxylic acid
4-[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
35 aminosulfonyl]-3-furancarboxylic acid

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^x 4-[[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-aminosulfonyl]-3-furancarboxylic acid or
4-[[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-aminosulfonyl]-3-furancarboxylic acid; and salts thereof.

30. A process for the preparation of a compound of claim 28 or 29 which comprises hydrolyzing a corresponding starting compound wherein R^I is other than H, under basic conditions, and if desired acidifying to obtain a product wherein R^I is H.

31. A compound selected from



I''

II''

III''

wherein:

W is oxygen or sulfur;

W' is oxygen or sulfur;

A' is H, Cl, Br, C₁-C₄ alkyl, OCH₃, NO₂ or CF₃;

R^I is C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆ alkynyl; C₂-C₆ alkyl substituted with Cl, CN or OCH₃; C₃-C₆ alkenyl substituted with 1-3 Cl; C₃-C₆ alkynyl substituted with Cl; C₅-C₆ cycloalkyl; cyclohexenyl; cyclohexyl substituted with 1-3 CH₃; C₄-C₇ cycloalkyl-alkyl or CH(CH₂)_n-

where R₇ and R₈ are independently H, Cl, CH₃ or OCH₃;

n is 0 or 1; and

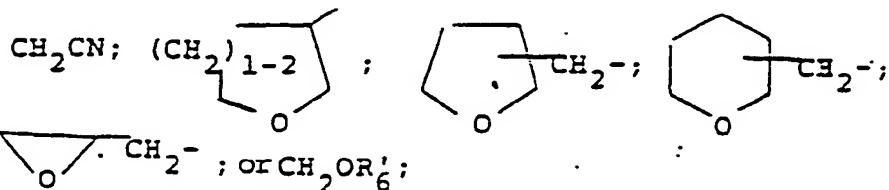
R_{9'} is H or CH₃;

-CH₂CH₂OR₁₅, -CH₂OR₁₅ where R₁₅ is CH₃

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C_2H_5 , $CH(CH_3)_2$, phenyl, CH_2CH_2Cl , CH_2CCl_3 ;
 $\{CH_2CH_2O\}_nR_{16}$, $\{CH-CH_2O\}_nR_{16}$ where R_{16} is
 CH_3

CH_3 , C_2H_5 , $CH(CH_3)_2$, phenyl, CH_2CH_2Cl ,
 CH_2CCl_3 , and n' is 2 or 3;



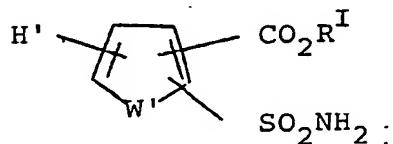
where R'_6 is C_1-C_4 alkyl;

provided R^I has a total of ≤ 13 carbon atoms.

- 15 32. A compound of Claim 31 selected from
methyl 3-(isocyanatosulfonyl)-2-thiophenecarboxylate
methyl 2-(isocyanatosulfonyl)-3-thiophenecarboxylate
methyl 4-(isocyanatosulfonyl)-3-thiophenecarboxylate
methyl 3-(isocyanatosulfonyl)-2-furancarboxylate
20 methyl 2-(isocyanatosulfonyl)-3-furancarboxylate or
methyl 4-(isocyanatosulfonyl)-3-furancarboxylate.

33. A process for the preparation of a compound
of claim 31 or 32 which comprises reacting the corresponding
sulfonamide of formula

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- (a) with phosgene, to obtain an isocyanate; or
(b) with carbon disulfide under alkaline

conditions and subsequently with phosgene or a chloroformic ester, to obtain an isothiocyanate.

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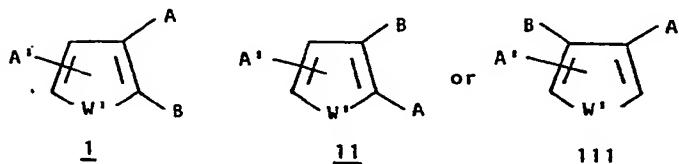
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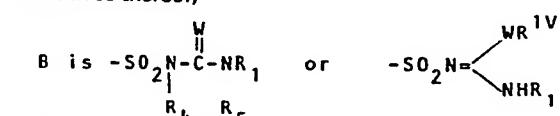
(54) Herbicidal ureas and isoureas, preparation, compositions and use thereof, intermediates therefor and preparation of said intermediates.

(57) Ureas and isoureas of the formula



wherein W' is O or S

A' is H, Cl, Br, alkyl, OCH₃, NO₂ or CF₃;
A is a wide variety of ester or thioester groups or derivatives thereof;

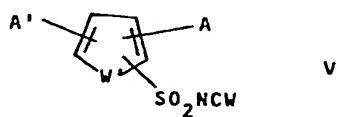


W is O or S
R^{IV} is alkyl or alkenyl; and

R₁ is a pyrimidyl or triazinyl moiety which is optionally substituted;
exhibit potent herbicidal activity and may be of interest

for regulating plant growth.

The compounds can be formulated for use in conventional manner. They may be prepared by a variety of processes, e.g. by reacting a heterocyclic sulfonyl isocyanate or isothiocyanate of formula



EP 0 030 142 A3

0030142



European Patent
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EUROPEAN SEARCH REPORT

Application number

EP 80 30 4287

DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (Int.Cl.)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
D	US - A - 4 127 405 (E.I. DU PONT DE NEMOURS AND CY.) * Completely * -- US - A - 4 169 719 (E.I. DU PONT DE NEMOURS AND CY.) * Completely * -- EP - A - 0 001 485 (E.I. DU PONT DE NEMOURS AND CY.) * Completely * -- EP - A - 0 001 514 (E.I. DU PONT DE NEMOURS AND CY.) * Completely *	1,2, 24,27 1,2, 24,27 1,2, 24,27	A 01 N 47/36 C 07 D 409/12 407/12 307/68 491/04// C 07 D 333/38 C 07 D 491/04 307/00 239/00)
P	EP - A - 0 007 687 (E.I. DU PONT DE NEMOURS AND CY.) * Claims *	1,2, 24,27	TECHNICAL FIELDS SEARCHED (Int.Cl.)
P	EP - A - 0 015 683 (E.I. DU PONT DE NEMOURS AND CY.) * Claims *	1,2, 24,27	C 07 D 407/12 409/12 407/14 409/14 491/04
			CATEGORY OF CITED DOCUMENTS
			X: particularly relevant A: technological background O: non-written disclosure P: intermediate document T: theory or principle underlying the invention E: conflicting application D: document cited in the application L: citation for other reasons
			&: member of the same patent family. corresponding document
Place of search	Date of completion of the search	Examiner	
The Hague	17-02-1981	VAN BIJLEN	



European Patent
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CLAIMS INCURRING FEES

The present European patent application comprised at the time of filing more than ten claims.

- All claims fees have been paid within the prescribed time limit. The present European search report has been drawn up for all claims.
- Only part of the claims fees have been paid within the prescribed time limit. The present European search report has been drawn up for the first ten claims and for those claims for which claims fees have been paid.
namely claims:
- No claims fees have been paid within the prescribed time limit. The present European search report has been drawn up for the first ten claims.

X LACK OF UNITY OF INVENTION

The Search Division considers that the present European patent application does not comply with the requirement of unity of invention and relates to several inventions or groups of inventions,
namely:

- 1) Claims 1-30
- 2) Claims 31-33: Intermediates and their preparation.

- All further search fees have been paid within the fixed time limit. The present European search report has been drawn up for all claims.
- Only part of the further search fees have been paid within the fixed time limit. The present European search report has been drawn up for those parts of the European patent application which relate to the inventions in respect of which search fees have been paid.
namely claims:
- None of the further search fees has been paid within the fixed time limit. The present European search report has been drawn up for those parts of the European patent application which relate to the invention first mentioned in the claims.
namely claims:
1-30

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